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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JUL 28	CA/Capius patent coverage enhanced
NEWS	3	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	5	JUL 28	STN Viewer performance improved
NEWS	6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	7	AUG 13	CA/Capius enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	9	AUG 15	Capius currency for Korean patents enhanced
NEWS	10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	12	SEP 25	CA/Capius current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS	13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and Korean patents enhanced
NEWS	14	SEP 29	IFICLS enhanced with new super search field
NEWS	15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS	16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS	17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS	18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS	19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS	20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS	21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances
NEWS EXPRESS	JUNE 27 08	CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.	
NEWS HOURS		STN Operating Hours Plus Help Desk Availability	
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NEWS IPC8		For general information regarding STN implementation of IPC 8	

Enter NEWS followed by the item number or name to see news on that specific topic.

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***** STN Columbus *****

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=> file reg
COST IN U.S. DOLLARS                SINCE FILE      TOTAL
                                     ENTRY      SESSION
FULL ESTIMATED COST                1.68          1.68
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FILE 'REGISTRY' ENTERED AT 15:20:58 ON 27 OCT 2008
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STRUCTURE FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4
DICTIONARY FILE UPDATES: 26 OCT 2008 HIGHEST RN 1066603-08-4

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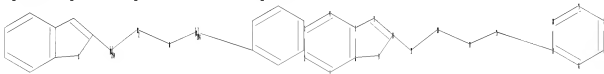
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<http://www.cas.org/support/stngen/stdoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10542579.str



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chain nodes :
10 11 12 15
ring nodes :
1 2 3 4 5 6 7 8 9 16 17 18 19 20 21
chain bonds :
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```

8-12 10-11 10-12 11-15 15-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 16-17 16-21 17-18 18-19 19-20
20-21
exact/norm bonds :
5-7 6-9 7-8 8-9 10-11
exact bonds :
8-12 10-12 11-15 15-17
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom

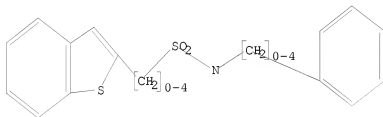
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS

29 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2442 TO 3958

PROJECTED ANSWERS: 257 TO 903

L2 29 SEA SSS SAM L1

=>

Uploading C:\Program Files\Stnexp\Queries\10542579a.str



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chain nodes :
10 11 12
ring nodes :
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chain bonds :
8-10 10-11 11-12 12-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 13-14 13-18 14-15 15-16 16-17
17-18
exact/norm bonds :
5-7 6-9 7-8 8-9 10-11
exact bonds :
8-10 11-12 12-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

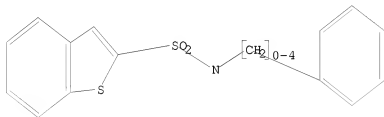
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L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 13

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SAMPLE SCREEN SEARCH COMPLETED - 80 TO ITERATE

100.0% PROCESSED 80 ITERATIONS

29 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1064 TO 2136
PROJECTED ANSWERS: 257 TO 903

L4 29 SEA SSS SAM L3

=> search l2

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full
FULL SEARCH INITIATED 15:23:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2788 TO ITERATE

100.0% PROCESSED 2788 ITERATIONS 569 ANSWERS
SEARCH TIME: 00.00.01

L5 569 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	180.20	181.88

FILE 'CAPLUS' ENTERED AT 15:23:42 ON 27 OCT 2008
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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l5

L6 152 L5

=> d l6 fbib ab hitstr 1-152

L6 ANSWER 1 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:1170727 CAPLUS
TI 5-HT6/7 Receptor Antagonists Facilitate Dopamine Release in the Cochlea via a GABAergic Disinhibitory Mechanism

AU Doleviczenyi, Zoltan; Vizi, E. Sylvester; Gacsalyi, Istvan; Pallagi, Katalin; Volk, Balazs; Harsing, Laszlo G., Jr.; Halmos, Gyorgy; Lendvai, Balazs; Tibor

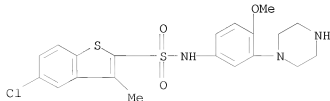
CS Department of Pharmacology, Institute of Experimental Medicine, Hungarian Academy of Sciences, Budapest, 1083, Hung.

SO Neurochemical Research (2008), 33(11), 2364-2372
CODEN: NEREDZ; ISSN: 0364-3190

PB Springer
DT Journal
LA English
AB In humans, serotonin (5-HT) has been implicated in numerous physiol. and pathol. processes in the peripheral auditory system. Dopamine (DA), another transmitter of the lateral olivocochlear (LOC) efferents making synapses on cochlear nerve dendrites, controls auditory nerve activation and protects the sensory nerve against overactivation. Using in vitro microvolume superfusion techniques we tested 5-HT₆ and 5-HT₇ receptor antagonists whether they can influence dopamine (DA) release from the guinea-pig cochlea in control and in ischemic conditions using currently available and new 5-HT₆ and 5-HT₇ antagonists and mixed antagonists, which were synthesized and characterized for the current study. While the 5-HT₇ antagonist SB-258719 was ineffective, SB-271046, which blocks the 5-HT₆ receptor, caused a significant increase in cochlear DA release what is contradictory with the excitatory nature of this type of receptor. Moreover, the mixed 5-HT_{6/7} antagonist EGIS-12233 induced an even more pronounced increase in the resting DA release. To understand why the block of an excitatory receptor results in an increase instead of a decrease in function, we investigated the possible involvement of an indirect neural mechanism through an inhibitory system. In the presence of the GABA_A receptor blocker bicuculline, EGIS-12233 failed to increase the release of DA, suggesting that the serotonin receptor modulation of DA release from the lateral olivocochlear efferents in the cochlea was produced indirectly by decreasing the GABAergic inhibitory tone on dopaminergic nerve endings. The mixed 5-HT₇/D₄ receptor antagonist EGIS-11983 significantly increased both the stimulation-evoked and the resting DA release, while the selective D₄ blocker L-741,741 alone had no significant effect. Ischemia, simulated by oxygen and glucose deprivation from the perfusion solution had no action on the effect of the drugs. Drugs that can increase the release of DA from LOC terminals in the cochlea may have a role in the treatment of sensorineural hearing loss.

IT INDEXING IN PROGRESS
IT 209481-20-9, SB-271046
RL: PAC (Pharmacological activity); BIOL (Biological study)
(5-HT_{6/7} receptor antagonists facilitate dopamine release in the cochlea via a GABAergic disinhibitory mechanism)

RN 209481-20-9 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:1012610 CAPLUS

DN 149:261123

TI Preparation of modulators of acetyl coenzyme A carboxylase as fungicides and pharmaceuticals

IN Anderson, Richard; Hokama, Takeo; Lee, Shy-Fuh; Oey, Rafael; Elich, Tedd; Breazeale, Steven

PA Cropsolution, Inc., USA

SO U.S. Pat. Appl. Publ., 100pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080200461	A1	20080821	US 2008-33925	20080220
				US 2007-890643P	P 20070220
	WO 2008103354	A2	20080828	WO 2008-US2186	20080220
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				US 2007-890643P	P 20070220

OS MARPAT 149:261123

AB The acetyl CoA carboxylase modulators R1NR2XNR3R4R5 [R1, R2 = H, (halo)alkyl, (halo)alkenyl, etc.; R3, R4 = (halo)alkyl, (halo)alkenyl, (halo)alkynyl, etc.; R1NR2, R3NR4 = ring; R5 = nonbonded pair of electrons, (halo)alkyl, (halo)alkenyl, etc.; X = (un)substituted C2-8 C bridge, optionally containing N, O or S] are prepared as fungicides and pharmaceuticals, particularly the treatment of obesity, metabolic syndrome, atherosclerosis, cardiovascular disease and insulin resistance, e.g., type II or adult-onset diabetes.

IT 1058136-22-3P 1058136-23-4P 1058136-24-5P

1058136-25-6P 1058136-82-5P 1058136-83-6P

RL: AGR (Agricultural use); PRPH (Prophetic); SPN (Synthetic preparation);

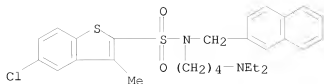
THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

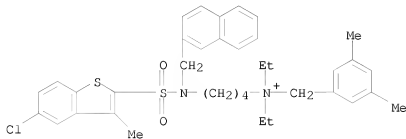
(preparation of modulator of acetylCoA carboxylase as fungicides and pharmaceuticals)

RN 1058136-22-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(diethylamino)butyl]-3-methyl-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

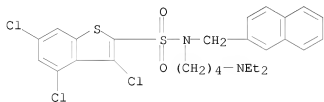


RN 1058136-23-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

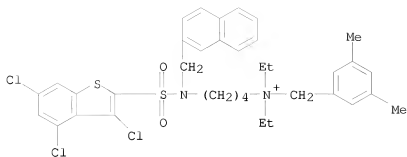


● Br⁻

RN 1058136-24-5 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 3,4,6-trichloro-N-[4-(diethylamino)butyl]-
N-(2-naphthalenylmethyl)- (CA INDEX NAME)

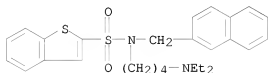


RN 1058136-25-6 CAPLUS
CN Benzenemethanaminium, N,N-diethyl-3,5-dimethyl-N-[4-[(2-naphthalenylmethyl)[(3,4,6-trichlorobenzo[b]thien-2-yl)sulfonyl]amino]butyl]-, bromide (1:1) (CA INDEX NAME)

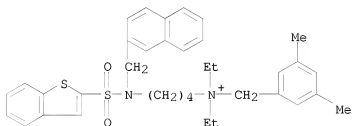


● Br⁻

RN 1058136-82-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



RN 1058136-83-6 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



● Br⁻

L6 ANSWER 3 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2008:1001769 CAPLUS
 DN 149:299937
 TI Development of a scintillation proximity assay binding method for the human 5-hydroxytryptamine 6 receptor using intact cells
 AU Carrick, Tikva; Kowal, Dianne; Nawoschik, Stanley; Zhang, Gouming; Chan, Karen; Dunlop, John
 CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543, USA
 SO Analytical Biochemistry (2008), 381(1), 27-32

CODEN: ANBCA2; ISSN: 0003-2697

PB Elsevier Inc.

DT Journal

LA English

AB We describe the first validated scintillation proximity assay (SPA) binding method for quantitation of 3H-labeled d-lysergic acid diethylamide (LSD) binding to recombinant human 5-hydroxytryptamine 6 (5-HT6) receptors expressed in Chinese hamster ovary (CHO)-Dukx and HeLa cells. The assay was developed using intact cells as a receptor source because membrane fractions derived from these cells failed to discern specific binding from a high level of nonspecific binding. The pharmacol. binding profile of seven 5-HT6 agonists and antagonists using intact CHO-Dukx/5-HT6 cells in the SPA format was similar to data obtained from a filtration binding assay using HeLa/5-HT6 membranes. Ki values and rank order of potencies obtained in the SPA format were consistent with published filtration data as follows: SB-271046 (Ki = 1.9 nM) > methiothepin (Ki = 6.2 nM) > mianserin (Ki = 74.3 nM) > 5-methoxytryptamine (5-MeOT, Ki = 111 nM) > 5-HT (Ki = 150 nM) > ritanserin (Ki = 207 nM) > 5-carboxamidotryptamine (5-CT, Ki = 704 nM). Addnl. evaluation with four antipsychotics demonstrated strong agreement with previous literature reports. A high specific binding signal and low assay variability, as determined by Z' = 0.81 ± 0.017, make the SPA format amenable to automation and higher throughput; hence, this assay can be a viable alternative to the more labor-intensive filtration and centrifugation methods.

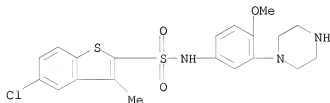
IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study)

(5-HT6 receptor determination and characterization with scintillation proximity assay binding method using intact cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:943469 CAPLUS

DN 149:224092

TI Preparation of heterocyclyl-substituted indolyl sulfonamides with serotonin 5-HT6 receptor affinity for the treatment of cognitive or food ingestion related disorders

IN Diaz-Fernandez, Jose-Luis

PA Laboratorios del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 40pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

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	EP 1953153	A1	20080806	EP 2007-384014	A 20070131
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PATENT FAMILY INFORMATION:

FAN 2008:934372

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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				EP 2007-384014	A 20070131

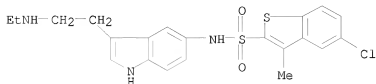
OS MARPAT 149:224092

AB Title compds. [I; A = (substituted) mono- or bicyclic heterocyclyl; R1 = H, alkyl, PhCH2; R2, R3 = H, alkyl; n = 0-4], were prepared Thus, tert-Bu 2-(5-amino-1H-indol-3-yl)ethyl(methyl)carbamate in pyridine was treated dropwise with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine followed by stirring for 20 h to give Boc-protected sulfonamide. This was treated with CF3CO2H in CH2Cl2 to give 5-chloro-3-methylbenzo[b]thiophene-2-sulfonic acid [3-(2-methylaminoethyl)-1H-indol-5-yl]amide. The latter bound to serotonin 5-HT6 receptors with Ki = 2.0 nM.

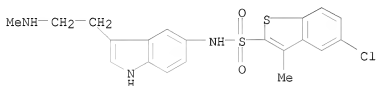
IT 1042720-31-9P 1042720-32-0P 1042720-36-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

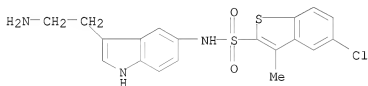
RN 1042720-31-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(ethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 1042720-32-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(methylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)

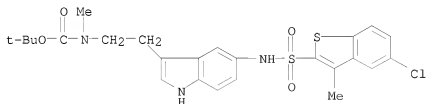


RN 1042720-36-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[3-(2-aminoethyl)-1H-indol-5-yl]-5-chloro-3-methyl- (CA INDEX NAME)



IT 1042720-38-6P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocyclyl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)

RN 1042720-38-6 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2008:934372 CAPLUS
 DN 149:224089

TI Preparation of heterocyclyl-substituted indolyl sulfonamides with
 serotonin 5-HT₆ receptor affinity for the treatment of cognitive or food
 ingestion related disorders

IN Diaz-Fernandez, Jose-Luis
 PA Laboratorios del Dr. Esteve S.A., Spain
 SO Eur. Pat. Appl., 23pp.

CODEN: EPXXDW

DT Patent
 LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1953153	A1	20080806	EP 2007-384014	20070131
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
	WO 2008092665	A1	20080807	WO 2008-EP726	20080130
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			EP 2007-384014	A 20070131

PATENT FAMILY INFORMATION:

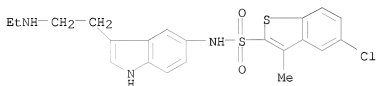
FAN 2008:943469

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008092665	A1	20080807	WO 2008-EP726	20080130
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			EP 2007-384014	A 20070131
	EP 1953153	A1	20080806	EP 2007-384014	20070131
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

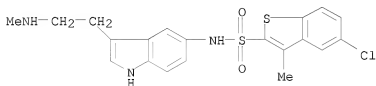
AB Title compds. [I; A = (substituted) mono- or bicyclic heterocyclyl; R1 = H, alkyl, PhCH₂; R2, R3 = H, alkyl; n = 0-4], were prepared Thus, tert-Bu 2-(5-amino-1H-indol-3-yl)ethyl(methyl)carbamate in pyridine was treated

dropwise with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine followed by stirring for 20 h to give Boc-protected sulfonamide. This was treated with CF₃CO₂H in CH₂Cl₂ to give 5-chloro-3-methylbenzo[b]thiophene-2-sulfonic acid [3-(2-methylaminoethyl)-1H-indol-5-yl]amide. The latter bound to serotonin 5-HT₆ receptors with K_i = 2.0 nM.

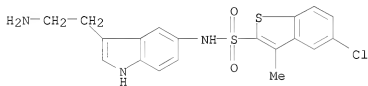
- IT 1042720-31-9P 1042720-32-0P 1042720-36-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (claimed compound; preparation of heterocycl-yl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)
 RN 1042720-31-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(ethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



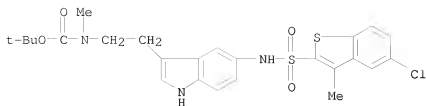
- RN 1042720-32-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(methylamino)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



- RN 1042720-36-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[3-(2-aminoethyl)-1H-indol-5-yl]-5-chloro-3-methyl- (CA INDEX NAME)



- IT 1042720-38-6P
 RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocycl-yl-substituted indolyl sulfonamides for the treatment of cognitive or food ingestion related disorders)
 RN 1042720-38-6 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:881207 CAPLUS
DN 149:168025
TI Use of 5-HT6 antagonists to prevent relapse into addiction
IN De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan;
Herremans, Arnoldus H. J.; Kruse, Cornelis G.
PA Solvay Pharmaceuticals B.V., Neth.
SO PCT Int. Appl., 28pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087123	A2	20080724	WO 2008-EP50360	20080115
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
			EP 2007-100576	A 20070116
			US 2007-880421P	P 20070116

PATENT FAMILY INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080171779	A1	20080717	US 2008-13898	20080114
			US 2007-880421P	P 20070116

OS MARPAT 149:168025

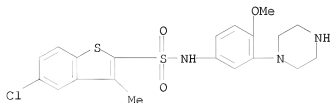
AB The invention discloses the use of compds., and pharmaceutically acceptable salts thereof, which are 5-HT6 antagonists. These compds. are useful for the preparation of medicaments for preventing relapse into addiction, in particular relapse into addiction to substances of abuse, including opiates, hallucinogens, inhalants, phencyclidine, amphetamines, cocaine, cannabis, nicotine, and alc., into relapse to addiction to certain medicines, including sedatives, hypnotics and anxiolytics, and into relapse to certain addictive behaviors, including gambling.

IT 209481-20-9, SB-271046 209481-20-9D, SB-271046,

stereoisomers, tautomers, N-oxides, isotopically labeled analogs, or salts
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (5-HT6 antagonists for prevention of relapse into addiction)

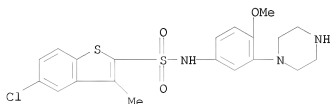
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS

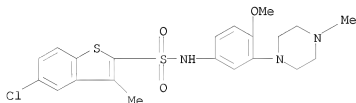
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



IT 209480-56-8 209480-56-8D, stereoisomers, tautomers,
 N-oxides, isotopically labeled analogs, or salts
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (SB 258510; 5-HT6 antagonists for prevention of relapse into addiction)

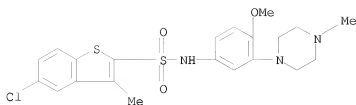
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

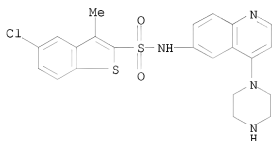


RN 209480-56-8 CAPLUS

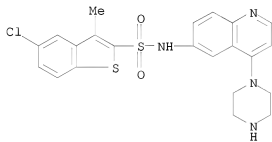
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



IT 389637-13-2 389637-13-2D, stereoisomers, tautomers,
N-oxides, isotopically labeled analogs, or salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(SB 331711; 5-HT6 antagonists for prevention of relapse into addiction)
RN 389637-13-2 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-
quinolinyl]- (CA INDEX NAME)



RN 389637-13-2 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-
quinolinyl]- (CA INDEX NAME)



L6 ANSWER 7 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:858203 CAPLUS
DN 149:144007
TI Use of 5-HT6 antagonists to prevent relapse into addiction
IN De Bruin, Natasja M. W. J.; Van Loevezijn, Arnold; Wijnen, Johan;
Herremans, Arnoldus H. J.; Kruse, Cornelis G.
FA Solvay Pharmaceuticals B.V., Neth.
SO U.S. Pat. Appl. Publ., 15pp.
CODEN: USXXCO

DT Patent
LA English
FAN.CMT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080171779	A1	20080717	US 2008-13898	20080114
				US 2007-880421P	P 20070116

PATENT FAMILY INFORMATION:

FAN 2008:881207

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008087123	A2	20080724	WO 2008-EP50360	20080115
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW,				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			EP 2007-100576	A 20070116
				US 2007-880421P	P 20070116

OS MARPAT 149:144007

AB The invention discloses the use of compds., and pharmaceutically acceptable salts thereof, which are 5-HT6 antagonists. In one embodiment, the invention relates to the use of these compds., or pharmaceutical compns. comprising these compds., for preventing relapse into addiction, e.g. relapse into addiction to substances of abuse, including opiates, hallucinogens, inhalants, phencyclidine, amphetamines, cocaine, cannabis, nicotine, and alc., relapse into addiction to certain medicines, including sedatives, hypnotics and anxiolytics, and relapse into certain addictive behaviors, including gambling.

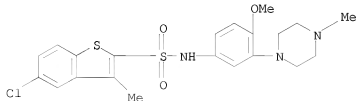
IT 209480-56-8D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs 209481-24-3D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs 389637-13-2D, tautomers, stereoisomers, N-oxides, salts, and isotopically labeled analogs

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 antagonists to prevent relapse into addiction)

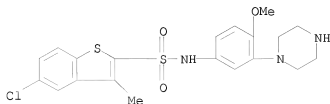
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-24-3 CAPLUS

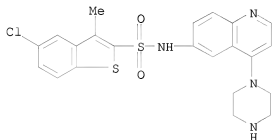
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

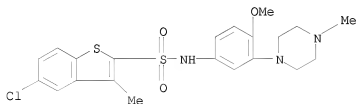


IT 209480-56-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SB 258510; 5-HT6 antagonists to prevent relapse into addiction)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

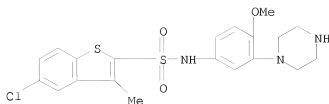


IT 209481-24-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SB 271046; 5-HT6 antagonists to prevent relapse into addiction)

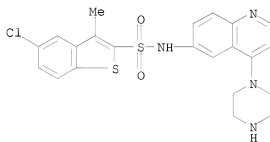
RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 389637-13-2
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (SB 331711; 5-HT6 antagonists to prevent relapse into addiction)
 RN 389637-13-2 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



L6 ANSWER 8 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2008:833345 CAPLUS
 DN 149:152939
 TI Preparation of sulfonamide derivatives as chymase inhibitors
 IN Banner, David; Mauser, Harald; Minder, Rudolf E.; Wessel, Hans P.
 PA Switz.
 SO U.S. Pat. Appl. Publ., 25pp.
 CODEN: USXXCO

DT Patent
 LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080167348	A1	20080710	US 2008-970628	20080108
			EP 2007-100337	20070110
			A	
WO 2008084004	A1	20080717	WO 2008-EP50027	20080103
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,				

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
 IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 2007-100337 A 20070110

OS MARPAT 149:152939

AB Title compds. I [A = Ph, 5 or 6-membered monocyclic heteroaryl (containing one or two ring heteroatoms of N, O or S, with the remaining ring atoms being carbon), 5 or 6-membered non-aromatic monocyclic heterocyclyl (containing one

or

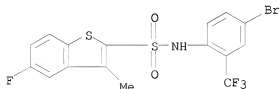
two ring heteroatoms of N or S(O)n (wherein n = 0-2), with the remaining ring atoms being carbon, wherein one of the ring carbon atoms of the heterocyclyl ring is optionally replaced with a carbonyl group]; R1, R11 = H, halo, nitro, etc.; R2, R21, R22 = H, halo, cyano, etc.; X = phenylene (optionally substituted by halo, cyano, nitro, etc.); Y = (un)substituted 6-membered monocyclic heteroaryl (containing one or two ring heteroatoms of N(O)n (wherein n = 0 or 1), O or S, with the remaining ring atoms being carbon atoms) or (un)substituted 6-membered monocyclic non-aromatic heterocyclyl (containing one or two ring heteroatoms of N, O or S(O)n (wherein n = 0-2), with the remaining ring atoms being carbon atoms)] or their pharmaceutically acceptable salts were prepared. For example, reaction of 4-(4-amino-3-methanesulfonylphenyl)-piperidine-1-carboxylic acid tert-Bu ester, e.g., prepared from 1-bromo-4-chlorobenzene in 8 steps, with 5-fluoro-3-methylbenzo(b)thiophene-2-sulfonyl chloride followed by treatment with HCl afforded compound II·HCl. In chymase inhibition assays, the IC50 value of II was 3 nM. Of note, compds. I are useful for the treatment of allergy, asthma, etc. Pharmaceutical compns. comprising I are disclosed.

IT 1037299-36-7P 1037299-37-8P 1037299-52-7P
 1037299-55-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of sulfonamide derivs. as chymase inhibitors)

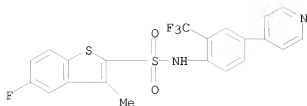
RN 1037299-36-7 CAPLUS

CN Benzo(b)thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



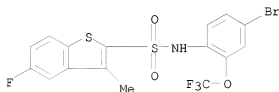
RN 1037299-37-8 CAPLUS

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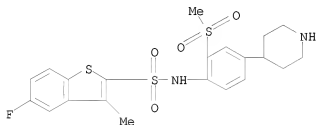
RN 1037299-52-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethoxy)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 1037299-55-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-piperidinyl)phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

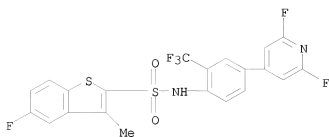
IT 1037299-38-9P 1037299-39-0P 1037299-40-3P
1037299-41-4P 1037299-42-5P 1037299-43-6P
1037299-44-7P 1037299-45-8P 1037299-46-9P
1037299-47-0P 1037299-48-1P 1037299-49-2P
1037299-50-5P 1037299-51-6P 1037299-53-8P
1037299-54-9P 1037299-56-1P 1037299-58-3P
1037299-66-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamide derivs. as chymase inhibitors)

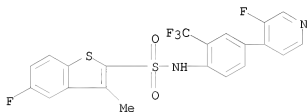
RN 1037299-38-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2,6-difluoro-4-pyridinyl)-2-(trifluoromethyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



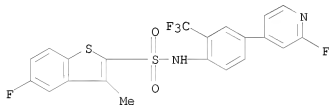
RN 1037299-39-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(3-fluoro-4-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



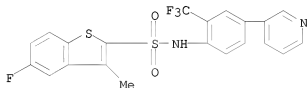
RN 1037299-40-3 CAPLUS

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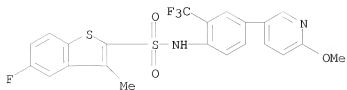
RN 1037299-41-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(3-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



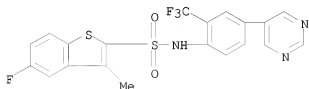
RN 1037299-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(6-methoxy-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



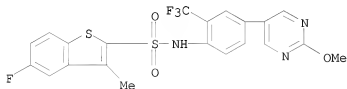
RN 1037299-43-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-pyrimidinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



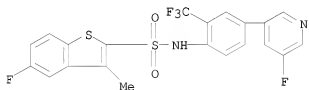
RN 1037299-44-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(2-methoxy-5-pyrimidinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



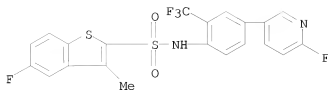
RN 1037299-45-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-fluoro-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



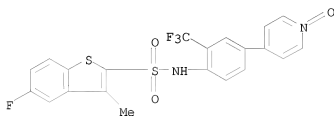
RN 1037299-46-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(6-fluoro-3-pyridinyl)-2-(trifluoromethyl)phenyl]-3-methyl- (CA INDEX NAME)



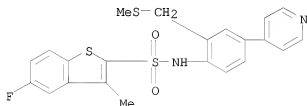
RN 1037299-47-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(1-oxido-4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



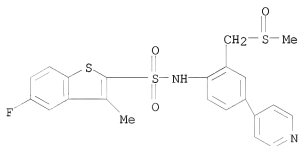
RN 1037299-48-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methythio)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



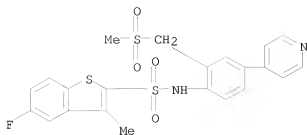
RN 1037299-49-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



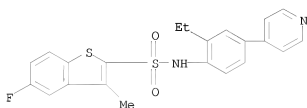
RN 1037299-50-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)methyl]-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



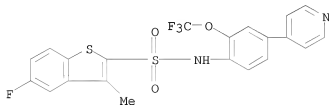
RN 1037299-51-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-ethyl-4-(4-pyridinyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



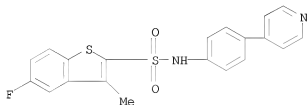
RN 1037299-53-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)-2-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



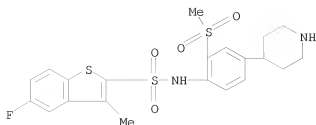
RN 1037299-54-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



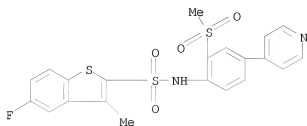
RN 1037299-56-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-piperidinyl)phenyl]- (CA INDEX NAME)



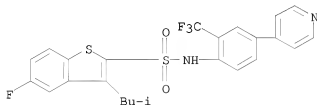
RN 1037299-58-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-pyridinyl)phenyl]- (CA INDEX NAME)



RN 1037299-66-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-(2-methylpropyl)-N-[4-(4-pyridinyl)-2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IT 1037299-67-4P 1037299-68-5P 1037299-69-6P

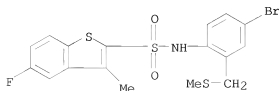
1037299-70-9P 1037299-81-2P 1037299-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

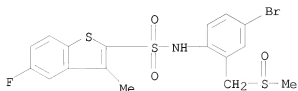
(preparation of sulfonamide derivs. as chymase inhibitors)

RN 1037299-67-4 CAPLUS

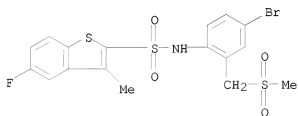
CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-[(methylthio)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



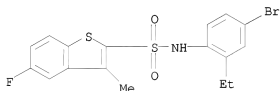
RN 1037299-68-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-
 [(methylsulfinyl)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



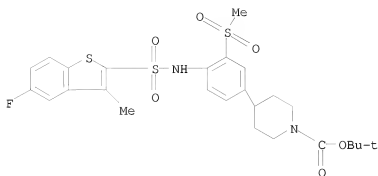
RN 1037299-69-6 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-
 [(methylsulfonyl)methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



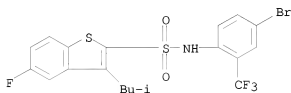
RN 1037299-70-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-(4-bromo-2-ethylphenyl)-5-fluoro-3-
 methyl- (CA INDEX NAME)



RN 1037299-81-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[4-[[[5-fluoro-3-methylbenzo[b]thien-2-
 yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, 1,1-dimethylethyl ester
 (CA INDEX NAME)



RN 1037299-93-6 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-bromo-2-(trifluoromethyl)phenyl]-5-fluoro-3-(2-methylpropyl)- (CA INDEX NAME)



L6 ANSWER 9 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2008:805771 CAPLUS
 DN 149:128805
 TI Preparation of pyrrolo[2,3-b]pyridine derivatives as kinase modulators
 IN Spevak, Wayne; Cho, Hanna; Ibrahim, Prabha N.; Shi, Shenghua; Mamo, Shumeye; Gillette, Sam; Zhu, Hongyao
 PA Flexxikon, Inc., USA
 SO PCT Int. Appl., '72pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008079906	A1	20080703	WO 2007-US88237	20071219
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	US 20080167338	A1	20080710	US 2006-876953P	P 20061221
				US 2007-960590	20071219
				US 2006-876953P	P 20061221

PATENT FAMILY INFORMATION:

FAN 2008:804067

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008079903	A1	20080703	WO 2007-US88231	20071219
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	US 20080167338	A1	20080710	US 2006-876953P US 2007-960590 US 2006-876953P	P 20061221 20071219 P 20061221

OS MARPAT 149:128805

AB Title compds. represented by the formula I [wherein R1 = H, halo, alkyl, etc.; R2 = halo, (cyclo)alkyl, aryl, etc.; R3 = H, F or Cl; with the proviso; and salts, prodrugs, tautomers and isomers thereof] were prepared as kinase modulators. For example, II was provided in a multi-step synthesis starting from coupling reaction of 5-bromo-7-azaindole with pyridine-3-boronic acid. I showed activity in kinase activity assays of B-Raf, B-Raf V600E, B-Raf V600E/T5291 or c-Raf-1. Thus, I and their pharmaceutical compns. are useful for the treatment of diseases and conditions associated with aberrant activity of protein kinases.

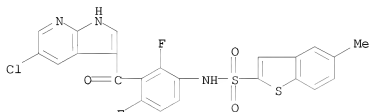
IT 1036015-34-5P, 5-Methylbenzo[b]thiophene-2-sulfonamide
 N-[3-((5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl)-2,4-difluorophenyl]

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolo[2,3-b]pyridine derivs. as protein kinase modulators useful in treatment of diseases associated with aberrant activity of protein kinases)

RN 1036015-34-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-((5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl)-2,4-difluorophenyl]-5-methyl- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:804067 CAPLUS

DN 149:128801
 TI Preparation of [(pyrrolopyridinecarbonyl)phenyl]sulfonamide derivatives
 for use as kinase modulators
 IN Spevak, Wayne; Cho, Hanna; Ibrahim, Prabha N.; Shi, Shenghua; Mamo,
 Shumeye; Gillette, Sam; Zhu, Hongyao
 PA Plexxikon, Inc., USA
 SO PCT Int. Appl., 115pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008079903	A1	20080703	WO 2007-US88231	20071219
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080167338	A1	20080710	US 2006-876953P	P 20061221
			US 2007-960590	20071219
			US 2006-876953P	P 20061221

PATENT FAMILY INFORMATION:

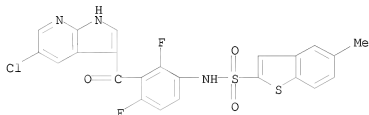
FAN 2008:805771

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008079906	A1	20080703	WO 2007-US88237	20071219
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080167338	A1	20080710	US 2006-876953P	P 20061221
			US 2007-960590	20071219
			US 2006-876953P	P 20061221

OS MARPAT 149:128801

AB Title compds. I [R1 = H, halo, (un)substituted alkyl, alkenyl, etc.; R2 = (un)substituted aryl or heteroaryl; R3 = H, F, or Cl], and their pharmaceutically acceptable salts, are prepared and disclosed as kinase modulators. Thus, e.g., II was prepared by substitution of 5-chloro-1H-pyrrolo[2,3-b]pyridine (preparation given) with (2,4-difluoro-3-formylphenyl)carbamic acid benzyl ester (preparation given), followed by oxidation, deprotection, and sulfonylation with 3-(chlorosulfonyl)benzoic acid. Select I were evaluated in various assays, e.g., II demonstrated an IC50 of $\leq 10 \mu\text{M}$ in the kinase

Kdr assay.
 IT 1036015-34-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of [(pyrrolopyridinecarbonyl)phenyl]sulfonamide derivs. for use
 as kinase modulators)
 RN 1036015-34-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-
 3-yl)carbonyl]-2,4-difluorophenyl]-5-methyl- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2008:774260 CAPLUS
 DN 149:128656
 TI Preparation of (hetero)aromatic amides and hydroxamates as inhibitors of
 histone deacetylase
 IN Deziel, Robert; Ajamian, Alain
 PA Methylgene Inc., Can.
 SO PCT Int. Appl., 170pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008074132	A1	20080626	WO 2007-CA2260	20071219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080146623	A1	20080619	US 2006-870768P	P 20061219
			US 2007-959204	20071218
			US 2006-870768P	P 20061219
EP 1973872	A1	20081001	EP 2007-855542	20071219
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			

US 2006-870768P P 20061219
WO 2007-CA2260 W 20071219

PATENT FAMILY INFORMATION:

FAN 2007:706070

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007072179	A2	20070628	WO 2006-IB3697	20061219
WO 2007072179	A3	20071011		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 2005-751703P				P 20051219
US 2006-870768P				P 20061219
AU 2006327892	A1	20070628	AU 2006-327892	20061219
			US 2005-751703P	P 20051219
			US 2006-870768P	P 20061219
			WO 2006-IB3697	W 20061219
CA 2633010	A1	20070628	CA 2006-2633010	20061219
			US 2005-751703P	P 20051219
			US 2006-870768P	P 20061219
			WO 2006-IB3697	W 20061219
US 20070197550	A1	20070823	US 2006-641615	20061219
			US 2005-751703P	P 20051219
			US 2006-870768P	P 20061219
EP 1963258	A2	20080903	EP 2006-842254	20061219
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
			US 2005-751703P	P 20051219
			US 2006-870768P	P 20061219
			WO 2006-IB3697	W 20061219
KR 2008086514	A	20080925	KR 2008-717861	20080721
			US 2005-751703P	P 20051219
			US 2006-870768P	P 20061219
			WO 2006-IB3697	W 20061219

OS MARPAT 149:128656

AB CyL2ArY2CONR_xZ [Cy = H, (substituted) cycloalkyl, aryl, heteroaryl, heterocyclyl; L2 = (substituted) (heteroatom-interrupted) alkylene, alkenylene; Ar = (substituted) (fused) arylene; Y2 = bond, (substituted) alkylene; Rx = H, OH; Z = COR10, CO2R10, SO2R10, sugar residue, amino acid residue, etc.; R10 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy carbonyl, cycloalkyl aryl, heteroaryl, etc.; with provisos], were prepared Thus, 4-PhC6H4SO2NH-4-C6H4CH:CHCONHOH (preparation outlined) inhibited

histone deacetylase in T24 human bladder cancer cells with EC50 = 1 μM.
IT 342372-00-3P 342372-07-0P 342372-08-1P
342372-41-2P

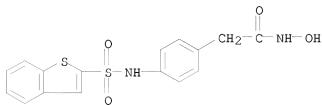
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)aromatic amides and hydroxamates as inhibitors of

histone deacetylase)

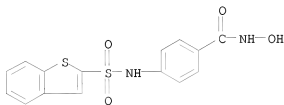
RN 342372-00-3 CAPLUS

CN Benzeneacetamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



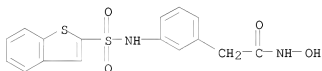
RN 342372-07-0 CAPLUS

CN Benzamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



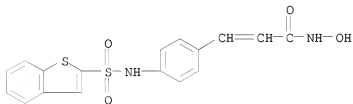
RN 342372-08-1 CAPLUS

CN Benzeneacetamide, 3-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



RN 342372-41-2 CAPLUS

CN 2-Propenamide, 3-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-N-hydroxy- (CA INDEX NAME)

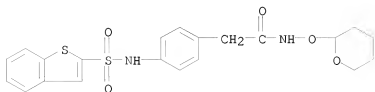


IT 1035211-63-2P 1035211-64-3P

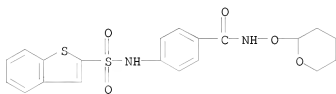
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (hetero)aromatic amides and hydroxamates as inhibitors of

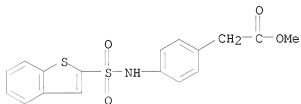
histone deacetylase)
 RN 1035211-63-2 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



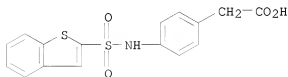
RN 1035211-64-3 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



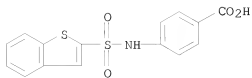
IT 342373-19-7P 342373-20-0P 342373-22-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of (hetero)aromatic amides and hydroxamates as inhibitors of
 histone deacetylase)
 RN 342373-19-7 CAPLUS
 CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-, methyl ester
 (CA INDEX NAME)



RN 342373-20-0 CAPLUS
 CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX
 NAME)



RN 342373-22-2 CAPLUS
 CN Benzoic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:727681 CAPLUS

DN 149:259235

TI Actions of novel agonists, antagonists and antipsychotic agents at recombinant rat 5-HT6 receptors: A comparative study of coupling to G α s

AU Dupuis, Delphine S.; La Cour, Clotilde Mannoury; Chaput, Christine; Verrielle, Laurence; Lavielle, Gilbert; Millan, Mark J.

CS Department of Psychopharmacology, Institut De Recherches Servier, Croissy sur Seine, 78290, Fr.

SO European Journal of Pharmacology (2008), 588(2-3), 170-177
CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

AB Though 5-HT6 receptors are targets for the treatment of schizophrenia and other psychiatric disorders, the influence of drugs upon signal transduction has not been extensively characterized. Herein, we employed a Scintillation Proximity Assay (SPA)/antibody-immunocapture procedure of coupling to G α s to evaluate the interaction of a broad range of novel agonists, antagonists and antipsychotics at rat 5-HT6 receptors stably expressed in HEK293 cells. Serotonin (pEC₅₀, 7.7) increased [35S]GTP γ S binding to G α s by ca 2-fold without affecting binding to G α i/o or G α q. LSD (9.2), 5-MeODMT (7.9), 5-CT (7.0) and tryptamine (6.1) were likewise full agonists. In contrast, the novel sulfonyl derivs., WAY181,187 (9.1) and WAY208,466 (7.8), behaved as partial agonists and attenuated the actions of 5-HT. SB271,046 and SB258,585 abolished activation of G α s by 5-HT with pK_b values of 10.2 and 9.9, resp., actions mimicked by the novel antagonist, SB399,885 (10.9). SB271,046 likewise blocked partial agonist properties of WAY181,187 and WAY208,466 with pK_b values of 9.8 and 9.0, resp. 5-HT-stimulated [35S]GTP γ S binding to G α s was antagonized by various antipsychotics including olanzapine (7.8), aripiprazole (9.1) and SB737,050 (7.8), whereas aripiprazole and bifeprunox were inactive. Further, antagonist properties of clozapine (8.0) were mimicked by its major metabolite, N-desmethylozapine (7.9). In conclusion, the novel ligands, WAY208,466 and WAY181,187, behaved as partial agonists at 5-HT6 receptors coupled to G α s, while SB399,885 was a potent antagonist. Though 5-HT6 receptor blockade is not indispensable for therapeutic efficacy, it may well play a role in the functional actions of certain antipsychotic agents.

IT 209481-20-9, SB271046

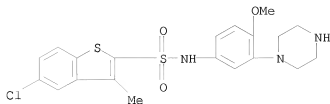
RL: PAC (Pharmacological activity); BIOL (Biological study)

(actions of novel agonists, antagonists and antipsychotic agents at recombinant rat 5-HT6 receptors and a comparative study of coupling to G α s)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-methyl-1H-imidazol-2-yl)phenyl]-

piperazinyl]phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 86 THERE ARE 86 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:642758 CAPLUS
DN 149:45585

TI The selective 5-HT6 receptor antagonists SB-271046 and SB-399885
potentiate NCAM PSA immunolabeling of dentate granule cells, but not
neurogenesis, in the hippocampal formation of mature Wistar rats
AU Foley, Andrew G.; Hirst, Warren D.; Gallagher, Helen C.; Barry, Claire;
Hagan, Jim J.; Upton, Neil; Walsh, Frank S.; Hunter, A. Jackie; Regan,
Ciaran M.

CS School of Biomolecular and Biomedical Science, UCD Conway Institute,
University College Dublin, Dublin, Ire.

SO Neuropharmacology (2008), 54(8), 1166-1174
CODEN: NEPHBW; ISSN: 0028-3908

PB Elsevier B.V.

DT Journal

LA English

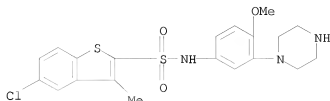
AB While there is now substantial evidence that 5-HT6 antagonism leads to
significantly improved cognitive ability, the mechanism(s) and/or
pathway(s) involved are poorly understood. The authors have evaluated the
consequence of chronic administration of the 5-HT6 receptor antagonists
SB-271046 and SB-399885 on neural cell adhesion mol. polysialylation state
(NCAM PSA), a neuroplastic mechanism necessary for memory consolidation.
Quant. anal. of NCAM PSA immunopos. neurons in the dentate gyrus of
drug-treated animals revealed a dose-dependent increase in polysialylated
cell frequency following treatment with both SB-271046 and SB-399885.
These effects could not be attributed to increased neurogenesis, as no
difference in the rate of bromodeoxyuridine incorporation was apparent
between the control and drug-treated groups. A substantial increase in
the frequency of polysialylated cells in layer II of the entorhinal and
perirhinal cortices was also observed, brain regions not previously associated
with neurogenesis. Chronic treatment with SB-271046 or SB-399885 also
significantly increased the activation of dentate polysialylation that is
specific to learning. This effect does not occur with other
cognition-enhancing drugs, such as tacrine, and this action potentially
differentiates 5-HT6 receptor antagonism as an unique neuroplastic
mechanism for cognitive processes which may slow or reverse
age/neurodegenerative related memory deficits.

IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(selective 5-HT6 receptor antagonists SB-271046 and SB-399885
potentiate NCAM PSA immunolabeling of dentate granule cells, but not
neurogenesis, in hippocampal formation of mature rats in relation to
learning and memory)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:283474 CAPLUS
DN 148:331693
TI Morpholine derivatives as D3 dopamine antagonists and their preparation,
pharmaceutical compositions and use in the treatment of diseases
IN Wager, Travis T.; Chandrasekaran, Ramalakshmi Yegna; Butler, Todd William
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 72pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008026046	A1	20080306	WO 2007-1B2492	20070820
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				US 2006-823994P	P 20060830

OS MARPAT 148:331693
AB The invention relates to compds. of the formula I, to intermediates for their preparation, to pharmaceutical compns. containing them and to their medicinal use as modulators of the dopamine D3 receptor, particularly as psychotherapeutic agents. Compds. of formula I wherein R1 is H, C1-8 (halo)alkyl; R2 is H, C1-6 (fluoro)alkyl, C2-6 (fluoro)alkenyl, C3-6 (fluoro)cycloalkyl, C1-6 (fluoro)alkoxy, etc.; R3 is H, halo, CN, NO2, OH, Me, OMe, CF3, CHF2, CH2F, OCH2F, etc.; R4 is H, C1-8 alkyl, and (un)substituted 5- to 6-membered aryl; R1R4 taken together to form 5- to 7-membered carbocyclic ring; R5 is H and C1-8 alkyl; R6 is H, halo, C1-8 alkyl, OMe, OCF3, CF3, CN; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyclization of 2-bromo-4'-nitroacetophenone with 3-piperidinemethanol; the resulting 3-(4-nitrophenyl)octahydroprido[2,1-c][1,4]oxazin-3-ol underwent

reductive ring opening to give 1-(4-aminophenyl)-2-(2-(hydroxymethyl)piperidin-1-yl)ethanol, which underwent cyclization to give 3-(4-aminophenyl)octahydropyrido[2,1-c][1,4]oxazine, which underwent sulfonylation with 4-isopropylbenzenesulfonyl chloride to give compound II. All the invention compds. were evaluated for their D3 dopamine antagonistic activity (some data given).

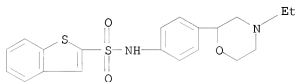
IT 1010382-85-0P 1010384-02-7P 1010384-08-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of morpholine derivs. as D3 dopamine antagonists useful in treatment and prevention of diseases)

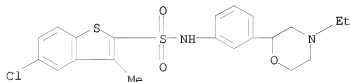
RN 1010382-85-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4-ethyl-2-morpholinyl)phenyl]- (CA INDEX NAME)



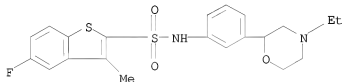
RN 1010384-02-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(4-ethyl-2-morpholinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 1010384-08-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(4-ethyl-2-morpholinyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

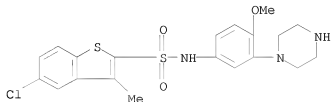
L6 ANSWER 15 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:149789 CAPLUS

DN 148:369779

TI Pro-cognitive effects of 5-HT6 receptor antagonists in the social recognition procedure in rats: implication of the frontal cortex

AU Loiseau, Florence; Dekeyne, Anne; Millan, Mark J.
 CS Department of Psychopharmacology, Institut de Recherches Servier, Paris, 78290, Fr.
 SO Psychopharmacology (Berlin, Germany) (2008), 196(1), 93-104
 CODEN: PSCHDL; ISSN: 0033-3158
 PB Springer GmbH
 DT Journal
 LA English
 AB Rationale 5-HT₆ receptor antagonists improve cognitive processes in rodents. However, their site(s) of action remains unexplored and their influence upon social memory has been little investigated. Objectives We examined the influence of 5-HT₆ receptor ligands upon social memory in rats by use of systemic or local administration into the frontal cortex (FCX), striatum, or nucleus basalis magnocellularis (NBM). Materials and methods The social recognition test is based upon the ability of an adult rat to recognize a younger conspecific during the second of two 5-min sessions. In a procedure without an inter-session interval, the actions of drugs alone and the ability to reverse "amnesia" induced by the muscarinic antagonist, scopolamine (1.25 mg/kg, s.c.), were examined. The potential proamnesic effect of drugs was also investigated in another procedure where a spontaneous deficit of recognition was induced by a 120-min inter-session interval. Results The 5-HT₆ receptor agonist, WAY-181187 (10.0 mg/kg, i.p.), significantly impaired social recognition. This effect was abolished by the 5-HT₆ receptor antagonists, SB-271046 (20.0 mg/kg, i.p.) and SB-258585 (10.0 mg/kg, i.p.). These agents also abolished scopolamine-induced amnesia (10.0 and 2.5 mg/kg, i.p., resp.) and reversed the delay-induced deficit (10.0-20.0 and 2.5-10.0 mg/kg, i.p., resp.). WAY-181187 into the FCX significantly impaired social recognition (0.16-0.63 µg/side). Conversely, SB-271046 into the FCX (2.5-5.0 µg/side), but neither into the striatum nor the NBM, significantly reversed spontaneous deficit. Conclusion These results indicate that 5-HT₆ receptors modulate social recognition by actions in the FCX and underpin their pertinence as targets for the treatment of psychiatric disorders in which cognitive function is compromised.
 IT 209481-20-9, SB-271046
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (Pro-cognitive effects of 5-HT₆ receptor antagonists in the social recognition procedure in rats and implication of the frontal cortex)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2008:125368 CAPLUS
 DN 148:191738

TI Preparation of substituted indanyl sulfonamides for treating diseases mediated by 5-HT6 receptors
 IN Alcalde-Pais, Maria De Las Ermitas; Mesquida-Estevez, Maria De Les Neus; Lopez-Perez, Sara; Frigola-Constans, Jordi; Holenz, Joerg; Merce-Vidal, Ramon
 PA Laboratorios Del Dr. Esteve, S.A., Spain
 SO U.S. Pat. Appl., 18pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080027073	A1	20080131	US 2006-506352	20060818
EP 1884515	A1	20080206	EP 2006-380220	20060731
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			EP 2006-380220	20060731
WO 2008015137	A2	20080207	WO 2007-EP57658	20070725
WO 2008015137	A3	20080320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			EP 2006-380220	A 20060731

OS CASREACT 148:191738; MARPAT 148:191738

AB The present invention refers to new indanyl sulfonamide compds. I [R1-R4 = H, (un)saturated (un)saturated aliphatic radical; R5-R8 = H, NO2, NH2, etc.;

A = ring C atom substituted with N-methylpiperazin-1-yl or ring C atom substituted with :NNHC(:NH)NH2, etc.], as well as to their preparation procedure, their application as medicine and pharmaceutical compns. comprising them. The new compds. I show affinity for 5-HT6 receptors and are, therefore, effective for treating diseases mediated by these receptors. Thirteen compds. I were prepared For example, reacting N-(3-oxo-2,3-dihydro-1H-inden-5-yl)-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide with 1-methylpiperazine afforded 65% II. Exemplified compds. I were tested in 5-HT6 binding assay (data given for representative compds. I).

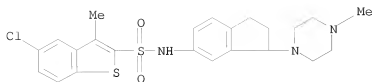
IT 1004538-49-1P 1004538-55-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indanyl sulfonamides for treating and preventing diseases mediated by 5-HT6 receptors)

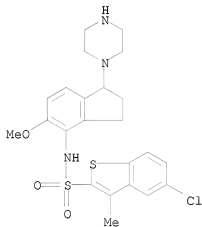
RN 1004538-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-3-(4-methyl-1-piperazinyl)-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)



RN 1004538-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-5-methoxy-1-(1-piperazinyl)-1H-inden-4-yl]-3-methyl- (CA INDEX NAME)



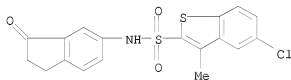
IT 1004538-62-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted indanyl sulfonamides for treating and preventing diseases mediated by 5-HT₆ receptors)

RN 1004538-62-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-3-oxo-1H-inden-5-yl)-3-methyl- (CA INDEX NAME)



L6 ANSWER 17 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1469363 CAPLUS

DN 148:93272

TI Combination of a cholinesterase inhibitor and a compound with 5-HT₆ receptor affinity, and therapeutic use

IN Codony-Soler, Xavier; Buschmann, Helmut Henrich

PA Laboratorios Del Dr. Esteve, S.A., Spain

SO PCT Int. Appl., 254pp.

CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007147883	A1	20071227	WO 2007-EP56234	20070622
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				EP 2006-384012	A 20060623

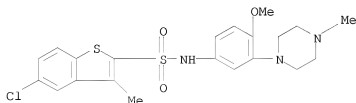
OS MARPAT 148:93272

AB The invention discloses a combination comprising at least one compound with 5-HT6 receptor affinity, and at least one cholinesterase inhibitor, as well as a medicament comprising the combination, and the use of the combination for the manufacture of a medicament.

IT 209480-56-8 209480-56-8D, enantiomers and salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SB 258510; cholinesterase inhibitor combination with compound with 5-HT6 receptor affinity)

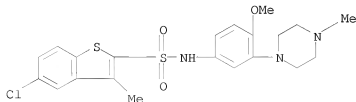
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



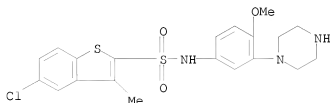
IT 209481-20-9, SB-271046 209481-20-9D, SB-271046, enantiomers and salts

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(cholinesterase inhibitor combination with compound with 5-HT6 receptor affinity)

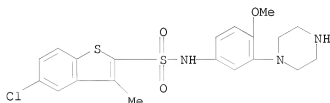
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1395370 CAPLUS

DN 148:54882

TI Preparation of heteroaryl amides that interact with ion channels, in particular with ion channels from the Kv family

IN Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen, Dirk Casimir Maria

PA Devgen N.V., Belg.

SO PCT Int. Appl., 62pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007138112	A2	20071206	WO 2007-EP55408	20070601
	WO 2007138112	A3	20080515		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 2006-447075 A 20060601
US 2006-809841P P 20060601

OS MARPAT 148:54882

AB The present invention relates to compds. that interact with ion channels. In particular, the invention relates to compds. I or II [n, m = 0-4; Z1 = C(O), C(S), SO2; L1 = (un)substituted alkylene, cycloalkylene, cycloalkylenoxyalkylene; X1 = O or S; X2 = CR4 or N; X3 = CR1 or N; X4 = CR1 or N; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, halo, NH2, etc.; with the provisos]. Sixty-two specific title compds. such as III were prepared and/or claimed. The exemplified title compds. were tested in patch clamp assays (for example, III showed above 50% inhibition on Kv4.3-mediated potassium channel). The invention also relates to methods for preparing said compds. I (general protocols and schemes were given), to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body.

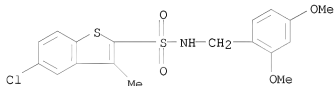
IT 959743-62-5P 959743-67-0P 959743-68-1P
959743-69-2P 959743-73-8P 959743-91-0P
959743-94-3P 959743-95-4P 959743-98-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl amides useful in treatment and prevention of diseases associated with ion channels)

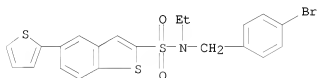
RN 959743-62-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(2,4-dimethoxyphenyl)methyl]-3-methyl- (CA INDEX NAME)



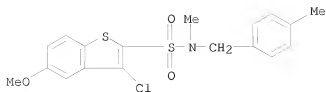
RN 959743-67-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-N-ethyl-5-(2-thienyl)- (CA INDEX NAME)



RN 959743-68-1 CAPLUS

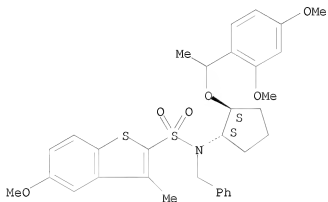
CN Benzo[b]thiophene-2-sulfonamide, 3-chloro-5-methoxy-N-methyl-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



RN 959743-69-2 CAPLUS

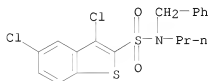
CN Benzo[b]thiophene-2-sulfonamide, N-[(1S,2S)-2-[1-(2,4-dimethoxyphenyl)ethoxy]cyclopentyl]-5-methoxy-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



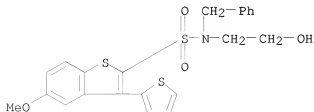
RN 959743-73-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dichloro-N-(phenylmethyl)-N-propyl- (CA INDEX NAME)

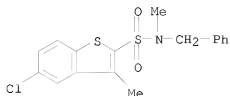


RN 959743-91-0 CAPLUS

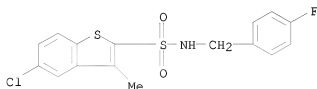
CN Benzo[b]thiophene-2-sulfonamide, N-(2-hydroxyethyl)-5-methoxy-N-(phenylmethyl)-3-(2-thienyl)- (CA INDEX NAME)



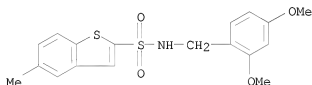
RN 959743-94-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(phenylmethyl)-
 (CA INDEX NAME)



RN 959743-95-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(4-fluorophenyl)methyl]-3-methyl- (CA INDEX NAME)



RN 959743-98-7 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[(2,4-dimethoxyphenyl)methyl]-5-methyl-
 (CA INDEX NAME)



L6 ANSWER 19 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1356517 CAPLUS

DN 148:92237

TI New Serotonin 5-HT6 Ligands from Common Feature Pharmacophore Hypotheses

AU Kim, Hye-Jung; Doddareddy, Munikumar Reddy; Choo, Hyunah; Cho, Yong Seo;

No, Kyoung Tai; Park, Woo-Kyu; Pae, Ae Nim

CS Life Science Division, Korea Institute of Science and Technology, Seoul,

130-650, S. Korea

SO Journal of Chemical Information and Modeling (2008), 48(1), 197-206

CODEN: JCISD8; ISSN: 1549-9596

PB American Chemical Society

DT Journal

LA English

AB Serotonin 5-HT6 receptor antagonists are thought to play an important role in the treatment of psychiatry, Alzheimer's disease, and probably obesity. To find novel and potent 5-HT6 antagonists and to provide a new idea for drug design, we used a ligand-based pharmacophore to perform the virtual

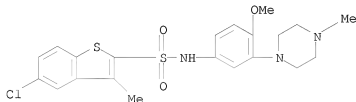
screening of a com. available database. A three-dimensional common feature pharmacophore model was developed by using the HipHop program provided in Catalyst software and was used as a query for screening the database. A recursive partitioning (RP) model which can sep. active and inactive compds. was used as a filtering system. Finally a sequential virtual screening procedure (SQSP) was conducted, wherein both the common feature pharmacophore and the RP model were used in succession to improve the results. Some of the hits were selected based on druglikeness, ADME properties, structural diversity, and synthetic accessibility for real biol. evaluation. The best hit compound showed a significant IC50 value of 9.6 nM and can be used as a lead for further drug development.

IT 209480-56-8

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug design, structure-activity profile and a sequential virtual screening procedure for new serotonin 5-HT6 ligands from common feature pharmacophore hypotheses)

RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:1204051 CAPLUS

DN 147:486320

TI Preparation of (hetero)arylsulfonamides as modulators of serotonin 5HT6 receptors and dopamine D3 receptors for the treatment of CNS disorders

IN Grandel, Roland; Braje, Wilfried Martin; Haupt, Andreas; Turner, Sean
Colm; Lange, Udo; Drescher, Karla; Unger, Liliane; Plata, Dan

PA Abbott Gmbh & Co. KG, Germany

SO PCT Int. Appl., 2008pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007118899	A1	20071025	WO 2007-EP53807	20070418
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,			

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, GK, KZ, MD, RU, TJ, TM

US 2006-793139P P 20060419

OS MARPAT 147:486320

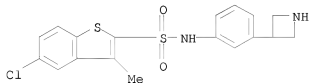
AB Title compds. I [wherein n = 0-2; G = CH₂ or CHR₃; R₁ = H, (un)substituted alkyl, cycloalkyl, etc.; R₂ - R₄ = H, Me, CF₃, CHCF₂ or CH₂F; A = (un)substituted 1,4- or 1,3-phenylene; E = NH, N(alkyl) or CH₂; Ar = (un)substituted Ph, pyridinyl, thienyl or benzothiophenyl] and physiol. tolerated acid addition salts thereof were prepared. I generally exhibit very good affinities for the 5HT₆ receptor. Some of them, in particular those having 1,4-phenylene as group A, also exhibit very good affinities for the D₃ receptor, and bind selectively to the dopamine D₃ receptor over the dopamine D₂ receptor. For instance, II·HCl was synthesized by sulfonylation of the corresponding aniline with 2-methylthiophene-2-sulfonyl chloride, and had binding constant K_i values of 1-10 nM for 5HT₆ and D₃ receptors and binding selectivity of K_i(D₂)/K_i(D₃) larger than 150. The invented compds. and their pharmaceutical compns. are useful for the treatment of diseases such as CNS disorders.

IT 954376-52-4P 954376-70-6P 954376-77-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as modulators of serotonin 5HT₆ receptors and dopamine D₃ receptors for treatment of CNS disorders)

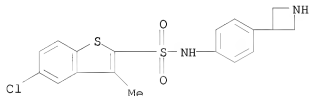
RN 954376-52-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-(3-azetidiny)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



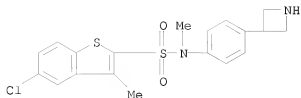
RN 954376-70-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(3-azetidiny)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



RN 954376-77-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(3-azetidiny)phenyl]-5-chloro-N,3-dimethyl- (CA INDEX NAME)

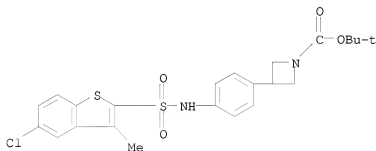


IT 954376-78-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as modulators of serotonin 5HT₆ receptors and dopamine D₃ receptors for treatment of CNS disorders)

RN 954376-78-4 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

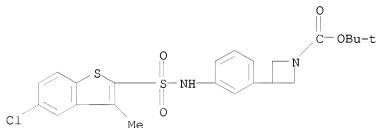


IT 954376-53-5P 954376-79-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzenesulfonamides and (benzo)thiophenesulfonamides as modulators of serotonin 5HT₆ receptors and dopamine D₃ receptors for treatment of CNS disorders)

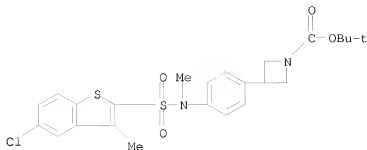
RN 954376-53-5 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 954376-79-5 CAPLUS

CN 1-Azetidinecarboxylic acid, 3-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1077171 CAPLUS
DN 147:406699
TI Preparation of substituted tetrahydroisoquinolines as 5-HT6 receptor
modulators
IN Torrens Jover, Antoni; Mas Prio, Josep; Port Casamitjana, Adriana;
Buschmann, Helmut H.
PA Laboratorios del Dr. Esteve, S.A., Spain
SO Eur. Pat. Appl., 102pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1837332	A1	20070926	EP 2006-380059	20060323
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
WO 2007107373	A1	20070927	WO 2007-EP2569	20070323
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

OS CASREACT 147:406699; MARPAT 147:406699
AB Title compds. I [R1 = H, (un)substituted alkyl, cycloalkyl, etc.; R2-5 independently = H, halo, NO2, NH2, etc.], and their pharmaceutically acceptable salts, were prepared and disclosed for the preparation of medicaments,
which are particularly suitable for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors. Thus, e.g. II was prepared by sulfonylation of tert-Bu 6-amino-3,4-dihydroisoquinoline-2(1H)-carboxylate with

4-methylnaphthalene-1-sulfonyl chloride. I were evaluated for their binding to the 5-HT₆ receptor, e.g., II exhibited 8.2% binding at 100 nM.

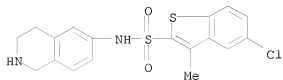
IT 950822-74-9P 950822-76-1P 950822-87-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted tetrahydroisoquinolines as 5-HT₆ receptor modulators)

RN 950822-74-9 CAPLUS

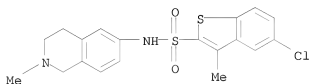
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(1,2,3,4-tetrahydro-6-isoquinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 950822-76-1 CAPLUS

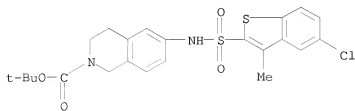
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(1,2,3,4-tetrahydro-2-methyl-6-isoquinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 950822-87-4 CAPLUS

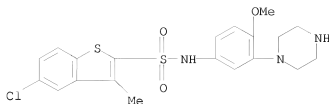
CN 2(1H)-Isoquinolinecarboxylic acid, 6-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3,4-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2007:892039 CAPLUS
 DN 147:336113
 TI (±) Ketamine-induced prepulse inhibition deficits of an acoustic startle response in rats are not reversed by antipsychotics
 AU Cilia, Jackie; Hatcher, Paula; Reavill, Charlie; Jones, Declan N. C.
 CS Psychiatry CEDD, GlaxoSmithKline, Horlow, UK
 SO Journal of Psychopharmacology (London, United Kingdom) (2007), 21(3), 302-311
 CODEN: JOPSEQ; ISSN: 0269-8811
 PB Sage Publications Ltd.
 DT Journal
 LA English
 AB Prepulse inhibition (PPI) is the reduction in the startle response caused by a low intensity non-startling stimulus (the prepulse) which is presented shortly before the startle stimulus and is an operational measure of sensorimotor gating. PPI is impaired in psychiatric disorders such as schizophrenia. Ketamine, a non-competitive N-methyl-D-aspartate antagonist has been shown to induce schizophrenia-like behavioral changes in humans and PPI deficits in rats, which can be reversed by antipsychotics. Thus, ketamine-induced PPI deficits in rats may provide a translational model of schizophrenia. The aim of this study was to investigate the effects of antipsychotic drugs and drugs known to alter the glutamate system upon ketamine-induced PPI deficits in rats. Rats were habituated to the PPI procedure [randomized trials of either pulse alone (110 dB/50 ms) or prepulse + pulse (80 dB/10 ms)]. Animals were assigned to pre-treatments based on the level of PPI on the last habituation test and balanced across startle chambers. Ketamine (1-10 mg/kg s.c.; 15 min ptt) increased startle amplitude and induced PPI deficits at 6 and 10 mg/kg. PPI deficits induced by ketamine at 6 mg/kg were not attenuated by clozapine (2.5-10 mg/kg s.c.; 60 min ptt), risperidone (0.1-1 mg/kg i.p.; 60 min ptt), haloperidol (0.1-1 mg/kg i.p.; 60 min ptt), lamotrigine (3-30 mg/kg p.o.; 60 min ptt), or SB-271046-A (5-20 mg/kg p.o.; 2 h ptt) nor potentiated by 2-methyl-6-(phenylethynyl)-pyridine (3-10 mg/kg i.p.; 30 min ptt). These results suggest that under these test conditions ketamine-induced PPI deficits in rats is relatively insensitive and does not represent a translational model for drug discovery in schizophrenia.
 IT 209481-24-3, SB-271046-A
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (ketamine-induced prepulse inhibition deficits of acoustic startle response was insensitive to clozapine, risperidone, haloperidol, lamotrigine and SB-271046-A in rat and was not effective model for drug discovery in schizophrenia)
 RN 209481-24-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:872431 CAPLUS
DN 147:211732
TI Preparation of tetrahydro- β -carbolinsulfonamides as 5-HT₆ receptor
inhibitors
IN Diaz-Fernandez, Jose Luis; Merce-Vidal, Ramon; Holenz, Joerg
PA Laboratorios del Dr. Esteve S.A., Spain
SO Eur. Pat. Appl., 19pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1747779	A1	20070131	EP 2005-380174	20050728
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
	CA 2616729	A1	20070315	CA 2006-2616729	20060726
				EP 2005-380174	A 20050728
				WO 2006-EP7358	W 20060726
WO	2007028460	A1	20070315	WO 2006-EP7358	20060726
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2005-380174	A 20050728
EP	1919475	A1	20080514	EP 2006-818244	20060726
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				EP 2005-380174	A 20050728
				WO 2006-EP7358	W 20060726
MX	200801314	A	20080602	MX 2008-1314	20080128
				ES 2005-380174	A 20050728

			WO 2006-EP7358	W	20060726
CN 101272785	A	20080924	CN 2006-80035713		20080327
			EP 2005-380174	A	20050728
			WO 2006-EP7358	W	20060726

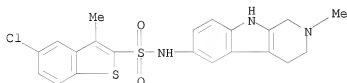
OS CASREACT 147:211732; MARPAT 147:211732

AB Title compds. I [R1, R2 = H, alkyl, alkenyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = CONRaRb, COORa; Ra, Rb = H, alkyl, aryl, etc.; R5 = NRcSO2Rd; R6 = H, alkyl, etc.; Rd = aryl, heteroaryl; R6 = H, alkyl, aryl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, N-acylation of amine II with 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride afforded claimed sulfonamide III. In 5-HT6 receptor inhibition assays, 2-examples of compds. I exhibited Ki values ranging from 2.4-2.8 nM.

IT 944835-35-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of tetrahydro- β -carbolinsulfonamides as 5-HT6 receptor inhibitors)

RN 944835-35-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(2,3,4,9-tetrahydro-2-methyl-1H-pyrido[3,4-b]indol-6-yl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:859258 CAPLUS

DN 147:269514

TI The effects of pharmacological blockade of the 5-HT6 receptor on formalin-evoked nociceptive behavior, locomotor activity and hypothalamo-pituitary-adrenal axis activity in rats

AU Finn, David P.; Fone, Kevin C. F.; Beckett, Simon R. G.; Baxter, Jonathan A.; Ansell, Lucy; Marsden, Charles A.; Chapman, Victoria

CS Department of Pharmacology and Therapeutics, Galway, National University of Ireland, University Road, Galway, Ire.

SO European Journal of Pharmacology (2007), 569(1-2), 59-63
 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier B.V.

DT Journal

LA English

AB 5-Hydroxytryptamine (5-HT) mediates behavioral and neuroendocrine responses to noxious or stressful stimuli. 5-HT6 receptors are expressed in brain regions involved in nociceptive processing, however, their role in nociception is unknown. Here we demonstrate that acute, systemic administration of the 5-HT6 receptor antagonist, 5-chloro-N-(4-methoxy-3-benzothio-phenesulfonamide (SB-271046)), reduces formalin-evoked nociceptive behavior and increases plasma corticosterone. SB-271046 dose-dependently reduced pre-formalin distance moved, rearing, grooming and defecation. These data provide the first evidence for 5-HT6

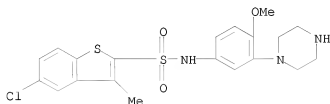
receptor-mediated regulation of nociception and hypothalamo-pituitary-adrenal axis activity in a model of persistent pain although effects on locomotor activity demand that the putative antinociceptive effect of SB-271046 be interpreted with some caution.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of pharmacol. blockade of 5-HT6 receptor on nociception behavior, locomotor activity and hypothalamo-pituitary-adrenal axis activity)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:793635 CAPLUS

DN 147:158506

TI Method using a combination of an acetylcholinesterase inhibitor and a 5-HT6 antagonist for the treatment of cognitive dysfunction

IN Comery, Thomas Anthony; Schechter, Lee Erwin

PA Wyeth, John, and Brother Ltd., USA

SO U.S. Pat. Appl. Publ., 15pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070167431	A1	20070719	US 2007-652725	20070112
				US 2006-758841P	P 20060113
	AU 2007208516	A1	20070802	AU 2007-208516	20070109
				US 2006-758841P	P 20060113
				WO 2007-US354	W 20070109
	CA 2635920	A1	20070802	CA 2007-2635920	20070109
				US 2006-758841P	P 20060113
				WO 2007-US354	W 20070109
	WO 2007087151	A2	20070802	WO 2007-US354	20070109
	WO 2007087151	A3	20071115		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 1971334 A2 20080924 US 2006-758841P P 20060113
20070109
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
US 2006-758841P P 20060113
WO 2007-US354 W 20070109

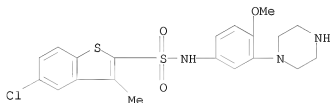
OS MARPAT 147:158506

AB The invention provides a method for the treatment of a cognitive disorder,
e.g. Alzheimer's disease, in a patient in need thereof which comprises
providing to the patient a therapeutically effective amount of a combination
of an acetylcholinesterase inhibitor and a 5-HT6 antagonist.

IT 209481-20-9 209481-20-9D, salts
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(acetylcholinesterase inhibitor combination with 5-HT6 antagonist for
cognitive dysfunction treatment)

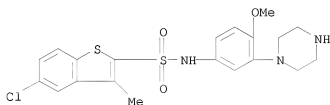
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-
piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-
piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 26 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:705941 CAPLUS

DN 147:110267

TI Use of amino alcohol derivatives for the treatment of overactive bladder
IN Trieselmann, Thomas; Hamilton, Bradford S.; Mueller, Stephan G.; Stenkamp,
Dirk

PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim
Pharma GmbH & Co. KG

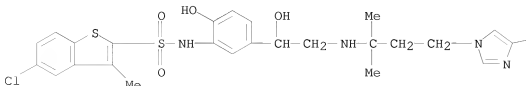
SO PCT Int. Appl., 67pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 200701653	A1	20070628	WO 2006-EP69856	20061218
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				EP 2005-27738	A 20051219
				DE 2006-102006003697A	20060126
	DE 102006003697	A1	20070802	DE 2006-102006003697	20060126
	EP 1965782	A1	20080910	EP 2006-830693	20061218
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
				EP 2005-27738	A 20051219
				DE 2006-102006003697A	20060126
				WO 2006-EP69856	W 20061218
OS	MARPAT 147:110267				
AB	The invention discloses the use of β -agonist amino alc. compds. I [R1, R2, R10, R11 = H, halo, CN, etc.; n = 0-3; R3 = H, (un)substituted C1-10 alkyl, etc.; R4, R5 = H, halo, etc.; R6 = (un)substituted morpholino, (un)substituted thiomorpholino, etc.; R8 = H, (un)substituted C1-10 alkyl, etc.; R9 = H, (un)substituted C1-10 alkyl, etc.; R12 = H, (un)substituted benzyl, etc.] and II [R1 = (un)substituted (hetero)aryl; R2 = (un)substituted heteroaryl or heterocyclyl (R2 contains ≥ 1 N atom); R3, R4 = H, (un)substituted C1-5 alkyl, etc.; R5-R7 = H, (un)substituted C1-10 alkyl, etc.], as well as tautomers, enantiomers, diastereomers, mixts., prodrugs, and salts thereof, particularly the physiol. acceptable salts thereof with inorg. or organic acids or bases, for preparing a medicament for the treatment of overactive bladder.				
IT	942577-51-7				
	RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (amino alc. derivative β -agonists for treatment of overactive bladder)				
RN	942577-51-7	CAPLUS			
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-[2-[[1,1-dimethyl-3-(4-phenyl-1H-imidazol-1-yl)propyl]amino]-1-hydroxyethyl]-2-hydroxyphenyl]-3-methyl- (CA INDEX NAME)				

PAGE 1-A

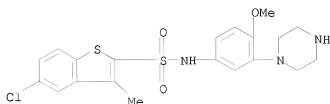


—Ph

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2007:632414 CAPLUS
 DN 147:110394
 TI Development of a liquid chromatography/tandem mass spectrometry method for the quantitation of acetylcholine and related neurotransmitters in brain microdialysis samples
 AU Zhang, Mei-Yi; Hughes, Zoe A.; Kerns, Edward H.; Lin, Qian; Beyer, Chad E.
 CS Chemical and Screening Sciences, Wyeth Research, Princeton, NJ, 08543, USA
 SO Journal of Pharmaceutical and Biomedical Analysis (2007), 44(2), 586-593
 CODEN: JPBADA; ISSN: 0731-7085
 PB Elsevier B.V.
 DT Journal
 LA English
 AB Monitoring concns. of acetylcholine (ACh) in specific brain regions is important in understanding disease pathol., as well as in designing and evaluating novel disease-modifying treatments where cholinergic dysfunction is a hallmark feature. We have developed a sensitive and quant. liquid chromatog./tandem mass spectrometry method to analyze the extracellular concns. of ACh, choline (Ch) and (3-carboxypropyl)-trimethylammonium (iso-ACh) in brain microdialysis samples of freely moving animals. One immediate advantage of this new method is the ability to monitor ACh in its free form without having to use a cholinesterase inhibitor in the perfusate. The separation of ACh, Ch, iso-ACh and related endogenous compds. was carried out based on cation exchange chromatog. with a volatile elution buffer consisting of ammonium formate, ammonium acetate and acetonitrile. An unknown interference of ACh, which was observed in brain microdialyzates from many studies, was well separated from ACh to ensure the accuracy of the measurement. Optimization of electrospray ionization conditions for these quaternary ammonium compds. achieved the limits of detection (S/N = 3) of 0.2 fmol for ACh, 2 fmol for Ch and 0.6 fmol for iso-ACh using a benchtop tandem quadrupole mass spectrometer with moderate sensitivity. The limit of quantitation (S/N = 10) was 1 fmol for ACh, 3 fmol for iso-ACh and 10 fmol for Ch. This method was selective, precise (<10% R.S.D.), and sensitive over a range of 0.05-10 nM for ACh, 0.25-50 nM for iso-ACh and 15-3000 nM for Ch. To demonstrate that the developed method can be applied to monitoring changes in ACh concns. in vivo, reference agents that have previously been shown to influence ACh levels were studied in rat dorsal hippocampus. This includes the 5-HT₆ receptor antagonist, SB-271046, and the cholinesterase inhibitor, donepezil. Moreover, levels of ACh were demonstrated to be sensitive to infusion of tetrodotoxin (TTX) suggesting that the ACh being measured in vivo was of neuronal origin. Collectively, these biol. data provided in vivo validation of this anal. method.
 IT 209481-20-9, SB-271046
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (acetylcholine and related neurotransmitters determination in brain microdialysis samples by liquid chromatog./tandem mass spectrometry after SB-271046 administration)

RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2007:536929 CAPLUS
 DN 146:521555
 TI Preparation of indene derivatives for treatment of 5-HT6 receptors mediated diseases
 IN Frigola-Constansa, Jordi; Merce-Vidal, Ramon; Holenz, Joerg; Alcalde Pais, Maria de las Ermitas; Mesquida Estevez, Maria de les Neus; Lopez Perez, Sara
 PA Laboratorios del Dr. Esteve, S.A., Spain
 SO PCT Int. Appl., 95pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007054257	A2	20070518	WO 2006-EP10627	20061107
WO 2007054257	A3	20071018		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
ES 2274725	A1	20070516	ES 2005-2720	A 20051108
ES 2274725	B1	20080401	US 2005-735042P	P 20051108
CA 2628856	A1	20070518	ES 2005-2720	20051108
			CA 2006-2628856	20061107
			ES 2005-2720	A 20051108
			US 2005-735042P	P 20051108
			WO 2006-EP10627	W 20061107
EP 1960343	A2	20080827	EP 2006-818389	20061107
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR		ES 2005-2720	A 20051108

			US 2005-735042P	P	20051108
			WO 2006-EP10627	W	20061107
MX	200805834	A	20080516	MX	2008-5834
			ES 2005-2720	A	20051108
			US 2005-735042P	P	20051108
			WO 2006-EP10627	W	20061107

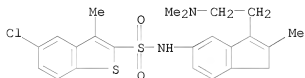
OS CASREACT 146:521555; MARPAT 146:521555

AB Title compds. represented by the formula I [wherein n = 0-4; R1 = (un)substituted (un)saturated (hetero)cycloaliph. radical, amino, CO2H, etc.; R2-R5 = independently H, NO2, NH2, etc.; A = C=CR6R6' or CR6R6'; R6, R6' = independently H, NH2, OH, etc.; and pharmaceutically acceptable salts, isomers, prodrugs or solvates thereof] were prepared For example, reaction of 2-methyl-6-nitroindan-1-one with 1.05 equiv of dry AcOEt in the presence of 1 M LHMDS solution in THF gave (2-methyl-6-nitro-3H-inden-1-yl)acetic acid. I had a binding test to 5-HT6 receptors, II showed 4.8 nM (Ki). Thus, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by 5-HT6 receptors, such as obesity (no data).

IT 936573-43-2P, N-[3-(2-Dimethylaminoethyl)-2-methyl-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of indene derivs. for treatment of 5-HT6 receptors mediated diseases)

RN 936573-43-2 CAPLUS

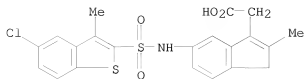
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-2-methyl-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)



IT 936573-29-4P 936573-38-5P,
 N-[2-Methyl-3-[2-oxo-2-(pyrrolidin-1-yl)ethyl]-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-46-5P,
 N-Ethyl-N-[3-(2-dimethylaminoethyl)-2-methyl-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-50-1P
 936573-61-4P, N-[2-Methyl-3-[2-(pyrrolidin-1-yl)ethyl]-1H-inden-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 936573-65-8P
 , 5-Chloro-N-[3-[2-(dimethylamino)ethyl]-1,1-dimethyl-1H-inden-5-yl]-3-methylbenzo[b]thiophene-2-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of indene derivs. for treatment of 5-HT6 receptors mediated diseases)

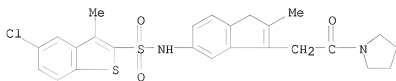
RN 936573-29-4 CAPLUS

CN 1H-Indene-3-acetic acid, 5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl- (CA INDEX NAME)



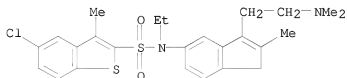
RN 936573-38-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-3-(2-oxo-2-(1-pyrrolidinyl)ethyl)-1H-inden-5-yl]- (CA INDEX NAME)



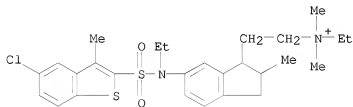
RN 936573-46-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-2-methyl-1H-inden-5-yl]-N-ethyl-3-methyl- (CA INDEX NAME)



RN 936573-50-1 CAPLUS

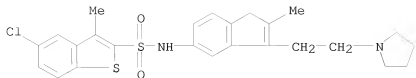
CN 1H-Indene-1-ethanaminium, 6-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]ethylamino]-N-ethyl-2,3-dihydro-N,N,2-trimethyl-, iodide (1:1) (CA INDEX NAME)



● I⁻

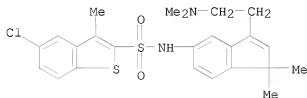
RN 936573-61-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-3-[2-(1-pyrrolidinyl)ethyl]-1H-inden-5-yl]- (CA INDEX NAME)



RN 936573-65-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(2-(dimethylamino)ethyl)-1,1-dimethyl-1H-inden-5-yl]-3-methyl- (CA INDEX NAME)



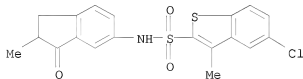
IT 936573-77-2P, N-(2-Methyl-3-oxoindan-5-yl)-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indene derivs. for treatment of 5-HT6 receptors mediated diseases)

RN 936573-77-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-2-methyl-3-oxo-1H-inden-5-yl)-3-methyl- (CA INDEX NAME)



L6 ANSWER 29 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:188209 CAPLUS

DN 146:351556

TI Whole spectrum analysis of ligand efficacy at constitutively active human wild-type and S267K 5-HT6 receptors in HEK-293F cells

AU Romero, Gonzalo; Pujol, Marta; Perez, Pilar; Buschmann, Helmut; Pauwels, Petrus J.

CS Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain

SO Journal of Pharmacological and Toxicological Methods (2007), 55(2), 144-150

CODEN: JPTMEZ; ISSN: 1056-8719

PB Elsevier B.V.

DT Journal

LA English

AB Modulation of constitutive activity by the recombinant wild-type human 5-HT6 receptor was investigated with a series of 5-HT6 receptor ligands by

monitoring the cAMP signaling pathway. The impact of the mutation S267K near the B261BXXB265 CIII-loop motif was analyzed on the magnitude of constitutive receptor activity as previously conflicting results have been reported. The wild-type 5-HT₆ receptor plasmid was obtained by PCR and the mutant S267K5-HT₆ receptor was constructed by site-directed mutagenesis and stably transfected in HEK-293F cells by electroporation. The cAMP signaling pathway was monitored as a functional read-out to investigate ligands' responses using homogeneous time resolved fluorescence. Results showed that constitutive activity was present both at wild-type and mutant S267K 5-HT₆ receptors. Neg. efficacy (E_{max}, % vs. basal) as observed at nanomolar concns. with SB-271046 was larger for mutant (- 92±1%) than wild-type 5-HT₆ receptor (- 45±1%). Ro 04-6790 also demonstrated neg. efficacy at the wild-type 5-HT₆ receptor with a magnitude similar to SB-271046 but with a 36-fold lower potency. MS-245 demonstrated at nanomolar concns. intermediate neg. efficacy; - 48±3% and - 16±2% at mutant and wild-type 5-HT₆ receptor, resp. The 5-HT-mediated cAMP response was blocked by SB-271046, MS-245 and Ro 04-6790 to their resp. level of neg. efficacy with pK_B values fitting with their binding pK_i values. E-6801 was a highly potent (pEC₅₀: 10.17 to 10.19) and efficacious agonist (+ 98 to + 102% vs. 5-HT) at both wild-type and mutant 5-HT₆ receptors. Thus, the recombinant wild-type human 5-HT₆ receptor is constitutively active in HEK-293F cells and displays a high resolution to monitor efficacy properties of 5-HT₆ receptor ligands. The resolution capacity to differentiate between efficacy properties of 5-HT₆ receptor ligands, in particular for neg. efficacy, can be further enhanced by monitoring the mutant S267K 5-HT₆ receptor.

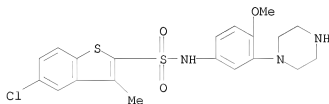
IT 209481-20-9, SB-271046

RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)

(whole spectrum anal. of ligand efficacy at constitutively active human wild-type and S267K 5-HT₆ receptors in HEK-293F cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:113558 CAPLUS

DN 146:206308

TI Preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists.

IN Brooks, Carl; Cleary, Pamela A.; Goodman, Krista B.; Peace, Simon; Philp, Joanne; Sehon, Clark A.; Smethurst, Christian; Watson, Stephen Paul

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007014054	A2	20070201	WO 2006-US28419	20060721
	WO 2007014054	A3	20071115		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
				GB 2005-15194	A 20050722
				GB 2005-19492	A 20050923

OS MARPAT 146:206308

AB Title compds. [I; R1 = (substituted) aryl, thienyl, benzothienyl, imidazolyl, pyridyl, isoquinolinyl, piperonyl, benxoxathiadiazolyl, benzodiazolyl; m = 1-3; R2 = halo, cyano, OCF3, CF3; R3 = (substituted) heteroaryl, heterocycloalkyl], were prepared as CCR2 chemokine receptor antagonists (no data). Thus, [5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]amine (preparation given) in pyridine was treated with 4-dimethylaminopyridine and 3,4-dichlorobenzoyl chloride followed by heating of the mixture at 90° for 4 h to give 3,4-dichloro-N-[5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]benzenesulfonamide.

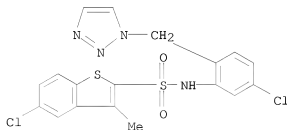
IT 922710-52-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolylmethylbenzenesulfonamides as CCR2 chemokine receptor antagonists)

RN 922710-52-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-chloro-2-(1H-1,2,3-triazol-1-ylmethyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 31 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:34497 CAPLUS

DN 146:142690

TI Benzoxazepinylbenzenesulfonamide and process for their preparation, intermediates, pharmaceutical compositions and their use in the treatment

of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, cognitive impairment associated with schizophrenia, obesity and Parkinson's disease

IN Nordvall, Gunnar; Petersson, Carl; Sehgelmeble, Fernando

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 76pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007004959	A1	20070111	WO 2006-SE827	20060703
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	EP 1910321	A1	20080416	SE 2005-1579 EP 2006-758020	A 20050705 20060703
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
	IN 2007DN10115	A	20080704	SE 2005-1579 WO 2006-SE827 IN 2007-DN10115	A 20050705 W 20060703 20071227
	CN 101258135	A	20080903	SE 2005-1579 WO 2006-SE827 CN 2006-80032455 SE 2005-1579 WO 2006-SE827	A 20050705 W 20060703 20080305 A 20050705 W 20060703

OS CASREACT 146:142690; MARPAT 146:142690

AB The invention relates to new compds. of formula I, or salts, solvates or solvated salts thereof, process for their preparation and to new intermediates used in the preparation thereof, pharmaceutical compns. containing said compds. and

to the use of said compds. in the treatment of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, cognitive impairment associated with schizophrenia, obesity and Parkinson's disease. Compds. of formula I wherein Q is C6-10 aryl-C0-6 alkyl, C5-11 heteroaryl-C0-6 alkyl, C3-7 (hetero)cycloalkyl-C0-6 alkyl, and C1-10 alkyl; R1 and R2 are independently H, OH, halo, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C1-10 alkoxy, etc.; n is 0, 1, 2, 3, 4, and 5; B is O, and NH and derivs.; X is O, CH2, CO, S, SO, SO2 and NH and derivs.; R3 is H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C6-10 aryl-C0-6 alkyl, etc.; R4 is H, C1-5 (halo)alkyl, and C1-5 (halo)alkoxy, etc.; R5 is H, C1-6 (halo)alkyl, C1-6 (halo)alkoxy, etc.; R9 is H, OH, halo, C1-6 alkyl, C1-6 alkoxy-C0-3 alkyl, etc. and their pharmaceutically acceptable salts, solvates and solvated salts thereof, are claimed. Example compound II was prepared by reductive alkylation of 2-(methyldamino)ethanol with 2-hydroxy-5-nitrobenzaldehyde; the resulting 2-[(2-hydroxyethyl)methylamino]methyl-4-nitrophenol underwent cyclization to give 4-methyl-7-nitro-2,3,4,5-tetrahydro-1,4-benzoxazepine, which underwent reduction to give

4-methyl-2,3,4,5-tetrahydro-1,4-benzoxazepin-7-amine, which underwent sulfonylation with 3-bromobenzenesulfonyl chloride to give compound II. All the invention compds. were evaluated for their 5-HT6 binding affinity (data given).

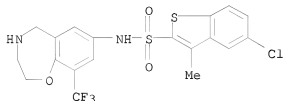
IT 918900-14-8P 918900-27-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoxazepinylbenzenesulfonamides and their use in the treatment of 5-HT6 mediated disorders such as Alzheimer's disease, cognitive disorders, obesity, and Parkinson's disease)

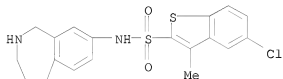
RN 918900-14-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2,3,4,5-tetrahydro-9-(trifluoromethyl)-1,4-benzoxazepin-7-yl]- (CA INDEX NAME)



RN 918900-27-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(2,3,4,5-tetrahydro-1H-2-benzoxazepin-8-yl)- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 32 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:11341 CAPLUS

DN 146:121941

TI Pyrrolo[2,3-b]pyridine derivatives as protein kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Ibrahim, Prabha N.; Artis, Dean R.; Bremer, Ryan; Habets, Gaston; Mamo, Shumeye; Nespi, Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Zuckerman, Rebecca; West, Brian; Suzuki, Yoshihisa; Tsai, James; Hirth, Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel J.; Wu, Guoxian; Zhu, Hongyao; Shi, Shenghua

PA Flexxikon, Inc., USA

SO PCT Int. Appl., 631 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

PI	WO 2007002433	A1	20070104	WO 2006-US24524	20060621
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				US 2005-692960P	P 20050622
				US 2005-731528P	P 20051028
AU	2006261993	A1	20070104	AU 2006-261993	20060621
				US 2005-692960P	P 20050622
				US 2005-731528P	P 20051028
CA	2613015	A1	20070104	WO 2006-US24524	W 20060621
				CA 2006-2613015	20060621
				US 2005-692960P	P 20050622
				US 2005-731528P	P 20051028
EP	1893612	A1	20080305	WO 2006-US24524	W 20060621
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, YU			EP 2006-773861	20060621
				US 2005-692960P	P 20050622
				US 2005-731528P	P 20051028
				WO 2006-US24524	W 20060621

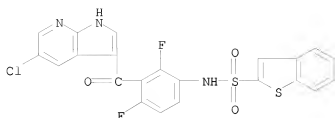
PATENT FAMILY INFORMATION:

FAN 2007:11300

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007002325	A1	20070104	WO 2006-US24361	20060621
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				WO 2006-US24361	W 20060621
KR	2008030619	A	20080404	KR 2008-701659	20080121
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				US 2005-731528P	P 20051028
				WO 2006-US24361	W 20060621
CN	101243084	A	20080813	CN 2006-80030326	20080220
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FAN		2007:119234		US 2005-731528P		P 20051028	
PATENT NO.		KIND	DATE	WO 2006-US24361		W 20060621	
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				US 2005-692960P	P	20050622	
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				US 2005-682051P	P	20050517	
				US 2005-682063P	P	20050517	
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				US 2005-692750P	P 20050622
				US 2005-692960P	P 20050622
				WO 2006-US18726	W 20060516
NO	2007005992	A	20080213	NO 2007-5992	20071123
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				US 2005-682063P	P 20050517
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				US 2005-692960P	P 20050622
				WO 2006-US18726	W 20060516
KR	2008027775	A	20080328	KR 2007-729428	20071217
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				WO 2006-US18726	W 20060516
CN	101223169	A	20080716	CN 2006-80026005	20080116
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				WO 2006-US18726	W 20060516
OS	MARPAT 146:121941				
AB	<p>Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted aryl, (un)substituted indole, (un)substituted heteroaryl, etc.; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO₂; R₄ - R₆ is H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted alkynyl, (un)substituted (hetero)cycloalkyl, and (un)substituted (hetero)aryl; and their pharmaceutically acceptable salts, prodrugs, tautomers, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrogenation to give the corresponding benzoic acid, which underwent chlorination, to give the corresponding acid chloride, which underwent reaction with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the tested compds. exhibited good protein kinase inhibitory activity against several kinases.</p>				
IT	<p>918506-02-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)</p>				
RN	918506-02-2 CAPLUS				
CN	<p>Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]- (CA INDEX NAME)</p>				



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:11300 CAPLUS
DN 146:142627
TI Pyrrolo[2,3-b]pyridine derivatives as protein kinase inhibitors and their
preparation, pharmaceutical compositions and use in the treatment of
diseases
IN Ibrahim, Prahbha N.; Artis, Dean R.; Bremer, Ryan; Mamo, Shumeye; Nespi,
Marika; Zhang, Chao; Zhang, Jiazhong; Zhu, Yong-Liang; Tsai, James; Hirth,
Klaus-Peter; Bollag, Gideon; Spevak, Wayne; Cho, Hanna; Gillette, Samuel
J.; Wu, Guoxiam; Zhu, Hongyao; Shi, Shenghua
PA Flexxikon, Inc., USA
SO PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

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PI	WO 2007002325	A1	20070104	WO 2006-US24361	20060621
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	KR 2008030619	A	20080404	KR 2008-701659	20080121
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				US 2005-731528P	P 20051028
				WO 2006-US24361	W 20060621
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FAN 2007:11341

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PI WO 2007002433	A1	20070104	WO 2006-US24524	20060621
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PI WO 2007013896	A2	20070201	WO 2006-US18726	20060516
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			US 2005-692750P	P	20050622

OS MARPAT 146:14627

AB Compds. of formula I which are active on protein kinases are described, as well as methods of using such compds. to treat diseases and conditions associated with aberrant activity of protein kinases. Compds. of formula I wherein Q is (un)substituted (hetero)aryl, and (un)substituted indole; A is O, S, (un)substituted methylene, NH and derivs., CO, CS, SO and SO₂; R₄ - R₆ are independently H, halo, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl, etc.; and their pharmaceutically acceptable salts, prodrugs, tautomer, and isomers thereof, are claimed. Example compound II was prepared by carboxylation of 2,4-difluoroaniline with benzyl chloroformate; the resulting benzyl 3-amino-2,6-difluorobenzoate underwent sulfonylation with propane-1-sulfonyl chloride to give benzyl 2,6-difluoro-3-(propylsulfonylamino)benzoate, which underwent hydrolysis to give the corresponding benzoic acid, which underwent chlorination and coupling with 5-bromo-7-azaindole to give compound II. All the invention compds. were evaluated for their protein kinase inhibitory activity. Several of the invention compds. exhibited good inhibitory activity against various protein kinases.

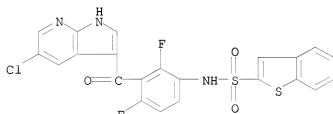
IT 918506-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolopyridine derivs. as protein kinase inhibitors useful in treatment of diseases)

RN 918506-02-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(5-chloro-1H-pyrrolo[2,3-b]pyridin-3-yl)carbonyl]-2,4-difluorophenyl]- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:1252827 CAPLUS

DN 146:27726

TI Preparation of 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivatives modulating the 5HT₆ receptor for treating Alzheimer's disease, cognitive impairment associated with schizophrenia, obesity and/or Parkinson's disease

IN Chu, Chester; Lister, Andrew; Nordvall, Gunnar; Petersson, Carl; Rotticci, Didier; Sohn, Daniel

PA Astrazeneca AB, Swed.

SO PCT Int. Appl., 146pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006126939	A1	20061130	WO 2006-SE593	20060522
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	CA 2609747	A1	20061130	SE 2005-1166 SE 2005-1168 WO 2006-SE593	A 20050523 A 20050523 W 20060522
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	MX 200714263	A	20080122	SE 2005-1166 WO 2006-SE593	A 20050523 W 20060522
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				CN 2006-80026971 SE 2005-1166 SE 2005-1168 WO 2006-SE593	20080123 A 20050523 A 20050523 W 20060522

OS MARPAT 146:27726

AB The present invention relates to 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivs. (shown as I; variables defined below; e.g. (3R)-5-methoxy-N,N-dimethyl-8-[(phenylsulfonyl)amino]chroman-3-ammonium acetate (1)) or salts, solvates or solvated salts thereof, processes for their preparation and to new intermediates used in the preparation

thereof, pharmaceutical formulations containing said compds. and to the use of said compds. for treating Alzheimer's disease, cognitive impairment associated with schizophrenia, obesity and/or Parkinson's disease (no data). For I: P is C6-10arylC0-6-alkyl, C5-11-heteroarylC0-6-alkyl, C3-7cycloalkylC0-6-alkyl, C3-7heterocycloalkylC0-6alkyl or C2-10alkyl; R1 is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl, C2-10alkynyl, C1-10alkoxy, amino, C6-10arylC0-6alkyl, et al.; n is 0-5; X is a single bond, C1-3alkyl, NR6, or X is N in a heteroalkyl or C5-11heteroaryl; or N, S, O, X and P form together a C8-11heteroaryl or C8-11bicycloheteroalkyl; Q is CH or O; R2 is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl, C2-10alkynyl, C1-10alkoxy, amino, et al. R3 is H, hydroxy, halogen, C1-10alkyl, C2-10alkenyl, C2-10alkynyl, C1-10alkoxy, amino, et al.; R4 and R5 = H, C1-5alkyl, C1-5haloalkyl, C2-5alkenyl, C2-5alkynyl, C3-6cycloalkyl, C5-6arylC1-2alkyl and C5-6heteroarylC1-2alkyl and may be substituted or R4 and R5 form together (un)substituted C3-7heterocycloalkyl; R6 is H, C1-6alkyl, C3-6cycloalkyl, R7OC1-6alkyl, C1-6haloalkyl, et al.; R9 is H, halogen, hydroxy, C1-6alkoxy, C1-6haloalkoxy, C1-6haloalkyl, C1-6alkyl or acyl; R10 is H, C1-6alkyl, C1-6alkoxy or C1-6haloalkyl; addnl. details are given in the claims. Binding consts. are tabulated for the 5HT6 receptor for 8 examples of I. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.320 examples of I are included. For example, 1 was prepared in 4 steps (68, 45, not given, and 45 % yields, resp.) involving the following intermediates:

(3R)-8-bromo-5-methoxy-N,N-dimethylchroman-3-amine,
(3R)-N'-(diphenylmethylene)-5-methoxy-N,N-dimethylchromane-3,8-diamine and
(3R)-5-methoxy-N,N-dimethylchromane-3,8-diamine.

IT 915939-94-5P, 5-Chloro-N-[(3R)-3-(dimethylamino)-5-methoxy-3,4-dihydro-2H-chromen-8-yl]-3-methyl-1-benzothiophene-2-sulfonamide
915941-30-9P, N-[(3R)-3-(Dimethylamino)-5-methoxy-3,4-dihydro-2H-chromen-8-yl]-5-fluoro-3-methyl-1-benzothiophene-2-sulfonamide
915941-65-0P, N-[(6S)-6-(Dimethylamino)-4-methoxy-5,6,7,8-tetrahydronaphthalen-1-yl]-5-fluoro-3-methyl-1-benzothiophene-2-sulfonamide

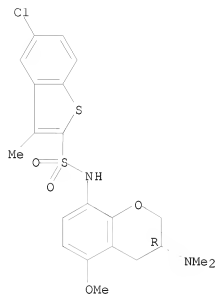
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 8-sulfonylamino-3-amino-substituted chroman or tetrahydronaphthalene derivs. modulating 5HT6 receptor for treating Alzheimer's disease and other disorders)

RN 915939-94-5 CAPUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3R)-3-(dimethylamino)-3,4-dihydro-5-methoxy-2H-1-benzopyran-8-yl]-3-methyl- (CA INDEX NAME)

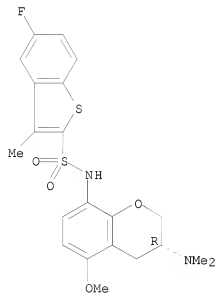
Absolute stereochemistry.



RN 915941-30-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(3R)-3-(dimethylamino)-3,4-dihydro-5-methoxy-2H-1-benzopyran-8-yl]-5-fluoro-3-methyl- (CA INDEX NAME)

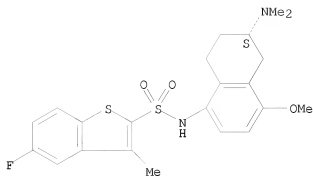
Absolute stereochemistry.



RN 915941-65-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(6S)-6-(dimethylamino)-5,6,7,8-tetrahydro-4-methoxy-1-naphthalenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



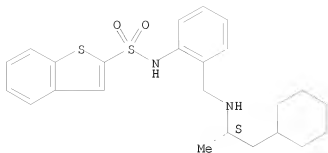
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1173311 CAPLUS
DN 145:483686
TI Substituted aromatic compound tRNA synthetase inhibitors as antimicrobial agents
IN Das, Biswajit; Arora, Jasbir Singh; Ahmed, Shahadat; Bandyopadhyay, Anish; Katoch, Rita; Kurhade, Santosh Haribhau; Rathy, Sujata; Ghosh, Soma; Khoje, Abhijit Datta; Gujrati, Arti; Upadhyay, Dilip J.
PA Ranbaxy Laboratories Limited, India
SO PCT Int. Appl., 187pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006117762	A2	20061109	WO 2006-IB51397	20060503
	WO 2006117762	A3	20070208		
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				IN 2005-DE1102	A 20050503
				IN 2005-DE1936	A 20050722
				IN 2006-DE978	A 20060410
AU	2006242824	A1	20061109	AU 2006-242824	20060503
				IN 2005-DE1102	A 20050503
				IN 2005-DE1936	A 20050722
				IN 2006-DE978	A 20060410
				WO 2006-IB51397	W 20060503
CA	2606804	A1	20061109	CA 2006-2606804	20060503
				IN 2005-DE1102	A 20050503
				IN 2005-DE1936	A 20050722

			IN 2006-DE978	A	20060410
			WO 2006-IB51397	W	20060503
EP 1879877	A2	20080123	EP 2006-744865		20060503
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			IN 2005-DE1936	A	20050722
			IN 2006-DE978	A	20060410
			WO 2006-IB51397	W	20060503
IN 2007/DN09226	A	20080118	IN 2007-DN9226		20071129
			WO 2006-IB51397	W	20060503
KR 2008014812	A	20080214	KR 2007-727982		20071130
			IN 2005-DE1102	A	20050503
			IN 2005-DE1936	A	20050722
			IN 2006-DE978	A	20060410
			WO 2006-IB51397	W	20060503
CN 101203504	A	20080618	CN 2006-80022625		20071224
			IN 2005-DE1102	A	20050503
			IN 2005-DE1936	A	20050722
			IN 2006-DE978	A	20060410
			WO 2006-IB51397	W	20060503
OS	MARPAT 145:483686				
AB	The invention provides substituted aromatic compds. which are tRNA synthetase inhibitors and can be used as antimicrobial agents. The compds. of the invention can be used for the treatment or prevention of a condition caused by or contributed to by gram pos., gram neg., anaerobic bacteria or fungal organisms, more particularly against a bacterium, e.g. Staphylococci, Enterococci, Streptococci, Haemophilus, Moraxella, Escherichia, Chlamydia, Rickettsiae, Mycoplasma, Legionella, Mycobacterium, Helicobacter, Clostridium, Bacteroides, Corynebacterium, Bacillus or Enterobacteriaceae, and fungal organisms, e.g. Aspergillus, Blastomyces, Candida, Coccidioides, Cryptococcus, Epidermophyton, Hendersonula, Histoplasma, Microsporium, Paecilomyces, Paracoccidioides, Pneumocystis, Trichophyton, or Trichosporium. Processes for the preparation of these compds., pharmaceutical compns. thereof, and methods of treating microbial infections are also provided.				
IT	914371-02-1 914371-09-8 914371-10-1 914371-24-7 914371-32-7 914371-90-7 914373-73-2 914373-80-1 914374-18-8 914375-35-2 914375-37-4 914376-03-7 914376-23-1 914376-32-2 914376-33-3 914376-34-4 914376-35-5 914376-36-6 914376-37-7 914376-79-7 914376-81-1 914376-94-6 914377-12-1 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (substituted aromatic compound tRNA synthetase inhibitors as antimicrobial agents)				
RN	914371-02-1 CAPLUS				
CN	Benzo[<i>b</i>]thiophene-2-sulfonamide, N-[2-[[[(1 <i>S</i>)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)				

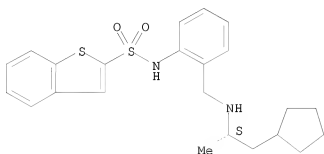
Absolute stereochemistry.



RN 914371-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

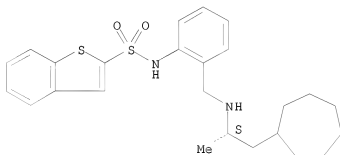
Absolute stereochemistry.



RN 914371-10-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cycloheptyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

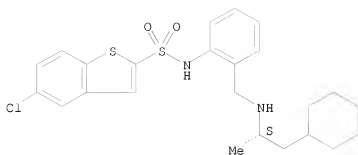
Absolute stereochemistry.



RN 914371-24-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

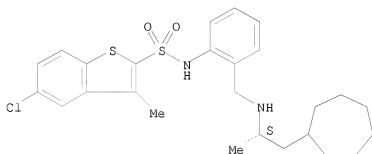
Absolute stereochemistry.



RN 914371-32-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cycloheptyl-1-methylethyl]amino]methyl]phenyl]-3-methyl- (CA INDEX NAME)

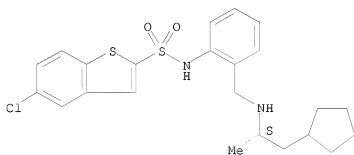
Absolute stereochemistry.



RN 914371-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-3-methyl- (CA INDEX NAME)

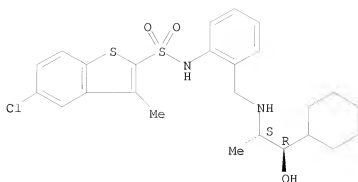
Absolute stereochemistry.



RN 914373-73-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[(1S,2R)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]-3-methyl- (CA INDEX NAME)

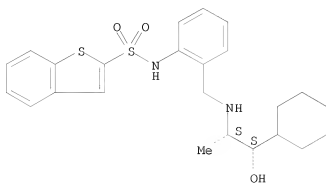
Absolute stereochemistry.



RN 914373-80-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S,2S)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

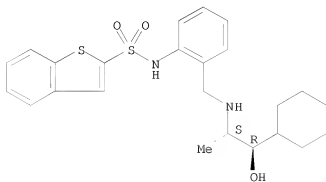
Absolute stereochemistry.



RN 914374-18-8 CAPLUS

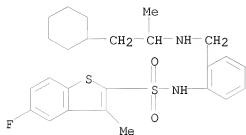
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S,2R)-2-cyclohexyl-2-hydroxy-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 914375-35-2 CAPLUS

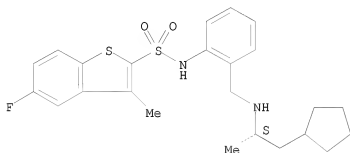
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[2-(cyclohexyl-1-methylethyl)amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 914375-37-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

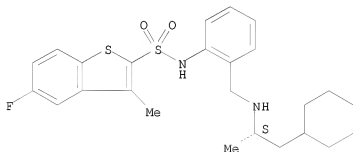
Absolute stereochemistry.



RN 914376-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

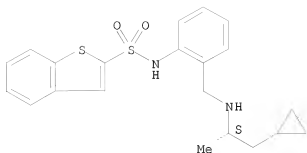
Absolute stereochemistry.



RN 914376-23-1 CAPLUS

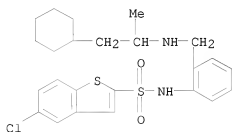
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopropyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 914376-32-2 CAPLUS

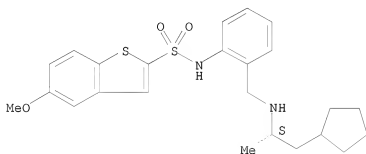
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[(2-cyclohexyl-1-methylethyl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 914376-33-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-methoxy- (CA INDEX NAME)

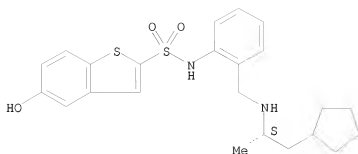
Absolute stereochemistry.



RN 914376-34-4 CAPLUS

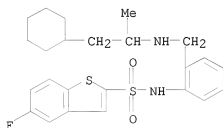
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 914376-35-5 CAPLUS

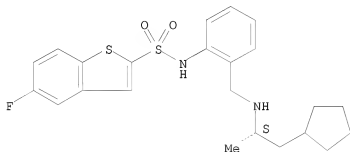
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[2-(cyclohexyl-1-methylethyl)amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)



RN 914376-36-6 CAPLUS

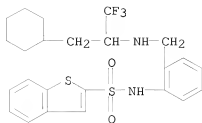
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[1S]-2-cyclopentyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 914376-37-7 CAPLUS

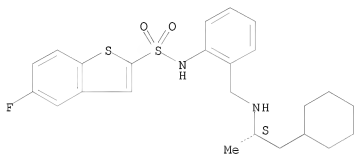
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[1-(cyclohexylmethyl)-2,2,2-trifluoroethyl]amino]methyl]phenyl]- (CA INDEX NAME)



RN 914376-79-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1S)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]-5-fluoro- (CA INDEX NAME)

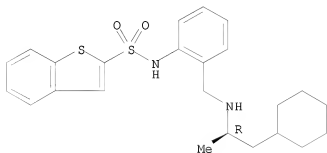
Absolute stereochemistry.



RN 914376-81-1 CAPLUS

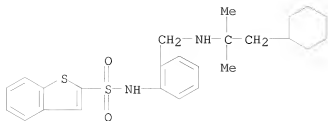
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[(1R)-2-cyclohexyl-1-methylethyl]amino]methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

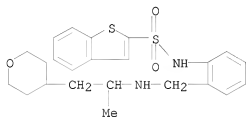


RN 914376-94-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[2-cyclohexyl-1,1-dimethylethyl]amino]methyl]phenyl]- (CA INDEX NAME)



RN 914377-12-1 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[[1-methyl-2-(tetrahydro-2H-pyran-4-yl)ethyl]amino]methyl]phenyl]- (CA INDEX NAME)



L6 ANSWER 36 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:944402 CAPLUS

DN 145:336062

TI Preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1)

IN Egashira, Hiromu; Nishiyama, Eiji

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 94pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006095822	A1	20060914	WO 2006-JP304623	20060309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

JP 2005-69738

A 20050311

OS MARPAT 145:336062

AB The title compds. [I; ring A = (un)substituted cyclic group; X, Y = a single bond, a spacer having 1-8 atoms in the main chain; R1, R2, R3 = U,

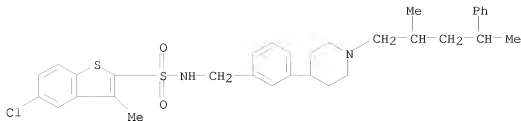
each (un)substituted cyclic group or hydrocarbon group; or substituent on the spacer Y having 1-8 atoms in the main chain, R2, and atoms to which they are bonded may form an (un)substituted N-containing heterocyclic ring), their salts or solvates, or prodrugs thereof are prepared Comps. of the general formula: (wherein all the characters have the same meanings as defined in the description), their salts or hydrates and prodrugs thereof. These comps. have an 11 β -HSD1 inhibiting potency and thus are useful in the prevention and/or treatment of diseases attributed to overprod. of adrenocortical hormone, for example, metabolic diseases (for example, diabetes mellitus (e.g., type II diabetes mellitus, etc.), impaired glucose tolerance, hyperglycemia, insulin resistance, elevated levels of insulin in the plasma, lipid metabolism abnormality, fatty liver, dyslipidemia, hyperlipemia, hypertriglyceridemia, hyper-LDL-cholesterolemia, hypo-HDL-cholesterolemia, obesity, atherosclerosis, syndrome X, metabolic syndrome, Cushing's syndrome, osteoporosis, etc.), hypertension, receptive defect, memory disorder, depression, anxiety, dementia, Alzheimer disease, glaucoma, immunol. disease, etc. Thus, a solution of 770 mg 3-methylbenzenesulfonamide and 445 mg 3,6-dichloropyridazine in 3 mL DMSO was treated with 1.25 g K2CO3, and stirred at 120° for 3.5 h to give 696 mg N-(6-chloro-pyridazin-3-yl)-3-methylbenzenesulfonamide (II). A solution of 98 mg 3-phenyl-1-propanol in 1 mL dioxane was treated with 163 mg potassium tert-butoxide, treated with a solution of 170 mg II in 1 mL dioxane, and stirred at 100° for 1.5 h to give 149 mg 3-methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide (III). III showed IC50 of 250 nM against human 11 β -HSD1. A tablet and an ampule formulation containing 3-Methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide were described.

IT 909422-65-7P, 5-Chloro-3-methyl-N-[3-[1-(2-methyl-4-phenylpentyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-78-2P, 5-Chloro-3-methyl-N-[3-[1-[(3-methylthien-2-yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-84-0P, 5-Chloro-N-[3-[1-(hexylpiperidin-4-yl)benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909422-90-6P, 5-Chloro-N-[3-[1-[4-(diethylamino)benzyl]piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909422-97-5P, 5-Chloro-3-methyl-N-[3-[1-[(1-methyl-1H-indol-3-yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-08-1P, 5-Chloro-N-[3-[1-(2-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-19-4P, 5-Chloro-3-methyl-N-[3-[1-(4-phenoxybenzyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-26-3P, 5-Chloro-N-[3-[1-(3-chloro-4-methoxybenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-34-3P, 5-Chloro-N-[3-[1-(4-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1))

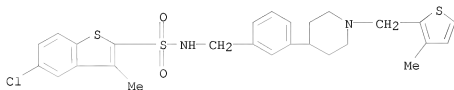
RN 909422-65-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-(2-methyl-4-phenylpentyl)-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



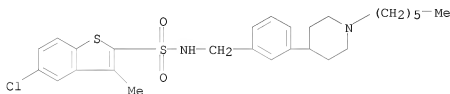
RN 909422-78-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(3-methyl-2-thienyl)methyl]-4-piperidinyl]phenyl)methyl]- (CA INDEX NAME)



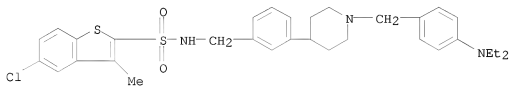
RN 909422-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-(hexyl-4-piperidinyl)phenyl)methyl]-3-methyl]- (CA INDEX NAME)



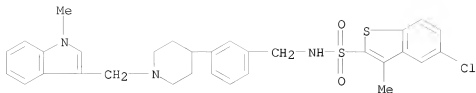
RN 909422-90-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[[4-(diethylamino)phenyl)methyl]-4-piperidinyl]phenyl)methyl]-3-methyl- (CA INDEX NAME)



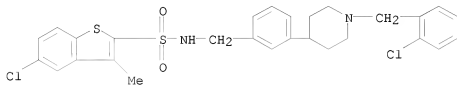
RN 909422-97-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]phenyl)methyl]- (CA INDEX NAME)



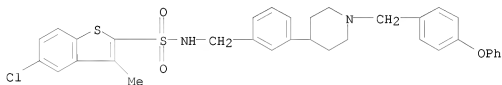
RN 909423-08-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



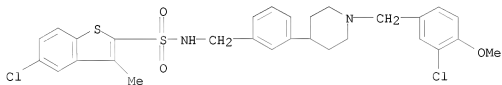
RN 909423-19-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



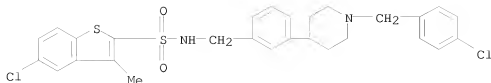
RN 909423-26-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(3-chloro-4-methoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RN 909423-34-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:823341 CAPLUS

DN 145:249229

TI Preparation of dihydroindolyl methanones as α 1/d adrenoreceptor
modulators for the treatment of benign prostatic hypertrophy and lower
urinary tract symptoms

IN Baxter, Ellen W.; Nortey, Samuel O.; Reitz, Allen B.; Pulito, Virginia L.;
Middleton, Steven A.

PA USA

SO U.S. Pat. Appl. Publ., 52pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060183902	A1	20060817	US 2006-353581	20060214
				US 2005-653218P	P 20050215
	WO 2006088954	A1	20060824	WO 2006-US5326	20060214
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				US 2005-653218P	P 20050215

OS MARPAT 145:249229

AB The title compds. I ["a" represents a point of attachment selected from the 3 or 4 position on the Ph ring relative to the point of attachment of the methanone group; A = CH or N; R1 = H, halo, NO2, etc.; R2 = H, SO2(alkyl), SO2NH2, etc.; R3 = RB, alkylRB, CO(alkoxy); RB = cycloalkyl, heterocyclyl, aryl, etc.; with the provisio, useful for treating an α 1 and/or α 2 adrenoreceptor mediated disorders, were prepared E.g., a 3-step synthesis of II, starting from 3-(chloromethyl)benzoyl chloride and 5-nitro-2,3-dihydro-1H-indole, was given. Exemplified compds. I were tested in α 1-adrenergic receptor binding assay (data given). Pharmaceutical composition comprising compound I is also disclosed.

IT 906088-10-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

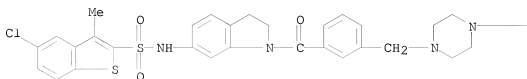
(preparation of dihydroindolyl methanones as α 1a/1d adrenoreceptor modulators for the treatment of benign prostatic hypertrophy and lower urinary tract symptoms)

RN 906088-10-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2,3-dihydro-1-[3-[[4-[2-(1-methylethoxy)phenyl]-1-piperazinyl]methyl]benzoyl]-1H-indol-6-yl]-3-methyl-
(CA INDEX NAME)

PAGE 1-A

i-PrO



PAGE 1-B



L6 ANSWER 38 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:808633 CAPLUS

DN 145:410494

TI Efficacy of selective 5-HT₆ receptor ligands determined by monitoring 5-HT₆ receptor-mediated cAMP signaling pathways

AU Romero, Gonzalo; Sanchez, Elisabeth; Pujol, Marta; Perez, Pilar; Codony, Xavier; Holenz, Joerg; Buschmann, Helmut; Pauwels, Petrus J.

CS Laboratorios Dr Esteve SA, Barcelona, 08041, Spain

SO British Journal of Pharmacology (2006), 148(8), 1133-1143

CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB Two novel selective 5-HT₆ receptor ligands E-6801

(6-chloro-N-(3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)imidazo[2,1-b]thiazole-5-sulfonamide) and E-6837

(5-chloro-N-(3-(2-(dimethylamino)ethyl)-1H-indol-5-yl)naphthalene-2-sulfonamide) were investigated and compared to the putative 5-HT₆ receptor

antagonists SB-271046 (5-chloro-N-(4-methoxy-3-(piperazin-1-yl)phenyl)-3-methylbenzo[b]thiophene-2-sulfonamide) and Ro 04-06790

(N-(2,6-bis(methylamino)pyrimidin-4-yl)-4-aminobenzenesulfonamide) using a cAMP-mediated pathway. Forskolin stimulation, to increase the magnitude

of agonist cAMP responses, and site-directed mutagenesis of the 5-HT₆ receptor, in order to yield constitutively active receptor, were applied.

5-HT (Emax, % over basal: 200), E-6801 (120) and E-6837 (23) induced cAMP formation at the rat 5-HT₆ receptor. In the copresence of forskolin, cAMP

responses were more potent and enhanced to 294 (5-HT, % over forskolin), 250 (E-6801) and 207 (E-6837), resp. 5-HT-mediated cAMP formation was

dose-dependently blocked by SB-271046 (pA₂: 8.76±0.22) and Ro 04-6790 (pA₂: 7.89±0.10) and not affected by the copresence of forskolin. Both

E-6801 and E-6837 yielded partial antagonism of the 5-HT response in the

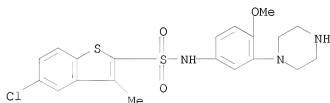
absence of forskolin, whereas antagonism was either completely absent (E-6801) or attenuated (E-6837) in the copresence of forskolin. Intrinsic activity of these 5-HT₆ receptor ligands at a constitutively active human S267K 5-HT₆ receptor in Cos-7 cells indicated similar efficacy (E_{max}, % over basal) for 5-HT (97), E-6801 (91) and E-6837 (100), while Ro 04-6790 (-33) and SB-271046 (-39) were equi-efficacious inverse agonists. The use of either forskolin or a constitutively active S267K 5-HT₆ receptor enhances the resolution for monitoring the efficacy of 5-HT₆ receptor ligands. E-6801 and E-6837 are potent partial agonists at the 5-HT₆ receptor. Ro 04-6790 and SB-271046 appear to act as inverse agonists/antagonists.

IT 209481-20-9, SB-271046

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacy of selective 5-HT₆ receptor ligands determined by monitoring 5-HT₆ receptor-mediated cAMP signaling pathways)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 39 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:753776 CAPLUS

DN 145:249088

TI Preparation of 9H-carbazole-3-sulfonamide derivatives as anticancer agents

IN Hu, Laixing; Li, Zhuorong; Jiang, Jiandong

PA Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences, Peop. Rep. China; Georgia State University Research Foundation

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 34 pp.

CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1807413	A	20060726	CN 2005-10105255	20050928
	WO 2007036131	A1	20070405	WO 2006-CN2298	20060906
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,			

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CN 2005-10105255 A 20050928

OS CASREACT 145:249088; MARPAT 145:249088

AB The title derivs. with general formula I [wherein R1 = H, one or multiple nitro groups, (un)substituted amino, halogen, cyano, etc.; R2 = H or lower alkyl; X = (un)substituted SO2NH or NHSO2; Ar = (un)substituted Ph, pyridinyl, or pyrimidinyl] or pharmaceutically acceptable salts thereof are prepared as anticancer agents. The title derivs. can be prepared by reacting corresponding sulfonyl chloride compds. with amino compds. For example, the compound II was prepared in a multi-step synthesis. Some of the title compds. showed good anticancer activities. The title compds. have the advantages of low toxicity, less side effect, and simple synthesis. Also claimed is the pharmaceutical composition containing the title derivs.

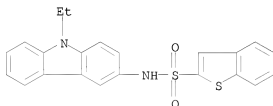
IT 905978-91-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 9H-carbazole-3-sulfonamide derivs. as anticancer agents)

RN 905978-91-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(9-ethyl-9H-carbazol-3-yl)- (CA INDEX NAME)



L6 ANSWER 40 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:733724 CAPLUS

DN 145:167113

TI Preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders

IN Neitzel, Martin

PA Elan Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006078753	A1	20060727	WO 2006-US1792	20060118
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,			

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

			US 2005-645137P	P	20050118
CA 2595173	A1	20060727	CA 2006-2595173		20060118
			US 2005-645137P	P	20050118
			WO 2006-US1792	W	20060118
US 20060270657	A1	20061130	US 2006-334131		20060118
			US 2005-645137P	P	20050118
EP 1838701	A1	20071003	EP 2006-718810		20060118
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU					
			US 2005-645137P	P	20050118
			WO 2006-US1792	W	20060118

OS MARPAT 145:167113

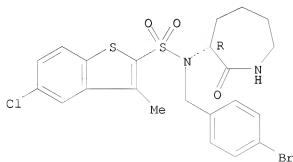
AB The invention provides N-substituted heterocyclic-sulfonamides for use in treating or preventing cognitive disorders, such as Alzheimer's Disease, by inhibiting β -amyloid peptide release or synthesis. Comps. of particular interest are defined by Formula I (wherein $n = 1-3$; $Z =$ (un)substituted heteroaryl or heterocycloalkyl; $R_1 =$ (un)substituted arylC1-C8alkyl, arylC2-C6alkenyl, C3-C7cycloalkyl(C1-C6alkyl), C1-C14alkyl, etc.; R_2 is H, C1-C6 alkyl, or phenyl(C1-C4)alkyl). I were tested in a Notch signaling assay for selective inhibitors of γ -secretase to identify compds. that are potent inhibitors of β -amyloid synthesis with minimal inhibition of Notch signaling. The invention also encompasses pharmaceutical compns. comprising I as well as methods of treating cognitive disorders using I. General procedures are given for synthesizing I, such as II, via a lactam intermediate.

IT 900532-06-1P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-bromobenzyl)-N-((R)-2-oxoazepan-3-yl)amide 900532-42-5P,
5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
N-(4-bromobenzyl)-N-(2-oxoazepan-3-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders)

RN 900532-06-1 CAPLUS

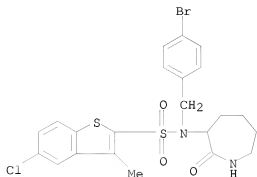
CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-[(3R)-hexahydro-2-oxo-1H-azepin-3-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 900532-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 41 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:733307 CAPLUS

DN 145:145724

TI Preparation of aromatic sulfone, sulfonamide, and sulfonate compounds as aldosterone receptor (mineralocorticoid receptor) (MR) modulators

IN Katayama, Seiji

PA Daiinippon Sumitomo Pharma Co., Ltd., Japan

SO PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006077821	A1	20060727	WO 2006-JP300509	20060117
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1844768	A1	20071017	JP 2005-11187	A 20050119
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR		EP 2006-711789	20060117
			JP 2005-11187	A 20050119
			WO 2006-JP300509	W 20060117

OS MARPAT 145:145724

AB Compds. represented by the following formula (I), prodrugs thereof, or pharmaceutically acceptable salts of either [A = Q1, Q2, Q3, Q4, Q5; R1, R2 = H, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, or heteroaryl; or CR1R2 together represents each (un)substituted cycloalkane

or saturated heterocyclic ring; Z = N, (un)substituted CR3; W = N, CR4; Q = N, CR5; R3, R3a, R4, R5, R6, R7, R8, R9 = H, halo, each (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aryl, heteroaryl, NH2, alkoxy, alkanoyl, alkoxycarbonyl, CONH2, alkylthio, alkylsulfinyl, SO2NH2, or alkylsulfonyl, cyano, NO2, HO; R10 = (un)substituted alkyl; Y = O, S; X = O, NR11, CR12R13; R11 = H, each (un)substituted alkyl, alkanoyl, aroyl, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, COC(=O)R11a; R11a = H, (un)substituted alkyl; R12, R13 = H, each (un)substituted alkyl or cycloalkyl; or CR12R13 = (un)substituted cycloalkane ring] are prepared. These compounds have a preventive or therapeutic effect on various diseases including hypertension, cerebral stroke, cardiac failure, arrhythmia, cardiac hypertrophy, arteriosclerosis, vascular restenosis, renal fibrosis, myocardial infarction, diabetes complications, kidney diseases, edema, primary aldosteronism, and inflammation. Thus, bromination 6-(hydroxymethyl)-4,4-dimethyl-1,4-dihydro-2H-3,1-benzoxazin-2-one by NBS and Ph3P in DMF at 20-25° for 1.5 h followed by p-tolylsulfonylation with p-toluenesulfonic acid sodium salt in the presence of NaI at 70° for 2.5 h gave 26% 4,4-dimethyl-6-[[[(4-methylphenyl)sulfonyl]methyl]-1,4-dihydro-2H-3,1-benzoxazin-2-one which was treated with Lawesson reagent in toluene under refluxing for 3 h to give 4,4-dimethyl-6-[[[(4-methylphenyl)sulfonyl]methyl]-1,4-dihydro-2H-3,1-benzoxazine-2-thione (II). The compound II in vitro inhibited the binding of [3H]aldosterone to rat aldosterone receptor with IC50 of 0.007 µM.

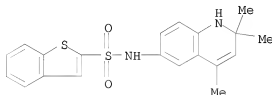
IT 899437-88-8P, N-(2,2,4-Trimethyl-1,2-dihydroquinolin-6-yl)-1-benzothiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aromatic sulfone, sulfonamide, and sulfonate compounds as aldosterone receptor (mineralocorticoid receptor) (MR) modulators)

RN 899437-88-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(1,2-dihydro-2,2,4-trimethyl-6-quinolinyl)- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:707665 CAPLUS

DN 145:159843

TI Pharmaceutical composition comprising p25/cdk5 inhibitor for treating neurodegenerative disease

IN Chung, Sul-Hee; Ha, Ilho; Son, Mi-Young; Lee, Hye-Won

PA Inje University, S. Korea

SO PCT Int. Appl., 56 pp.

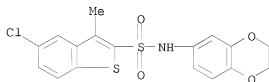
CODEN: PIXXD2

DT Patent

LA English

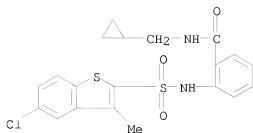
FAN.CNT 1

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PI	WO 2006075808	A1	20060720	WO 2005-KR98	20050112
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	KR 2007094947	A	20070927	KR 2007-717681	20070731
				WO 2005-KR98	W 20050112
AB	A pharmaceutical composition for preventing or treating a neurodegenerative disease comprises a compound inhibiting a P25/CDK (cyclin-dependent kinase 5) complex as an active ingredient. The pharmaceutical composition of formula (I) or (II) inhibits the phosphorylation of BACE1 (β -amyloid precursor protein (APP)-cleaving enzyme 1), inhibits an increase in β -secretase activity, and reduces the secretion of β -amyloid. The compound inhibiting the P25/CDK5 complex may be useful for preventing or treating a neurodegenerative disease such as Alzheimer's disease, Parkinson's disease, and Huntington's disease.				
IT	691355-58-5, DSS 304 694436-97-0 708988-53-8, DSS 303 883027-32-5, DSS 30 900514-15-0, DSS 301 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical composition comprising p25/cdk5 inhibitor for treating neurodegenerative disease)				
RN	691355-58-5 CAPLUS				
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,3-dihydro-1,4-benzodioxin-6-yl)-3-methyl- (CA INDEX NAME)				



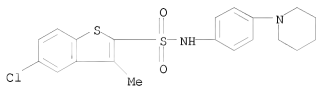
RN 694436-97-0 CAPLUS

CN Benzamide, 2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N-(cyclopropylmethyl)- (CA INDEX NAME)



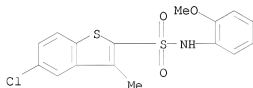
RN 708988-53-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperidinyl)phenyl]- (CA INDEX NAME)



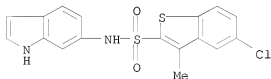
RN 883027-32-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxyphenyl)-3-methyl- (CA INDEX NAME)



RN 900514-15-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-1H-indol-6-yl-3-methyl- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 43 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:649301 CAPLUS

DN 145:124553

TI Preparation of substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compounds, their preparation and use in medicaments

IN Merce-Vidal, Ramon; Codony Soler, Xavier; Dordal-Zueras, Alberto
 PA Esteve Laboratorios Dr. Esteve S. A., Spain
 SO Eur. Pat. Appl., 64 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1676841	A1	20060705	EP 2004-380290	20041230
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	CA 2592858	A1	20060706	CA 2005-2592858	20051229
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	WO 2006069809	A1	20060706	WO 2005-EP14192	20051229
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1869002	A1	20071226	EP 2004-380290	A 20041230
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
				EP 2005-824427	20051229
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	JP 2008526707	T	20080724	JP 2007-548772	20051229
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	MX 200707918	A	20070820	MX 2007-7918	20070627
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229
	CN 101133034	A	20080227	CN 2005-80048825	20070829
				EP 2004-380290	A 20041230
				WO 2005-EP14192	W 20051229

OS CASREACT 145:124553; MARPAT 145:124553

AB Title compds. I [R2-5 independently = H, NO2, NH2, SH, OH, etc.; X-Y from left to right represents CR1=N and Z = N[(CH2)nR6], or CR7=N and Z = NH, or C[(CH2)nR9]=N and Z = NR10, or CH2CH2 and Z = N[(CH2)nR11]; n = 0-4; R1 = H, NO2, SH, OH, CN, etc.; R6, R9 and R11 independently = N heterocycle; R7 = heterocycle; R10 = (un)substituted alkyl, and their pharmaceutically acceptable salts, are prepared and disclosed as capable of binding to 5-HT6 receptors. Thus, e.g., II was prepared by reaction of 1-(2-dimethylaminoethyl)-1H-indazol-6-ylamine and naphthalene-2-sulfonyl chloride. Title compds. were evaluated for binding to 5-HT6 receptors, e.g., II demonstrated a Ki = 72.6 nM. Further disclosed are medicaments comprising said substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds. as well as the use of said substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds. for the preparation of medicaments, which are particularly suitable for the prophylaxis and/or

treatment of disorders or diseases that are at least partially mediated via 5-HT₆ receptors.

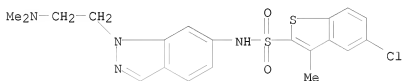
IT 896712-74-6P 896712-76-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indazolyl sulfonamide and 2,3-dihydro-indolyl sulfonamide compds., their preparation and use in medicaments for diseases associated with 5-HT₆ receptors)

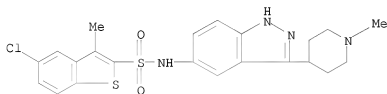
RN 896712-74-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indazol-6-yl]-3-methyl- (CA INDEX NAME)



RN 896712-76-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indazol-5-yl]- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 44 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:464674 CAPLUS

DN 144:488511

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of β -lactamase

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 131 pp., Cont.-in-part of U.S. Ser. No. 411,484.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060105999	A1	20060518	US 2005-535391	20050518
				US 2002-302124	A2 20021122
				US 2003-411484	A2 20030408
				WO 2003-US36929	W 20031119
	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		

			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
US 20040082546	A1	20040429	US 2003-411484		20030408
US 6921756	B2	20050726			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
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WO 2004048393	A2	20040610	WO 2003-US36929		20031119
WO 2004048393	A3	20040819			
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			US 2002-302124	A1	20021122
			US 2003-411484	A1	20030408

PATENT FAMILY INFORMATION:

FAN 2001:31512

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PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
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	CA 2377762	A1	20010111	CA 2000-2377762	19990706
	CA 2377762	C	20080930		20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
EP	1194436	A1	20020410	EP 2000-943381	20000705
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JP	2003503505	T	20030128	JP 2001-507847	20000705
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AU	770599	B2	20040226	AU 2000-57858	20000705
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AT	311397	T	20051215	AT 2000-943381	20000705
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				WO 2000-US18344	W 20000705
ES	2250150	T3	20060416	ES 2000-943381	20000705
				US 1999-142362P	P 19990706
MX	2002PA00246	A	20030820	MX 2002-PA246	20020107
				US 1999-142362P	P 19990706

FAN 2004:120574 PATENT NO.				WO 2000-US18344 W 20000705	
	KIND	DATE	APPLICATION NO.	DATE	
PI US 20040029836 US 6884791	A1 B2	20040212 20050426	US 2002-302124	20021122	
US 6472406	B1	20021029	US 1999-142362P US 2000-610456 US 2002-266213 US 2000-610456 US 1999-142362P US 2002-266213	P 19990706 A2 20000705 A2 20021008 20000705 P 19990706 20021008	
US 20040059115 US 7030103	A1 B2	20040325 20060418	US 1999-142362P US 2000-610456 US 2003-411484	P 19990706 A1 20000705 20030408	
US 20040082546 US 6921756	A1 B2	20040429 20050726	US 1999-142362P US 2000-610456 US 2002-266213 US 2002-302124 US 2003-411484	P 19990706 A2 20000705 A2 20021008 A2 20021122 20031119	
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AU 2003295638	A1	20040618	US 2002-302124 US 2003-411484 AU 2003-295638 US 2002-302124 US 2003-411484 WO 2003-US36929 US 2004-884435	A1 20021122 A1 20030408 20031119 A 20021122 A 20030408 W 20031119 20040702	
US 20050043276 US 7259172	A1 B2	20050224 20070821	US 1999-142362P US 2000-610456 US 2002-266213 US 2002-302124 US 2005-535391 US 2002-302124 US 2003-411484 WO 2003-US36929 US 2007-830305 US 1999-142362P US 2000-610456 US 2002-266213 US 2002-302124 US 2004-884435	P 19990706 A2 20000705 A2 20021008 A3 20021122 20050518 A2 20021122 A2 20030408 W 20031119 20070730 P 19990706 A1 20000705 A2 20021008 A3 20021122 A3 20040702	
US 20060105999	A1	20060518			
US 20070293675	A1	20071220			
FAN 2004:353142 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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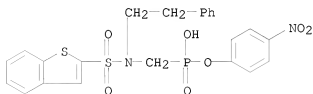
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US 6472406	B1	20021029	US 2000-610456		20000705
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US 7030103	B2	20060418			
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			US 2002-302124	A1	20021122
			US 2003-411484	A1	20030408
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			US 2003-411484	A	20030408
			WO 2003-US36929	W	20031119
US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
OS	MARPAT 144:488511				
AB	<p>The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β-lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] such as II [R1 = (un)substituted Ph or thien-2-yl; L = a bond, CH2O, CO, or C(NOMe); R5 = halo, or OR10 (wherein R10 = (un)substituted Ph, pyridinyl, or quinolinyl); provided that when L = CH2O, R5 is not F or 4-NO2C6H4] which are structurally unrelated to the natural product and semi-synthetic β-lactamase inhibitors presently available and which do not require a β-lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of III which showed IC50 of 622 μM against β-lactamase, was given.</p>				
IT	<p>318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU</p>				

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate β -lactamase inhibitors and their antibacterial use)

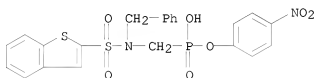
RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



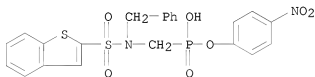
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RN 318463-03-5 CAPLUS

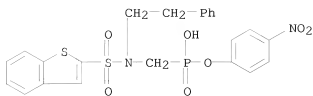
CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

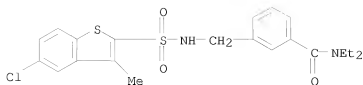
L6 ANSWER 45 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:440564 CAPLUS
 DN 144:467908
 TI N-benzyl sulfonamides and related derivatives as 11 β -HSD1 inhibitors,
 their preparation, pharmaceutical compositions, and use in therapy
 IN Coulter, Thomas, Stephen; Steven, Taylor; Fryatt, Tara; Aicher, Babette;
 Schnieder, Martin
 PA Evotec AG, Germany
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006048330	A1	20060511	WO 2005-EP11933	20051108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM EP 2004-26441 A 20041108 EP 2004-26441 20041108 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU EP 1814846 A1 20070808 EP 2005-806462 20051108 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR EP 2004-26441 A 20041108 WO 2005-EP11933 W 20051108 JP 2008518999 T 20080605 JP 2007-539549 20051108 EP 2004-26441 A 20041108 WO 2005-EP11933 W 20051108				

OS CASREACT 144:467908; MARPAT 144:467908
 AB The invention relates to N-benzyl sulfonamide compds. of formula I [X, Z, W, T = independently N, CH and derivs.; R1, R2 = independently H,

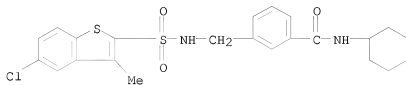
cyclo/alkyl, halo; or R1R2 = (:O); Y = NHSO2 and derivs., SO2NH and derivs.; NHSO2NH and derivs.; A = cyclo/alkyl, Ph, tetralinyl, heterocyclyl, etc.; V = O, S; or V = N-R15 and R15, R3 jointly form together with the atoms to which they are attached a heterocycle or heterobicyclic; B = O, S, NH and derivs.; R3 = H, cyclo/alkyl, Ph, heterocyclyl, etc.; with provisos], and their pharmaceutically acceptable salts, prodrugs and metabolites, which are inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1). The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I together with a pharmaceutically acceptable carrier, optionally comprising one or more addnl. therapeutic compds., as well as to the use of the compns. for the treatment of type 2 diabetes mellitus and associated conditions, such as metabolic syndrome, obesity, and lipid disorders. E.g., a 6-step synthesis starting from 3-cyanobenzoic acid was given for sulfonamide II. I typically express IC50 values below 50 μ M in a cell-based assay with a human adipocyte cell line, endogenously expressing 11 β -HSD1, while showing no activity against 11 β -HSD2.

IT 886732-45-2P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-46-3P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-68-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-69-0P, Benzo[b]thiophene-2-sulfonic acid N-[3-[[[(4-methylpiperazin-1-yl)carbonyl]benzyl]amide 886732-70-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-71-4P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-21-7P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N,N-diethylbenzamide 886733-22-8P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-cyclohexylbenzamide 886733-23-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-24-0P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-27-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(p-tolyl)benzamide 886733-38-6P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N,N-diethylbenzamide 886733-39-7P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-cyclohexylbenzamide 886733-40-0P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-41-1P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-80-8P, 4-[[3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]benzoylamino]methyl]benzamide 886733-82-0P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]benzoylamino]methyl]benzamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-benzyl sulfonamides as 11 β -HSD1 inhibitors)
RN 886732-45-2 CAPLUS
CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethyl- (CA INDEX NAME)



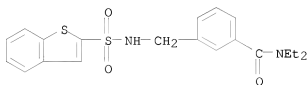
RN 886732-46-3 CAPLUS

CN Benamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexyl- (CA INDEX NAME)



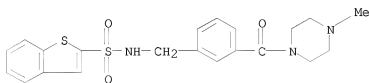
RN 886732-68-9 CAPLUS

CN Benamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N,N-diethyl- (CA INDEX NAME)



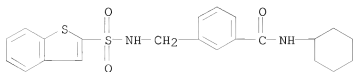
RN 886732-69-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[[3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (CA INDEX NAME)



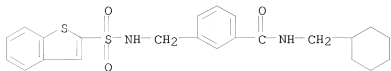
RN 886732-70-3 CAPLUS

CN Benamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N-cyclohexyl- (CA INDEX NAME)



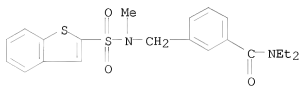
RN 886732-71-4 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)



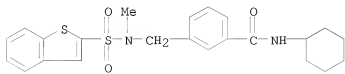
RN 886733-21-7 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N,N-diethyl- (CA INDEX NAME)



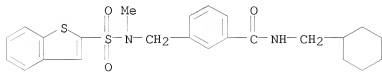
RN 886733-22-8 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)



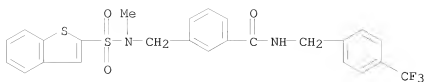
RN 886733-23-9 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)



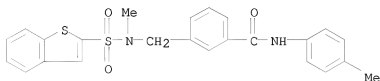
RN 886733-24-0 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



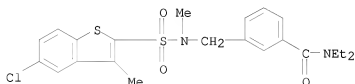
RN 886733-27-3 CAPLUS

CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(4-methylphenyl)- (CA INDEX NAME)



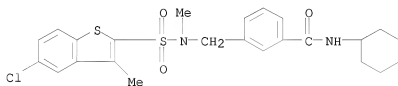
RN 886733-38-6 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N,N-diethyl- (CA INDEX NAME)



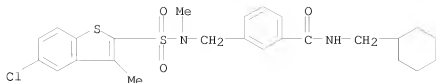
RN 886733-39-7 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)



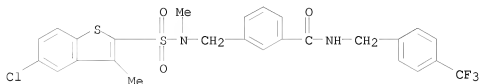
RN 886733-40-0 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-(cyclohexylmethyl)- (CA INDEX NAME)



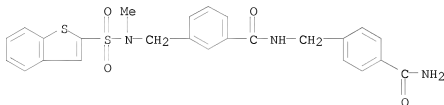
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CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-[[4-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



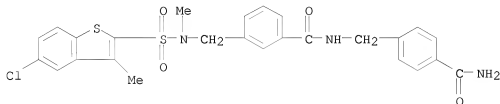
RN 886733-80-8 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(benzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



RN 886733-82-0 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



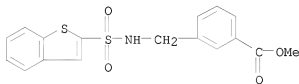
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yl)sulfonyl]amino)methyl]benzoic acid 886733-19-3P,
 3-[[[(Benzo[b]thien-2-yl)sulfonyl](methyl)amino)methyl]benzoic acid methyl
 ester 886733-20-6P, 3-[[[(Benzo[b]thien-2-
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 886733-36-4P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
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 886733-37-5P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
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 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
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 ester 886733-43-3P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
 yl)sulfonyl](methyl)amino)methyl]benzoylamino)methyl]benzoic acid
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(intermediate; preparation of N-benzyl sulfonamides as 11 β -HSD1
 inhibitors)

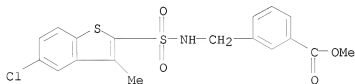
RN 886732-42-9 CAPLUS

CN Benzoic acid, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino)methyl]-, methyl ester
 (CA INDEX NAME)



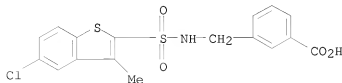
RN 886732-43-0 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-
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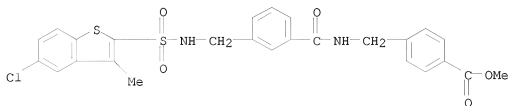


RN 886732-44-1 CAPLUS

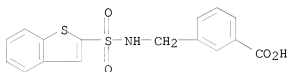
CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-
 yl)sulfonyl]amino)methyl]- (CA INDEX NAME)



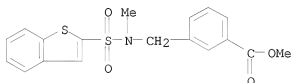
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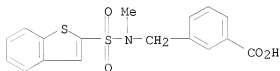
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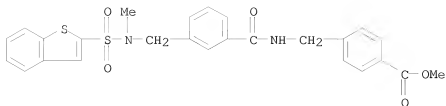
RN 886733-19-3 CAPLUS
 CN Benzoic acid, 3-[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-, methyl ester (CA INDEX NAME)



RN 886733-20-6 CAPLUS
 CN Benzoic acid, 3-[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

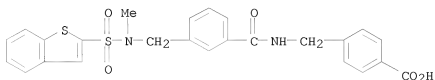


RN 886733-25-1 CAPLUS
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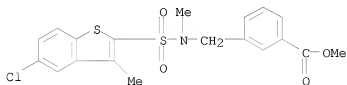
RN 886733-26-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(benzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



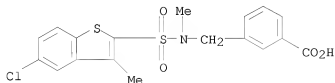
RN 886733-36-4 CAPLUS

CN Benzoic acid, 3-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-, methyl ester (CA INDEX NAME)



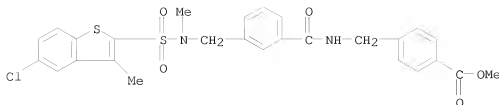
RN 886733-37-5 CAPLUS

CN Benzoic acid, 3-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



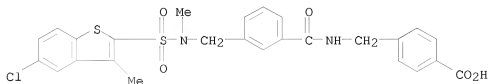
RN 886733-42-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)



RN 886733-43-3 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:411661 CAPLUS

DN 144:432684

TI Bis-sulfonamide compounds as agonists of GalR1, their preparation, pharmaceutical compositions, and use in therapy

IN Mjalli, Adnan M. M.; Gaddam, Bapu; Rao, Mohan; Bondlela, Muralidhar; Gopalaswamy, Ramesh; Andrews, Robert C.; Davis, Stephen; Simila, Suvi; Ren, Tan

PA Transtech Pharma, Inc., USA

SO PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047302	A1	20060504	WO 2005-US37932	20051020
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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			US 2005-670752P	P 20050413
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				IN 2007-KN1639		20070508
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IN	2007KN01639	A	20070817			

OS MARPAT 144:432684

AB The invention relates to bis-sulfonamide compds. I (Ar2-SO2NH-Ar1-NHSO2-Ar3), which are agonists of galanin receptor type 1 (GalR1). In compds. I, Ar1 is (un)substituted arylene, (un)substituted heteroarylene, (un)substituted fused cycloalkylarylene, (un)substituted fused heterocyclylarylene, (un)substituted fused cycloalkylheteroarylene, or (un)substituted fused heterocyclylheteroarylene; and Ar2 and Ar3 are independently selected from (un)substituted aryl, (un)substituted heteroaryl, (un)substituted fused cycloalkylaryl, (un)substituted fused cycloalkylheteroaryl, (un)substituted fused heterocyclylaryl, and (un)substituted fused heterocyclylheteroaryl, where at least one of Ar2 and Ar3 contains an oxygen or sulfur atom vicinal or geminal to the point of attachment to the -NHSO2- group. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I

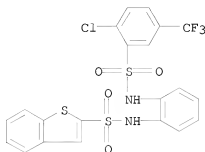
with a pharmaceutically suitable carrier, excipient, diluent, or mixture thereof, optionally containing one or more addnl. therapeutic agents, as well as to the use of the compns. for the treatment of diseases responding to activation of GalR1, such as cancer. Sulfonamidation of benzene-1,2-diamine with benzenesulfonyl chloride II followed by sulfonamidation with benzenesulfonyl chloride III gave bis-sulfonamide IV. The compds. of the invention, e.g., IV, expressed EC50 values of less than or about 10 µM in a functional assay using Bowes melanoma cells and were determined to be GalR1 agonists.

IT 885052-13-1P, Benzo[b]thiophene-2-sulfonamide
N-[2-((2-chloro-5-trifluoromethylbenzene)sulfonyl)amino)phenyl]
885052-17-5P, 3-[[2-[(Benzo[b]thien-2-yl)sulfonyl]amino]phenyl]sulfamoyl]-4-methoxybenzoic acid methyl ester
885052-18-6P, 3-[[2-[(Benzo[b]thien-2-yl)sulfonyl]amino]phenyl]sulfamoyl]-4-methoxybenzoic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of bis-sulfonamides as galanin receptor type 1 agonists)

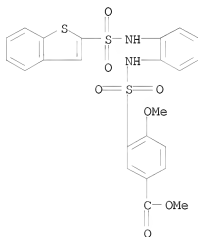
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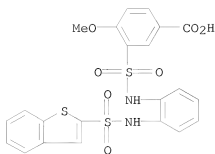
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CN Benzoic acid, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-4-methoxy-, methyl ester (CA INDEX NAME)



RN 885052-18-6 CAPLUS

CN Benzoic acid, 3-[[[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]amino]sulfonyl]-4-methoxy- (CA INDEX NAME)



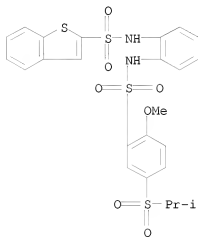
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 Benzo[b]thiophene-2-sulfonamide N-[2-((4-
 chlorobenzene)sulfonyl)amino]phenyl] 885052-35-7P,
 Benzo[b]thiophene-2-sulfonamide N-[2-((4-methoxy-2-
 nitrobenzene)sulfonyl)amino]phenyl] 885052-36-8P,
 Benzo[b]thiophene-2-sulfonamide N-[2-((4-(methanesulfonyl)-2-
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 Benzo[b]thiophene-2-sulfonamide N-[2-((2-methoxy-5-
 methylbenzene)sulfonyl)amino]phenyl] 885052-38-0P,
 Benzo[b]thiophene-2-sulfonamide N-[2-((2-methoxy-5-
 trifluoromethylbenzene)sulfonyl)amino]phenyl] 885052-41-5P,
 Benzo[b]thiophene-2-sulfonamide N-[2-[[5-((2-
 (dimethylamino)ethane)sulfonyl)-2-methoxybenzene]sulfonyl]amino]phenyl]
 885052-42-6P, Benzo[b]thiophene-2-sulfonamide
 N-[2-[[[2-methoxy-5-(2-(2H-tetrazol-2-
 yl)ethanesulfonyl)benzene]sulfonyl]amino]phenyl] 885052-43-7P,
 Benzo[b]thiophene-2-sulfonamide N-[2-[[[2-methoxy-5-(2-(pyrrolidin-1-
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 N-[2-((4-(imidazol-1-yl)-2-methoxybenzene)sulfonyl)amino]phenyl]
 885052-52-8P, N-[2-(Benzo[b]thiophene-2-
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 sulfonamide) 885052-64-2P,
 N,N'-(4-Chloro-1,2-phenylene)bis(benzothiothiophene-2-sulfonamide)
 885052-65-3P, N,N'-(4-Bromo-1,2-phenylene)bis(benzothiothiophene-2-
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 N,N'-(4-Methoxy-1,2-phenylene)bis(benzothiothiophene-2-sulfonamide)
 885052-68-6P, Benzo[b]thiophene-2-sulfonamide
 N-[2-((5-cyano-2-methoxybenzene)sulfonyl)amino]phenyl]
 885052-70-0P, Benzo[b]thiophene-2-sulfonamide
 N-[2-[[[2-methoxy-5-(3-methyl-1,2,4-oxadiazol-5-
 yl)benzene]sulfonyl]amino]phenyl] 885052-72-2P,
 2-[[2-[[[Benzo[b]thien-2-yl]sulfonyl]amino]phenyl]sulfamoyl]-6,7-dihydro-
 4H-thieno[3,2-c]pyridine-5-carboxylic acid tert-butyl ester
 885052-73-3P, N,N'-(4,5-Dichloro-1,2-phenylene)bis(benzothiothiophene-

2-sulfonamide) 885052-74-4P,
 N,N'-(4-Trifluoromethyl-1,2-phenylene)bis(benzothiophene-2-sulfonamide)
 885052-75-5P, N,N'-(4-Chloro-5-fluoro-1,2-phenylene)bis(benzothiophene-2-sulfonamide) 885052-76-6P,
 N,N'-(4,5-Fluoro-1,2-phenylene)bis(benzothiophene-2-sulfonamide)
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of bis-sulfonamides as galanin receptor type 1 agonists)

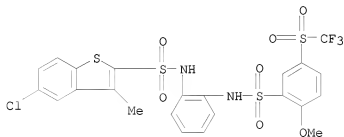
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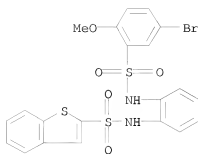
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-[[[2-methoxy-5-[(trifluoromethyl)sulfonyl]phenyl]sulfonyl]amino]phenyl]-3-methyl- (CA INDEX NAME)



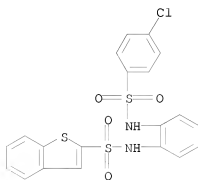
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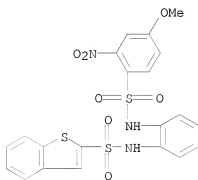
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CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[4-(4-chlorophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)



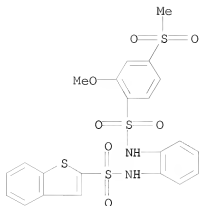
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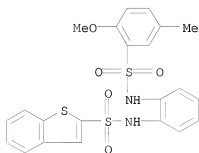
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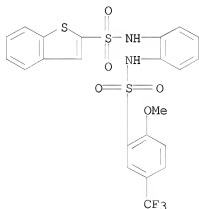
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RN 885052-38-0 CAPLUS

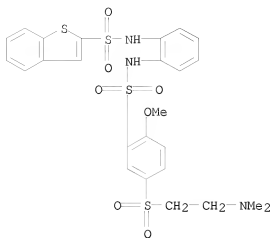
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RN 885052-41-5 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-5-[[2-(4-(trifluoromethyl)phenyl)ethoxy]phenyl]-

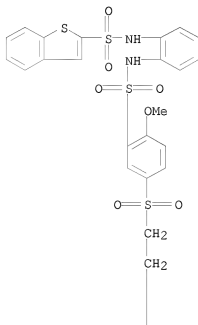
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RN 885052-42-6 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-methoxy-5-[2-(2H-tetrazol-2-yl)ethyl]sulfonyl]- (CA INDEX NAME)

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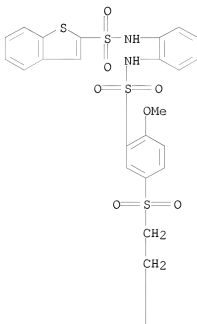


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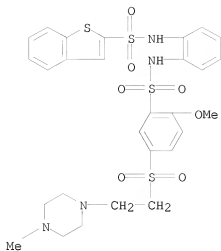
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PAGE 2-A

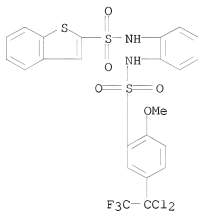


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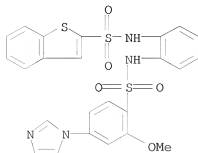
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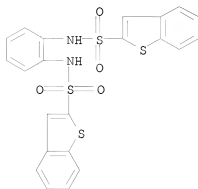
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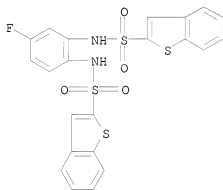
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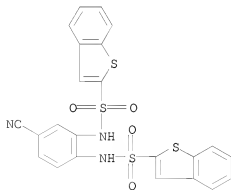
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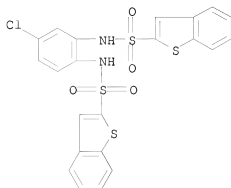
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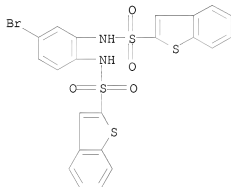
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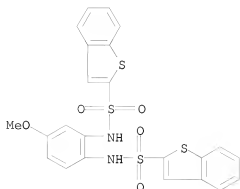
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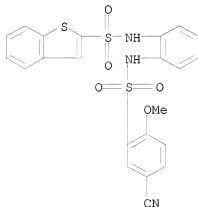
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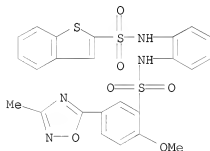
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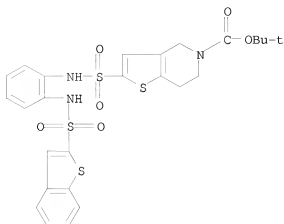
CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[2-methoxy-5-(3-methyl-1,2,4-oxadiazol-5-yl)phenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)



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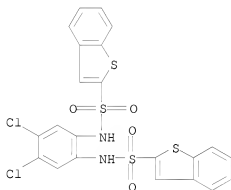
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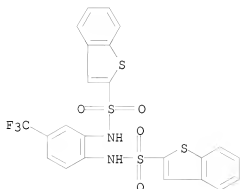
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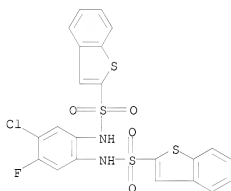
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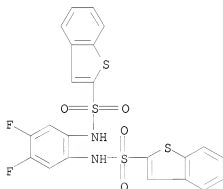
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(9CI) (CA INDEX NAME)



RN 885052-76-6 CAPLUS

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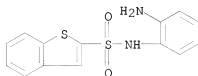
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 N-[2-((2-methoxy-4-aminobenzene)sulfonyl)amino]phenyl]
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 885052-69-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of bis-sulfonamides as galanin receptor type 1 agonists)

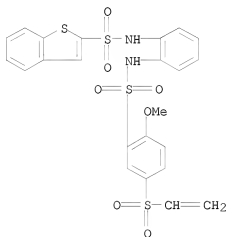
RN 885052-12-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-aminophenyl)- (CA INDEX NAME)



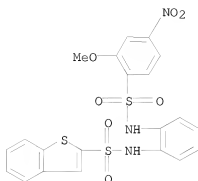
RN 885052-40-4 CAPLUS

CN Benzenesulfonamide, N-[2-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-5-(ethenylsulfonyl)-2-methoxy- (CA INDEX NAME)



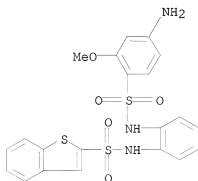
RN 885052-49-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[2-methoxy-4-nitrophenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)



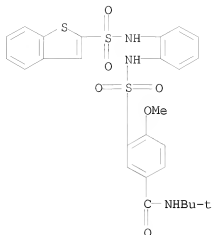
RN 885052-50-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2-[[4-amino-2-methoxyphenyl)sulfonyl]amino]phenyl]- (CA INDEX NAME)

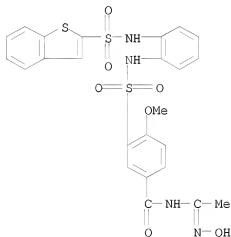


RN 885052-67-5 CAPLUS

CN Benamide, 3-[[[2-[(benzo[b]thien-2-yl)sulfonyl]amino]phenyl]amino]sulfonyl]-N-(1,1-dimethylethyl)-4-methoxy- (CA INDEX NAME)



RN 885052-69-7 CAPLUS
 CN Benzamide, 3-[[[2-[(benzo[b]thien-2-yl)sulfonyl]amino]phenyl]amino]sulfonyl]-N-[1-(hydroxyamino)ethylidene]-4-methoxy- (CA INDEX NAME)

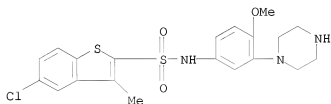


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:365167 CAPLUS
 DN 144:412383
 TI Preparation of 3-phenyl-3-methylquinoline-2,4-diones as 5-HT₆ serotonin
 receptor antagonists for the treatment of central nervous system disorders
 IN Seong, Churlmin; Park, Nosang; Jung, Yungsik; Choi, Jinil; Park, Wookyu;
 Cho, Heeyung; Kong, Jaeyang; Jung, Daeyoung; Kang, Sunhee; Song, Sukjin;
 Kwark, Kyungran
 PA S. Korea
 SO U.S. Pat. Appl. Publ., 33 pp.
 CODEN: USXXCO

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060084676	A1	20060420	US 2005-242665 KR 2004-84081	20051004 A 20041020
	EP 1650190	A1	20060426	EP 2005-256424	20051017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
	JP 2006117667	A	20060511	KR 2004-84081 JP 2005-301170	A 20041020 20051017
	KR 2006054045	A	20060522	KR 2004-84081	A 20041020
	KR 825040	B1	20080424	KR 2005-97491	20051017
OS	CASREACT 144:412383; MARPAT 144:412383			KR 2004-84081	A 20041020
AB	The invention relates to 3-aryl-3-methylquinoline-2,4-diones I [wherein R1 - R4, X, Y = H, halo, NO2, etc.] were prepared as 5HT6 receptor antagonists. For instance, acylation of 2-amino-4,6-dichlorobenzoic acid Me ester (preparation given) with an acyl chloride, which was generated in situ from 2-phenylpropionic acid with thionyl chloride, led to an amide in 92% yield, which underwent LiHDMS-mediated intramol. cyclization to give quinolinedione II in 78% yield. This product showed 5-HT6 receptor binding affinity with IC50 of 0.089 µM. Other biol. data were also given, indicating binding selectivity of I for 5-HT6 receptor over dopamine receptors and other serotonin receptor subtypes. Therefore, I and their pharmaceutical comps. are useful for the treatment of the central nervous system disorders.				
IT	209481-20-9, SB-271046				
	RL: PAC (Pharmacological activity); BIOL (Biological study) (reference; preparation of phenyl(methyl)quinolinediones as 5HT6 serotonin receptor antagonists for the treatment of central nervous system disorders)				
RN	209481-20-9	CAPLUS			
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)				



L6 ANSWER 48 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:333299 CAPLUS
DN 144:343645
TI Hydroxamic acid derivative histone deacetylase inhibitors, and their therapeutic use
IN Chakravarty, Prasun K.; Kuo, Howard; Matthews, Jay M.; Meinke, Peter T.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006017214	A2	20060216	WO 2005-US24512	20050708
	WO 2006017214	A3	20060601		
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	AU 2005271841	A1	20060216	US 2004-587233P AU 2005-271841 US 2004-587233P WO 2005-US24512	P 20040712 20050708 P 20040712 W 20050708
	CA 2573369	A1	20060216	CA 2005-2573369 US 2004-587233P WO 2005-US24512	20050708 P 20040712 W 20050708
	EP 1789381	A2	20070530	EP 2005-770022	20050708
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
	CN 1997626	A	20070711	US 2004-587233P WO 2005-US24512 CN 2005-80023288 US 2004-587233P	20040712 W 20050708 20050708 P 20040712
	JP 2008505969	T	20080228	WO 2005-US24512 JP 2007-521530 US 2004-587233P	W 20050708 20050708 P 20040712
	US 20080015190	A1	20080117	WO 2005-US24512 US 2006-629588 US 2004-587233P	W 20050708 20061214 P 20040712
	IN 2007DN01003	A	20070427	WO 2005-US24512 IN 2007-DN1003 US 2004-587233P	W 20050708 20070207 P 20040712

OS MARPAT 144:343645

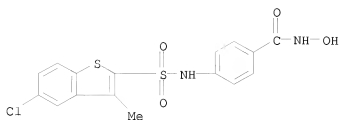
AB The invention discloses hydroxamic acid derivs. that are inhibitors of histone deacetylase. The compds. are useful for treating cellular proliferative diseases, including cancer. Further, the compds. are useful for treating neurodegenerative diseases, schizophrenia, and stroke, among other diseases. The compds. also have antiprotazoal properties. Compound preparation is included.

IT 881004-10-0P 881004-99-5P

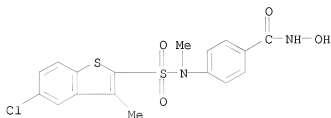
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(hydroxamic acid derivative histone deacetylase inhibitors, and therapeutic use)

RN 881004-10-0 CAPLUS

CN Benzamide, 4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N-hydroxy- (CA INDEX NAME)



RN 881004-99-5 CAPLUS
 CN Benzamide, 4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methanimino]-N-hydroxy- (CA INDEX NAME)



L6 ANSWER 49 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:301792 CAPLUS
 DN 144:324862
 TI Compositions and methods using 5-HT6 receptor antagonists and 5-HT2A receptor antagonists for treating cognitive disorders
 IN Bonhaus, Douglas William; Martin, Renee Sharon
 PA Roche Palo Alto LLC, USA
 SO U.S. Pat. Appl. Publ., 25 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060069094	A1	20060330	US 2005-241316	20051114
				US 2004-614705P	P 20040930
				US 2004-630608P	P 20041124
				US 2005-707798P	P 20050812
	AU 2005291541	A1	20060413	AU 2005-291541	20050922
				US 2004-614705P	P 20040930
				US 2004-630608P	P 20041124
				WO 2005-EP10238	W 20050922
	AU 2005291542	A1	20060413	AU 2005-291542	20050922
				US 2004-614705P	P 20040930
				WO 2005-EP10251	W 20050922
				CA 2005-2581921	20050922
	CA 2581921	A1	20060413	US 2004-614705P	P 20040930
				US 2004-630608P	P 20041124
				WO 2005-EP10238	W 20050922
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	CA 2582273	A1	20060413	US 2004-614705P	P 20040930

WO 2006037481	A1	20060413	WO 2005-EP10251	W	20050922
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		WO 2005-EP10238		20050922
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		US 2004-614705P	P	20040930
			US 2004-630608P	P	20041124
WO 2006037482	A2	20060413	WO 2005-EP10251		20050922
WO 2006037482	A3	20061019			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		US 2004-614705P	P	20040930
			EP 2005-796666		20050922
EP 1797051	A1	20070620	US 2004-614705P	P	20040930
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			WO 2005-EP10251	W	20050922
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			US 2004-630608P	P	20041124
			WO 2005-EP10238	W	20050922
JP 2008514662	T	20080508	JP 2007-533918		20050922
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JP 2008514663	T	20080508	JP 2007-533919		20050922
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			WO 2005-EP10251	W	20050922
BR 2005016754	A	20080916	BR 2005-16754		20050922
			US 2004-614705P	P	20040930
			US 2004-630608P	P	20041124

BR 2005016749	A	20080923	WO 2005-EP10238	W	20050922
			BR 2005-16749		20050922
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US 20060106012	A1	20060518	WO 2005-EP10251	W	20050922
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			US 2004-630608P	P	20041124
			US 2005-707798P	P	20050812
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			WO 2005-EP10251	W	20050922
NO 2007001616	A	20070425	NO 2007-1616		20070327
			US 2004-614705P	P	20040930
			US 2004-630608P	P	20041124
			WO 2005-EP10238	W	20050922
KR 2007046205	A	20070502	KR 2007-707141		20070329
			US 2004-614705P	P	20040930
			WO 2005-EP10251	W	20050922
KR 2007047844	A	20070507	KR 2007-707227		20070329
			US 2004-614705P	P	20040930
			US 2004-630608P	P	20041124
			WO 2005-EP10238	W	20050922
IN 2007CN01303	A	20070831	IN 2007-CN1303		20070329
			US 2004-614705P	P	20040930
			WO 2005-EP10238	W	20050922
IN 2007CN01308	A	20070831	IN 2007-CN1308		20070329
			US 2004-614705P	P	20040930
			WO 2005-EP10251	W	20050922
MX 200703911	A	20070521	MX 2007-3911		20070330
			US 2004-614705P	P	20040930
			US 2004-630608P	P	20041124
			WO 2005-EP10238	W	20050922

OS MARPAT 144:324862

AB The invention discloses methods and pharmaceutical compns. comprising selective antagonists of the 5-HT6 receptor and 5-HT2A receptor which are useful for the treatment of cognitive disorders.

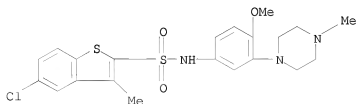
IT 209480-56-8 209481-20-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 and 5-HT2A receptor antagonists for treatment of cognitive disorders)

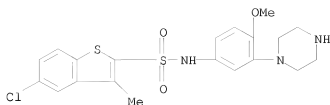
RN 209480-56-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

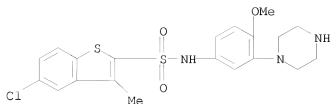


RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 50 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:222682 CAPLUS
 DN 145:21510
 TI A comparison of multiple 5-HT receptors in two tasks measuring impulsivity
 AU Talpos, John C.; Wilkinson, Lawrence S.; Robbins, Trevor W.
 CS Department of Experimental Psychology, University of Cambridge, Cambridge, CB2 3EB, UK
 SO Journal of Psychopharmacology (London, United Kingdom) (2006), 20(1), 47-58
 CODEN: JOPSEQ; ISSN: 0269-8811
 PB Sage Publications Ltd.
 DT Journal
 LA English
 AB Impulsivity has often been assumed to be a unitary construct. However dissociable forms of impulsive behavior may exist, each with distinct neurochem. underpinnings. To test this hypothesis, behavioral effects of three partially selective serotonergic (5-HT) ligands, ketanserin (5-HT2A,C receptor antagonist), SER-082 (5-HT2C,B receptor antagonist) and SB-270146-A (5-HT6 receptor antagonist) were compared in two tests of impulsivity. The five-choice serial reaction time task (5-csrtt) and a delayed reward task were chosen as they measure theor. different types of impulsivity, behavioral inhibition vs. choice preference for a delayed reward. Dissociation was seen between the effects of ketanserin, which decreased impulsivity in the 5-csrtt, but had no effect on the delayed reward task, and SER-082, which had no effect on the 5-csrtt, but decreased impulsive responding in the delayed reward task. SB-270146-A had no effect in either paradigm. The results suggest that the 5-csrtt and the delayed reward task do in fact measure different types of impulsive behavior, which are at least partially neurochem. distinct.
 IT 209481-24-3, SB 271046-A
 RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
 (5-HT6 receptor antagonist SB-270146-A exhibit no effect on both impulsive responding in delayed reward task and 5-csrtt in rat)
 RN 209481-24-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 51 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:209599 CAPLUS

DN 144:274133

TI Preparation of substituted indole compounds and their use as 5-HT6
receptor modulators

IN Merce Vidal, Ramon

PA Laboratorios Del Dr. Esteve, S.A., Spain

SO Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1632491	A1	20060308	EP 2004-20535	20040830
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	CA 2577925	A1	20060309	CA 2005-2577925	20050830
				EP 2004-20535	A 20040830
				WO 2005-EP9459	W 20050830
	WO 2006024535	A1	20060309	WO 2005-EP9459	20050830
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				EP 2004-20535	A 20040830
	EP 1786804	A1	20070523	EP 2005-782480	20050830
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				EP 2004-20535	A 20040830
				WO 2005-EP9459	W 20050830
	CN 101068809	A	20071107	CN 2005-80036369	20050830
				EP 2004-20535	A 20040830
				WO 2005-EP9459	W 20050830

JP 2008511575	T	20080417	JP 2007-528783	20050830
			EP 2004-20535	A 20040830
			WO 2005-EP9459	W 20050830
MX 200702393	A	20070814	MX 2007-2393	20070227
			EP 2004-20535	A 20040830
			WO 2005-EP9459	W 20050830
US 20070213326	A1	20070913	US 2007-679344	20070227
			EP 2004-20535	A 20040830
			WO 2005-EP9459	A1 20050830

OS MARPAT 144:2/4133

AB The indole derivs. (I) [wherein n = 0-4; R1 = H, (a) linear or branched, (un)saturated, or (un)substituted aliphatic radical, (b) (un)saturated, (un)substituted optionally at least one heteroatom as a ring member containing cyclo aliphatic radical (optionally containing at least one heteroatom in the ring or bonded via a linear or branched alkylene), (c) (un)substituted aryl or heteroaryl (optionally bonded via a linear or branched alkylene), (d) C(O)R8, (d) SO2R9; R2 = H, NO2, NH2, SH, OH, cyano, CO2H, OR10, SR11, CO2R12, halo, (a)-(c) in R1; R3 = (un)saturated, (un)substituted cyclo aliphatic radical (optionally containing at least one heteroatom as a ring member or condensed with an optionally at least monosubstituted mono- or polycyclic ring system) (e), (un)substituted NH2; R4-R7 = H, NO2, NH2, SH, OH, cyano, CO2H, CHO, SO3H, CONH2, SO2NH2, COR8, S(O)2R9, OR10, SR11, CO2R12, N(R15)S(O)2R16, NHR17, NR18R19, C(O)NHR20, C(O)NR21R22, S(O)2NHR23, S(O)2NR24R25, O-COR26, NHCO-R27, NR28CO-R29, NHCO-OR30, NR31CO-OR32, S(O)2O-R33, halo, (a)-(c) described in R1; R12, R17-R33 = (a)-(c) in R1; R9 = (e) in R3; R10, R11 = (a) or (c) described in R1; R15 = (a) described R1 S(O)2R16 (R16 = (a) or (c) of R3, etc.)], their stereoisomers or their mixts., physiol. acceptable salts thereof, or corresponding solvates thereof are prepared. These compds., e.g. (II), are 5-HT6 receptor modulators (no data). They are suitable for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT6 receptors, including irritable colon syndrome, disorders of the central nervous system, anxiety, panic attacks, depression, bipolar disorders, cognitive disorders, memory disorders, senile dementia, psychosis, or neurodegenerative disorders (preferably selected from the group consisting of Alzheimer's disease, Parkinson's disease, Huntington's disease, and multiple sclerosis), schizophrenia, or hyperactivity disorder (ADHD, attention deficit/hyperactivity disorder) or for the improvement of cognition (cognitive enhancement), preferably for the improvement of cognition (cognitive enhancement). They are also useful for the regulation of appetite, for the maintenance, increase or reduction of body weight, for the prophylaxis and/or treatment of a disorder or a disease related to food intake, preferably for the prophylaxis and/or treatment of obesity, bulimia, anorexia, cachexia or type II diabetes (non insulin dependent diabetes mellitus), more preferably for the prophylaxis and/or treatment of obesity.

IT 877875-56-4P, N-[3-(2-Dimethylamino-1-ethoxyethyl)indol-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-58-6P, N-[3-(2-Dimethylaminoethyl)indol-7-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-60-0P, N-[3-(2-Diethylaminoethyl)indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 877875-77-9P, N-[3-(2-Dimethylaminoethyl)indol-6-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

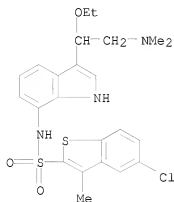
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted indole compds. and their use as 5-HT6 receptor

modulators)

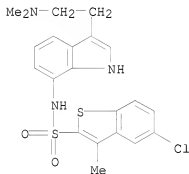
RN 877875-56-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)-1-ethoxyethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)



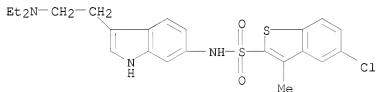
RN 877875-58-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)



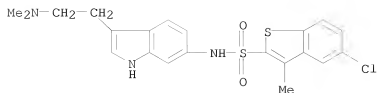
RN 877875-60-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RN 877875-77-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 52 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:152784 CAPLUS
DN 144:212654
TI Preparation of substituted indole compounds as 5-HT6 receptor modulators
for use in medicaments
IN Merce Vidal, Ramon; Dordal Zuera, Alberto; Codony Soler, Xavier
PA Laboratorios Del Dr. Esteve, S.A., Spain
SO PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006015867	A1	20060216	WO 2005-EP8754	20050809
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
			ES 2004-2007	A 20040810
			EP 2004-21314	A 20040908
			US 2004-935983	A 20040908
ES 2246721	A1	20060216	ES 2004-2007	20040810
ES 2246721	B1	20070316		
US 20060036101	A1	20060216	US 2004-935983	20040908
			ES 2004-2007	A 20040810
EP 1717227	A1	20061102	EP 2004-21314	20040908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
			ES 2004-2007	A 20040810
CA 2576581	A1	20060216	CA 2005-2576581	20050809
			ES 2004-2007	A 20040810
			EP 2004-21314	A 20040908
			US 2004-935983	A 20040908
			WO 2005-EP8754	W 20050809
EP 1789386	A1	20070530	EP 2005-777156	20050809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
			ES 2004-2007	A 20040810
			EP 2004-21314	A 20040908

			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
CN 101044113	A	20070926	CN 2005-80034451		20050809
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
JP 2008513355	T	20080501	JP 2007-525260		20050809
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
MX 200701541	A	20080304	MX 2007-1541		20070207
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A	20040908
			WO 2005-EP8754	W	20050809
US 20070203121	A1	20070830	US 2007-673328		20070209
			ES 2004-2007	A	20040810
			EP 2004-21314	A	20040908
			US 2004-935983	A1	20040908
			WO 2005-EP8754	A1	20050809

OS CASREACT 144:212654; MARPAT 144:212654

AB The present invention relates to substituted indoles (shown as I; variables defined below; e.g. 2-[5-[[6-chloroimidazo[2,1-b]thiazol-5-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide (shown as II)), a process for their preparation, medicaments comprising substituted indole compds. as well as the use of substituted indole compds. for the preparation of medicaments, which are suitable e.g. for the prophylaxis and/or treatment of disorders or diseases that are at least partially mediated via 5-HT₆ receptors. For I: n = 0-4; R₁ = H, a linear or branched, (un)saturated, optionally at least monosubstituted aliphatic radical, a (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a ring member containing cycloaliph. radical, which may be bonded via a linear or branched alkylene group, an optionally at least monosubstituted aryl or heteroaryl radical, which may be bonded via a linear or branched alkylene group, -S(O)2R₉, or C(O)R₁₀. For n = 0: R₂ = -NO₂, -NH₂, -SH, -OH, -CN, halo, a linear or branched, (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a chain member containing aliphatic radical, et al.; for n = 1-4: R₂ = -H, -NO₂, -NH₂, -SH, -OH, -CN, halo, a linear or branched, (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a chain member containing aliphatic radical, et al.; R₃ and R₄, identical or different, =

H, a linear or branched, (un)saturated aliphatic radical, an optionally at least

monosubstituted aryl or heteroaryl radical, which may be bonded via a linear or branched alkylene group, a (un)saturated, optionally at least monosubstituted, optionally at least one heteroatom as a ring member containing cycloaliph. radical, which may be bonded via a linear or branched alkylene group and/or which may be condensed with an optionally at least monosubstituted mono- or polycyclic ring system, or R₃ and R₄ together with the bridging N form an optionally at least monosubstituted, saturated, unsatd. or aromatic heterocyclic ring that may contain at least one further heteroatom as a ring member and/or that may be condensed with an optionally at least monosubstituted mono- or polycyclic ring-system. R₅, R₆, R₇ and R₈, identical or different, = -H, -NO₂, -CN, -N(R₁₁)S(O)2R₁₂, -OR₁₃, -SR₁₄, -C(O)OR₁₅, -NR₁₆R₁₇, -C(O)R₁₈, -(C:O)NR₁₉R₂₀, -O(C:O)R₂₁,

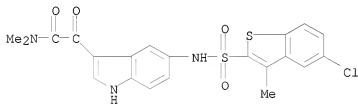
-S(O)2R22, -S(O)2NR23R24, et al.; addnl. details including provisos are given in the claims. Methods of preparation are claimed and prepn. and/or characterization data for 34 examples of I are included. For example, II was prepared (13 %) from 2-(5-amino-1H-indol-3-yl)-N,N-dimethyl-2-(oxo)acetamide and 6-chloroimidazo[2,1-b]thiazole-5-sulfonyl chloride in DMF in the presence of iPr2EtN. Inhibition consts. (Ki) are tabulated for 5 examples of I to 5-HT6 receptors, e.g. 18.4 nM for II.

II 753021-00-0P, 2-[5-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide
 875767-41-2P, 2-[5-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-diethyl-2-(oxo)acetamide
 875767-47-8P, 2-[4-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide
 875767-56-9P, 2-[5-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide
 875767-58-1P, 2-[6-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]-N,N-dimethyl-2-(oxo)acetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of substituted indole-containing carboxamides)

as 5-HT6 receptor modulators for use in medicaments)

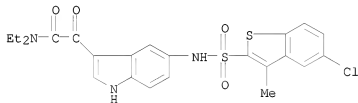
RN 753021-00-0 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- α -oxo- (CA INDEX NAME)



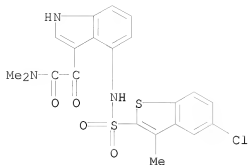
RN 875767-41-2 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-diethyl- α -oxo- (CA INDEX NAME)

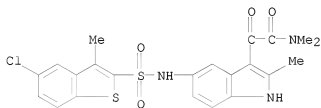


RN 875767-47-8 CAPLUS

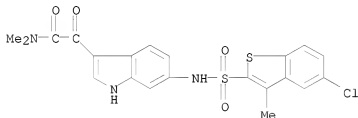
CN 1H-Indole-3-acetamide, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- α -oxo- (CA INDEX NAME)



RN 875767-56-9 CAPLUS
 CN 1H-Indole-3-acetamide, 5-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N,2-trimethyl- α -oxo- (CA INDEX NAME)



RN 875767-58-1 CAPLUS
 CN 1H-Indole-3-acetamide, 6-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl- α -oxo- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 53 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:123201 CAPLUS
 DN 144:191976
 TI Preparation of multicyclic sulfonamide compounds as inhibitors of histone deacetylase
 IN Malecha, James William; Noble, Stewart Alwyn; Hassig, Christian Andreas; Wash, Paul L.; Wiley, Brandon M.; Lawrence, Charles Maxwell; Hoffman, Timothy Z.
 PA USA
 SO U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of U.S. Ser. No. 865,743.
 CODEN: USXXCO

DT Patent
LA English
FAN.CNT 5

PI	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US	20060030543	A1	20060209	US 2005-150500	20050609
				US 2004-865743	A2 20040610
				WO 2004-US18502	A 20040610
				US 2004-635020P	P 20041209
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WO	2004110418	A2	20041223		
WO	2004110418	A3	20050317		
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US	20050026907	A1	20050203	US 2003-477721P	P 20030610
US	7271195	B2	20070918	US 2004-865743	20040610
				US 2003-477721P	P 20030610

PATENT FAMILY INFORMATION:

FAN 2004:1124614

PI	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO	2004110418	A2	20041223	WO 2004-US18502	20040610
WO	2004110418	A3	20050317		
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CA	2528003	A1	20041223	CA 2004-2528003	20040610
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EP	1635800	A2	20060322	EP 2004-754935	20040610
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CN	1798733	A	20060705	CN 2004-80015192	20040610
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BR	2004011275	A	20060801	BR 2004-11275	20040610
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JP 2007503472	T	20070222	WO 2004-US18502	W	20040610
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			WO 2004-US18502	A	20040610
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			CA 2005-2567835		20050609
			US 2004-865743	A	20040610
			WO 2004-US18502	A	20040610
			US 2004-635019P	P	20041209
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			US 2004-865743	A	20040610
			WO 2004-US18502	A	20040610
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			WO 2004-US18502	A	20040610
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US 20060030543	A1	20060209	US 2005-150500		20050609
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US 20060030554	A1	20060209	US 2005-150783		20050609
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			US 2004-865743	A2	20040610
			WO 2004-US18502	A	20040610
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EP 1773398	A2	20070418	EP 2005-788746		20050609
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FAN	2005:1350665				
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PI	US 20060030554	A1	20060209	US 2005-150783	20050609
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WO 2004110418 A3 20050317

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US 20050026907 A1 20050203 US 2003-477721P P 20030610
 US 7271195 B2 20070918 US 2004-865743 20040610
 US 2003-477721P P 20030610

OS MARPAT 144:191976

AB Title compds. represented by the formula I [wherein R1-R5 = independently H, alkyl, (hetero)aryl, etc.; T = O, S, amino; R6, R7 = independently H, alkyl, or R6R7 = (un)substituted cycloalkyl; Q = a bond, alkylene(amino), alkylene(carbonyl), etc.; R8 = H, cyano, pyrrolidinyl, etc.; and pharmaceutically acceptable salts, amides, esters or prodrugs thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 4-aminoacetophenone with naphthalenesulfonyl chloride. I were tested for inhibition of histone deacetylase with IC50 values of less than 1 µM. Methods and compns. are disclosed for treating disease states including, but not limited to cancers, autoimmune diseases, tissue damage, central nervous system disorders, neurodegenerative disorders, fibrosis, bone disorders, polyglutamine-repeat disorders, anemias, thalassemias, inflammatory conditions, cardiovascular conditions, and disorders in which angiogenesis play a role in pathogenesis, using the compds. of the invention.

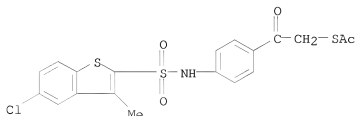
IT 872371-93-2P 872372-01-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of multicyclic sulfonamide compds. as inhibitors of histone deacetylase for disease treatment)

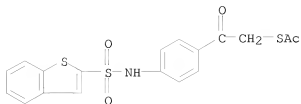
RN 872371-93-2 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl ester (CA INDEX NAME)



RN 872372-01-5 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)



L6 ANSWER 54 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:101303 CAPLUS
 DN 144:192279
 TI Piperazine derivatives and their preparation, pharmaceutical compositions,
 and agonistic activity of growth hormone secretagogue (GHS) receptors for
 the treatment of gastrointestinal disorders
 IN Gaiba, Alessandra; King, Nigel Paul; Takle, Andrew Kenneth; Witherington,
 Jason
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 171 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

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PI WO 2006010629	A1	20060202	WO 2005-EP8263	20050726
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IN 2007DN00370	A	20070803	IN 2007-DN370	20070115
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NO 2007001138	A	20070228	NO 2007-1138	20070228
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			WO 2005-EP8263	W 20050726

OS CASREACT 144:192279; MARPAT 144:192279

AB The invention provides compds. of formulas I and II or pharmaceutically acceptable salts thereof are as defined in the specification. Compds. for formulas I and II wherein Y is a single bond, CH₂, CH₂CH₂, or CH=CH; R₁ is (hetero)aryl, R₂ is H, or Cl-6alkyl; R₃ is H or Me; R₄ is Cl-6 alkyl; R₅ is H, Cl-6alkyl, C₃-6cycloalkyl, COCl-6alkyl, Cl-6alkoxy, halo, OH, CF₃, OCF₃, or CN; R₆ is H, Cl-6alkyl, C₃-6cycloalkyl, COCl-6alkyl, Cl-6alkoxy, Cl-6alkoxy-Cl-6alkyl, halo, OH, CF₃, OCF₃, or CN; or pharmaceutically acceptable salts thereof are claimed in this invention. The compds. are partial or full agonists at the growth hormone secretagogue (GHS) receptors, which may be useful for the treatment of gastrointestinal disorders. Pharmaceutical compns. comprising the compds., methods of preparing the compds., uses of the compds. and methods involving the compds. are also provided. Example compound III was prepared by amination of 2-bromo-4-nitroanisole with cis-2,6-dimethylpiperazine and the resulting [(methoxy)nitrophenyl]dimethylpiperazine underwent hydrogenation to give intermediate IV, which was sulfonylated with 5-(2-pyridinyl)-2-thiophenesulfonyl chloride to give example compound III. Addnl. 316 example compds. were prepared in this invention. All the example compds. were evaluated for their selective agonistic activity at the GHS receptors. All 317 example compds. have an activity of <1 μM in the GHS-R GTPγS functional assays. In the GHS-R agonist BACMAM FLIPR assay, all the example compds. have an EC₅₀ value of <1 μM.

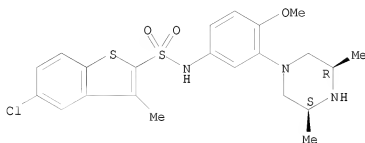
IT 874955-90-5P 874955-94-9P 874956-03-3P 874956-28-2P 874956-43-1P 874956-55-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperazines and their agonistic activity of growth hormone secretagogue (GHS) receptors for the treatment of gastrointestinal disorders)

RN 874955-90-5 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-4-methoxyphenyl]-3-methyl-, rel- (CA INDEX NAME)

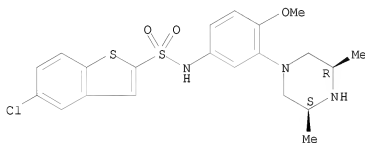
Relative stereochemistry.



RN 874955-94-9 CAPLUS

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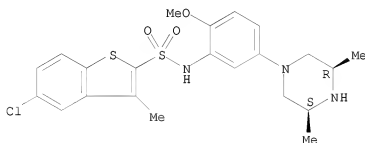
Relative stereochemistry.



RN 874956-03-3 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-2-methoxyphenyl]-3-methyl-, rel- (CA INDEX NAME)

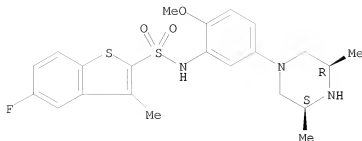
Relative stereochemistry.



RN 874956-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[5-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-2-methoxyphenyl]-5-fluoro-3-methyl-, rel- (CA INDEX NAME)

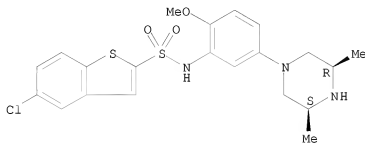
Relative stereochemistry.



RN 874956-43-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[5-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-2-methoxyphenyl]-, rel- (CA INDEX NAME)

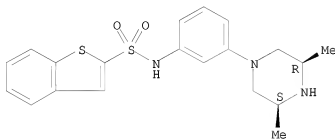
Relative stereochemistry.



RN 874956-55-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[(3R,5S)-3,5-dimethyl-1-piperazinyl]phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 55 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1351085 CAPLUS

DN 144:88043

TI Preparation of phenylcarboxylic acid derivatives as glucose-stimulated insulin secretors useful in the treatment of diabetes and related diseases

IN Moinet, Gerard; Botton, Gerard; Kergoat, Micheline

PA Merck Sante, Fr.

SO Fr. Demande, 222 pp.
 CODEN: FRXXBL
 DT Patent
 LA French
 FAN.CNT 1

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PI	FR 2872159	A1	20051230	FR 2004-7076	20040628
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				WO 2005-EP5868	W 20050601
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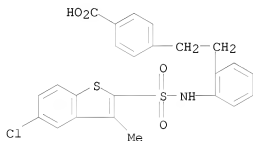
OS MARPAT 144:88043

AB Title compds. I (wherein B, E = independently CH₂, O; R₁ = H, (un)substituted alk(en/yn)yl, heterocyclyl, etc.; R₂, R₂' = independently H, NH₂, OH, CO₂H, Z, etc.; Z = (un)substituted alk(en/yn)yl, aryl, hetero/arylalkyl, cycloalkyl, etc.; R₃ = H, Z (Z defined as above); R₄ = COR₅, SO₂R₅, CONHR₅; R₅ = Z (Z defined as above); D, A = independently a simple bond, (un)substituted alkyl; n, m = independently 1-3; and their tautomers, enantiomers, diastereomers, and their pharmaceutically acceptable salts; with the exception of certain compds.] were prepared as antidiabetic agents for treating diseases associated with insulin resistance syndrome. E.g., a 7-step synthesis starting from Me 2-methylbenzoate is given for phenylcarboxylic acid II. In an in vitro test, selected I, at 10⁻⁵M and 10⁻⁷M, displayed a glucose-induced stimulation factor of insulin secretion of ≥ 130% at a dose of 2.8 mM or 8 mM glucose digested by the pancreatic exocrine tissue of rats. Thus, I and their compns. are used for treating hyperglycemia, diabetes, dyslipidemia, obesity, and microvascular and macrovascular complications arising from diabetes.

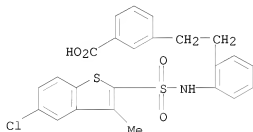
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(drug candidate; preparation of phenylcarboxylic acid derivs. as antidiabetic agents)

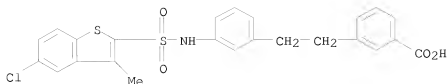
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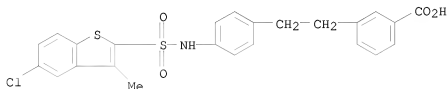
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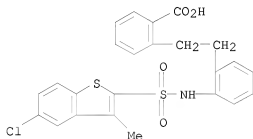
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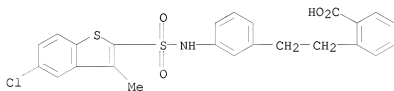
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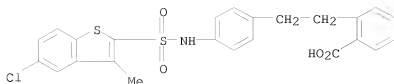
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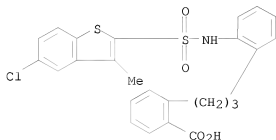
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RN 872444-92-3 CAPLUS
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RN 872445-48-2 CAPLUS
 CN Benzoic acid, 2-[3-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]aminophenyl]propyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 56 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:1350665 CAPLUS
 DN 144:88049
 TI Preparation of multi cyclic sulfonamide compounds as inhibitors of histone deacetylase
 IN Malecha, James; Noble, Stewart; Hassig, Christian; Wash, Paul; Wiley, Brandon; Lawrence, Charles; Hoffman, Timothy
 PA Kalypsys, Inc., USA
 SO PCT Int. Appl., 146 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 5

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PI	WO 2005123089	A2	20051229	WO 2005-US20769	20050609
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US 2004-865743 A 20040610

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PATENT FAMILY INFORMATION:

FAN 2004:1124614

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PI	WO 2004110418	A2	20041223	WO 2004-US18502	20040610
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JP 2007503472	T	20070222	JP 2006-533697		20040610
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AU 2005251816	A1	20051222	AU 2005-251816		20050609
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FAN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
IN 2005KN02445	A	20061027	WO 2004-US18502 US 2004-635019P WO 2005-US20770 IN 2005-KN2445 US 2003-477721P WO 2004-US18502	A P W P P W	20040610 20041209 20050609 20051201 20030610 20040610	
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OS	CASREACT 144:88049; MARPAT 144:88049			US 2003-477721P	P 20030610
AB	Title compds. represented by the formula I [wherein R1-R5 = independently H, alkyl, (hetero)aryl, etc.; T = O, S, amino; R6, R7 = independently H, alkyl, or R6R7 = (un)substituted cycloalkyl; Q = a bond, alkylene(amino),				

alkylenecarbonyl, etc.; R8 = H, cyano, pyrrolidinyl, etc.; and pharmaceutically acceptable salts, amides, esters or prodrugs thereof] were prepared as histone deacetylase (HDAC) inhibitors. For example, II was provided in a multi-step synthesis starting from the reaction of 4-aminoacetophenone with naphthalenesulfonyl chloride. I were tested for inhibition of histone deacetylase with IC50 values of less than 1 μ M. Thus, I and their pharmaceutical compns. are useful as histone deacetylase inhibitors for the treatment of HDAC-related diseases, such as cancers (not in claim).

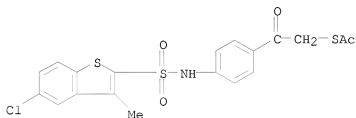
IT 8/2371-93-2P 8/2372-01-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of multi cyclic sulfonamide compds. as inhibitors of histone deacetylase)

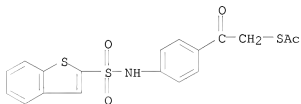
RN 8/2371-93-2 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)



RN 8/2372-01-5 CAPLUS

CN Ethanethioic acid, S-[2-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-2-oxoethyl] ester (CA INDEX NAME)



L6 ANSWER 57 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:1148637 CAPLUS

DN 144:16915

TI 5-HT6 receptor antagonists improve performance in an attentional set shifting task in rats

AU Hatcher, Paula D.; Brown, Verity J.; Tait, David S.; Bate, Simon; Overend, Philip; Hagan, Jim J.; Jones, Declan N. C.

CS Schizophrenia and Bipolar Disorders Research, Psychiatry CEDD, Essex, Harlow, CM19 5AW, UK

SO Psychopharmacology (Berlin, Germany) (2005), 181(2), 253-259

CODEN: PSCHDL; ISSN: 0033-3158

FB Springer GmbH

DT Journal

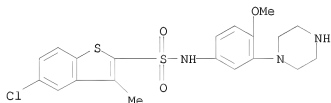
LA English

AB Rationale and Objective: Performance on the Wisconsin Card Sorting Test (WCST), which requires patients to shift attention between stimulus dimensions (sorting categories), is impaired in diseases such as schizophrenia. The rat attentional set shifting task is an analog of the WCST. Given that 5-HT₆ receptor antagonists improve cognitive performance and influence cortical neurochem. in rats, the present study investigated the effects of 5-HT₆ receptor antagonists upon attentional set shifting in rats. Methods: Rats were tested in this paradigm following sub-chronic SB-399885-T or SB-271046-A (both 10 mg kg⁻¹ bid, p.o. for 8 days prior to testing and either 4 or 2 h prior to testing on day 9, resp.). Rats were trained to dig in baited bowls for a food reward and to discriminate based on odor or digging media (Habituation, day 8). In a single session (day 9), rats performed a series of discriminations, including reversals (REV), intradimensional (ID) and extra-dimensional (ED) shifts. Results: Neither compound altered performance during Habituation. On the test day, both SB-399885-T and SB-271046-A reduced the total trials to reach criterion and the total errors made when data were collapsed across all discriminations (P<0.05-0.01). Further, both compds. significantly reduced the trials to criterion for REV-1 (P<0.05-0.01) and abolished the ID/ED shift. SB-399885-T, but not SB-271046-A, reduced trials required to complete the ED shift (P<0.05) and the number of errors made during completion of the ID (P<0.05) and ED shifts (P<0.01). Conclusion: 5-HT₆ receptor antagonists improved performance in the attentional set shifting task and may have therapeutic potential in the treatment of disorders where cognitive deficits are a feature, including schizophrenia.

IT 209481-24-3, SB-271046-A
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (5-HT₆ receptor antagonists improve performance in an attentional set shifting task in rats)

RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 58 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:1024945 CAPLUS
 DN 143:398885
 TI Bicyclic heteroaryl piperazines as selective brain penetrant 5-HT₆ receptor antagonists
 AU Ahmed, Mahmood; Briggs, Michael A.; Bromidge, Steven M.; Buck, Tania; Campbell, Lorraine; Deeks, Nigel J.; Garner, Ashley; Gordon, Laurie; Hamprecht, Dieter W.; Holland, Vicky; Johnson, Christopher N.; Medhurst,

Andrew D.; Mitchell, Darren J.; Moss, Stephen F.; Powles, Jenifer; Seal, Jon T.; Stean, Tania O.; Stemp, Geoffrey; Thompson, Mervyn; Trail, Brenda; Upton, Neil; Winborn, Kim; Witty, David R.

CS Neurology and GI Centre of Excellence for Drug Discovery, GlaxoSmithKline, Essex, CM19 5AW, UK

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(21), 4867-4871
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

OS CASREACT 143:398885

AB Starting from the potent and selective but poorly brain penetrant 5-HT6 receptor antagonist SB-271046, a successful strategy for improving brain penetration was adopted involving conformational constraint with concomitant reduction in hydrogen bond count. This provided a series of bicyclic heteroarylpiperazines with high 5-HT6 receptor affinity. 5-Chloroindole I combined high 5-HT6 receptor affinity with excellent brain penetration and also had good oral bioavailability in both rat and dog.

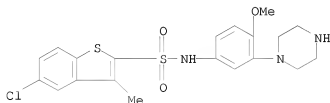
IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bicyclic heteroarylpiperazines as selective brain penetrant 5-HT6 receptor antagonists)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 59 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:693723 CAPLUS

DN 143:172647

TI Preparation of sulfonamides and their use as acyl-CoA:diacylglycerol acyltransferase (DGAT) inhibitors

IN Yoshida, Masao; Hayakawa, Ichio; Kanno, Yuichi; Furuhashi, Takafumi;

Tanimoto, Tatsuo; Karasawa, Hiroshi

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 186 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 2005206492	A	20050804	JP 2004-13099	20040121
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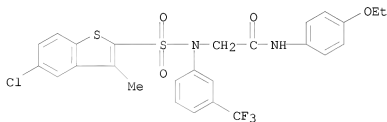
OS MARPAT 143:172647

AB Title inhibitors, useful for prophylactic and therapeutic treatment of obesity, hyperlipidemia, diabetes, arteriosclerosis, etc., contain AlR1CHR2NA2SO2A3 [I: A1 = (un)substituted C1-8 alkyl, (un)substituted phenyl-(C1-6 alkyl), (un)substituted phenoxy-(C1-6 alkyl), (un)substituted C3-8 cycloalkyl, (un)substituted naphthyl, etc.; A2 = (un)substituted di(C1-6 alkyl)amino-(C1-6 alkyl), similar groups as in A1; A3 = (un)substituted naphthylmethyl, similar groups as in A1; R1 = NHCO (substituted with C1-6 alkyl), CO; R2 = H, C1-6 alkyl] or their pharmacol. acceptable salts as active ingredients. Thus, p-phenetidine was bromoacetylated, aminated with 3-trifluoromethylaniline, and amidated with PhSO2Cl in microreactor containing 2-(3,5-dimethoxy-4-formylphenoxy)ethoxymethylated polystyrene using the encoding method to give I (A1 = 4-EtOPh, A2 = 3-CF3Ph, A3 = Ph, R1 = NHCO, R2 = H), which at 1 µg/mL inhibited ≥40% murine DGAT1.

IT 861245-60-5P
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
 (preparation of sulfonamides as acyl-CoA:diacylglycerol acyltransferase inhibitors for treatment of diseases)

RN 861245-60-5 CAPLUS

CN Acetamide, 2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][3-(trifluoromethyl)phenyl]amino]-N-(4-ethoxyphenyl)- (CA INDEX NAME)



L6 ANSWER 60 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:474939 CAPLUS

DN 143:1317

TI Method of treating mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists

IN Buntinx, Erik

PA Belg.

SO U.S. Pat. Appl. Publ., 14 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

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	US 20050119249	A1	20050602	US 2004-803793	20040318
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	US 20050203130	A1	20050915	US 2004-984683	20041109
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			EP 2004-447001	A	20040105
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PATENT FAMILY INFORMATION:

FAN 2005:474936

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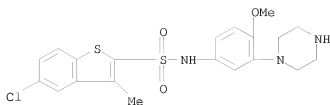
AB The present invention relates to methods of treating the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

The combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

IT 209481-20-9, SB-271046
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 61 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2005:474936 CAPLUS
 DN 143:1315
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 inverse agonists or partial agonists
 IN Buntinx, Erik
 PA Belg.
 SO U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 725,965.
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			US 2004-752423	A 20040106
			CA 2004-2461248	A 20040318
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			US 2004-803793	A 20040318
			EP 2004-25035	A 20041021
			US 2004-984683	A 20041109
			WO 2004-BE172	W 20041202

US 20070078162	A1	20070405	US 2006-580962	20060531
			CA 2003-2451798	A 20031202
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			CA 2004-2487529	A 20041115
			WO 2004-BE172	W 20041202
FAN 2005:1004355				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 20050203130	A1	20050915	US 2004-984683	20041109
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, EE, HU, PL, SK, HR			EP 2003-447279	A 20031202
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			CA 2003-2451798	A 20031202
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			EP 2004-447066	A 20040318
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			US 2004-984683	A 20041109
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RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

				CA 2003-2451798	A	20031202
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				CA 2004-2461248	A	20040318
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R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
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JP 2007513095	T	20070524		JP 2006-541759		20041202
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				US 2004-752423	A	20040106
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				EP 2004-447066	A	20040318
				US 2004-803793	A	20040318
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				US 2004-984683	A	20041109
				WO 2004-BE172	W	20041202
US 20070078162	A1	20070405		US 2006-580962		20060531
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				US 2003-725965	A1	20031202
				EP 2004-447001	A	20040105
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				EP 2004-447066	A	20040318
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				JP 2004-349085	A	20041104
				US 2004-984683	A1	20041109
				CA 2004-2487529	A	20041115
				WO 2004-BE172	W	20041202

AB The present invention relates to methods of treating of the underlying dysregulation of the emotional functionality of mental disorders (i.e.

affect instability-hypersensitivity-hyperaesthesia-dissociative phenomena-...) using compds. and compns. of compds. having D4 and/or 5-HT2A antagonistic, partial agonistic or inverse agonistic activity. The invention also relates to methods comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and/or (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and/or (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

The

combination can also be used to augment the therapeutic effect of or to provide a faster onset of the therapeutic effect of a selective serotonin re-uptake inhibitor, a norepinephrine re-uptake inhibitor, an NK1 antagonist, or a musculoskeletal disease-treating COX-2 inhibitor. Pharmaceutical compns. are also claimed.

IT

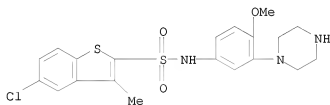
209481-20-9, SB-271046
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as neuroleptic agent, augmenting therapeutic effect of; treating underlying dysregulation of emotional functionality of mental disorders using D4 and 5-HT2A antagonists, inverse agonists or partial agonists)

RN

209481-20-9 CAPLUS

CN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 62 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:470334 CAPLUS

DN 143:125834

TI A Three-Dimensional Pharmacophore Model for 5-Hydroxytryptamine6 (5-HT6) Receptor Antagonists

AU Lopez-Rodriguez, Maria L.; Benhamu, Bellinda; de la Fuente, Tania; Sanz, Arantxa; Pardo, Leonardo; Campillo, Mercedes

CS Departamento de Química Organica I, Facultad de Ciencias Químicas, Universidad Complutense, Madrid, E-28040, Spain

SO Journal of Medicinal Chemistry (2005), 48(13), 4216-4219
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

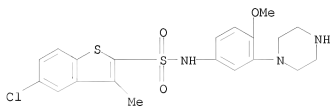
DT Journal

LA English

AB Forty-five structurally diverse 5-hydroxytryptamine6 receptor (5-HT6R) antagonists were selected to develop a 3D pharmacophore model with the Catalyst software. The structural features for antagonism at this receptor are a pos. ionizable atom interacting with Asp3.32, a hydrogen bond acceptor group interacting with Ser5.43 and Asn6.55, a hydrophobic site interacting with residues in a hydrophobic pocket between transmembranes 3, 4, and 5, and an aromatic-ring hydrophobic site interacting

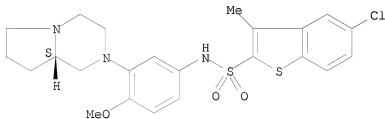
with Phe6.52.

IT 209481-20-9, SB-271046 239122-28-2 239122-29-3
389622-71-3 389637-13-2, SB 331711 753020-71-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(three-dimensional pharmacophore model for 5-HT6 receptor antagonists)
RN 209481-20-9 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-
piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



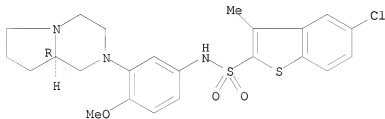
RN 239122-28-2 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-
a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

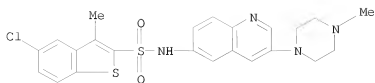


RN 239122-29-3 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-
a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

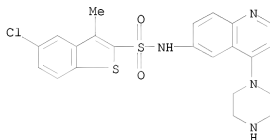


RN 389622-71-3 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(4-methyl-1-
piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



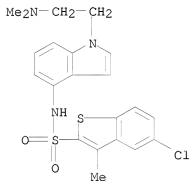
RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 753020-71-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)



RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 63 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:177913 CAPLUS

DN 142:266775

TI Drug containing chymase inhibitor as the active ingredient

IN Urata, Hidenori; Hase, Naoki; Tsuchiya, Naoki

PA Teijin Pharma Limited, Japan

SO PCT Int. Appl., 146 pp.

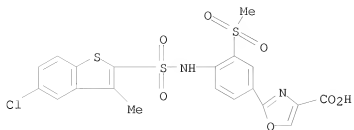
CODEN: PIXXD2

DT Patent

LA Japanese

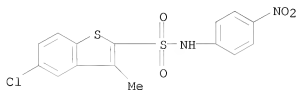
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SE, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004266536	A1	20050303	JP 2003-298639 AU 2004-266536 JP 2003-298639	A 20030822 A 20040820 A 20030822
	CA 2536435	A1	20050303	WO 2004-JP12335 CA 2004-2536435 JP 2003-298639	W 20040820 20040820 A 20030822
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	CN 1871029	A	20061129	JP 2003-298639 WO 2004-JP12335 CN 2004-80030767 JP 2003-298639	A 20030822 W 20040820 20040820 A 20030822
	US 20070032466	A1	20070208	WO 2004-JP12335 US 2006-568711 JP 2003-298639 WO 2004-JP12335	W 20040820 20060217 A 20030822 W 20040820
OS	MARPAT 142:266775				
AB	Disclosed is an agent for improving abnormal glucose tolerance or a preventive and/or a remedy for diseases caused by abnormal glucose tolerance containing a chymase inhibitor as the active ingredient. Examples of the diseases caused by abnormal glucose tolerance include diabetes and/or complications of diabetes. Examples of the complications of diabetes include diabetic nephropathy, diabetic retinopathy, diabetic peripheral neuropathy, hyperinsulinemia, insulin resistance syndrome, arteriosclerosis, acute coronary syndrome, arteriosclerosis obliterans, vasculitis, brain infarction, hypertension, renal insufficiency, neuropathy, nephritis, renal aneurysm, renal infarction, obesity and so on. Claimed chymase inhibitors include 4-[1-[(3-indolyl)methyl]benzimidazol-2-ylthio]butanoic acid and 2-[2-[5-amino-2-(4-fluorophenyl)-6-oxo-1,6-dihydropyrimidin-1-yl]acetamido]-3-phenylpropionylbenzoxazol-5-carboxylic acid Me ester.				
IT	404963-99-1 404964-01-8 404964-02-9 404964-03-0 404964-12-1				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (chymase inhibitors for treatment of abnormal glucose tolerance-related disorders)				
RN	404963-99-1 CAPLUS				
CN	4-Oxazolecarboxylic acid, 2-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)				



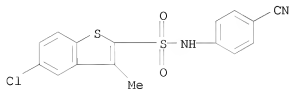
RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



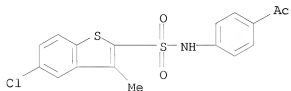
RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



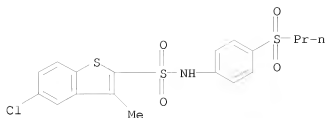
RN 404964-03-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 64 OF 152 CAPLUS COPYRIGHT 2008 ACS on SIN
AN 2005:136598 CAPLUS
DN 142:240323
TI Active substance combination comprising a compound with NPY receptor
affinity and a compound with 5-HT6 receptor affinity
IN Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony
Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola
Constansa, Jordi; Buschmann, Helmut-Heinrich
PA Laboratorios del Esteve S. A., Spain
SO PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005014045	A1	20050217	WO 2004-EP8514	20040729
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	AU 2004262488	A1	20050217	AU 2004-262488	20040729
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				WO 2004-EP8514	W 20040729
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				WO 2004-EP8514	W 20040729
	EP 1660131	A1	20060531	EP 2004-741321	20040729
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
				ES 2003-1815	A 20030730
				WO 2004-EP8514	W 20040729
	IN 2005DN06119	A	20080711	IN 2005-DN6119	20051228
				ES 2003-1815	A 20030730
				WO 2004-EP8514	W 20040729

MX 2006PA01230	A	20060515	MX 2006-PA1230	20060130
			ES 2003-1815	A 20030730
			WO 2004-EP8514	W 20040729
US 20070009597	A1	20070111	US 2006-566402	20060705
			ES 2003-1815	A 20030730
			WO 2004-EP8514	W 20040729

OS CASREACT 142:240323; MARPAT 142:240323

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated cycloalkyl; R6-R9 = H, alkyl, (un)saturated cycloalkyl, etc.;

A = CHR18, CHR18CH2; B = alkyl, (un)saturated cycloalkyl, etc.; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.] with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. E.g., a multi-step synthesis of III.HCl, starting from 1-(tert-butoxycarbonyl)-4-piperidinone and Me anthranilate, was given. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

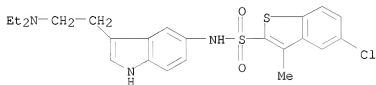
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528859-12-3P 528859-48-5P 528859-75-8P
528859-84-9P 528859-90-7P 528859-93-0P
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844486-22-2P 844486-25-5P 844831-84-1P
844831-97-6P 844832-03-7P 844832-06-0P
844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

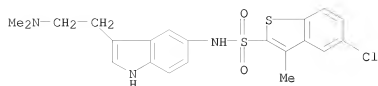
RN 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



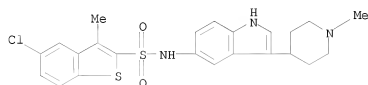
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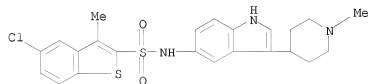
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-12-3 CAPLUS

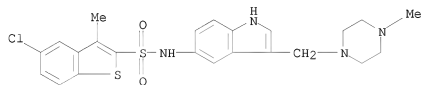
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● HCl

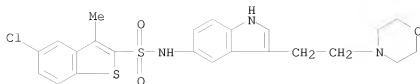
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)



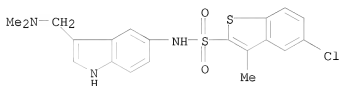
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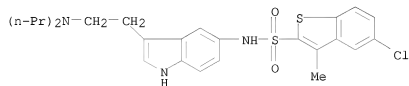
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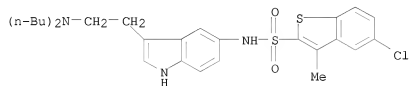
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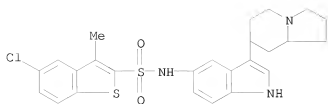
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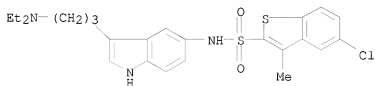
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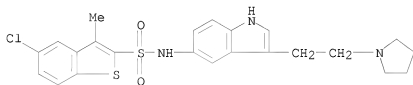
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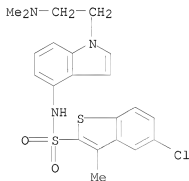
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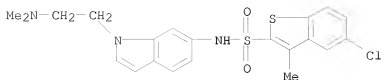
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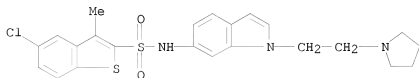
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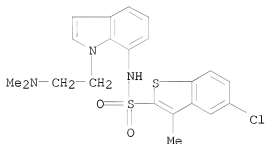
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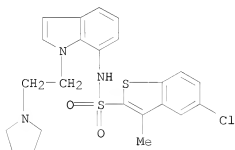
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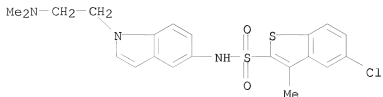
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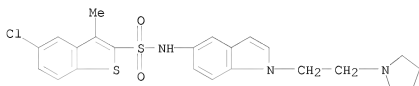
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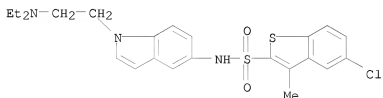
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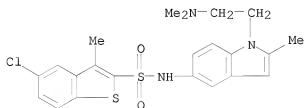
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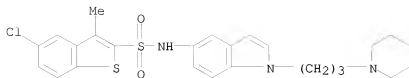
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RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 65 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:136568 CAPLUS
DN 142:240322
TI Active substance combination comprising a compound with NPY receptor
affinity and a compound with 5-HT₆ receptor affinity
IN Torrens Jover, Antoni; Mas Prio, Josep; Dordal Zueras, Alberto; Codony
Soler, Xavier; Merce Vidal, Ramon; Aurelio Castrillo Perez, Jose; Frigola
Constansa, Jordi; Buschmann, Helmut-Heinrich
PA Laboratorios del Esteve S. A., Spain
SO PCT Int. Appl., 451 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005014000	A1	20050217	WO 2004-EP8515	20040729
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			CA 2004-2534100	20040729
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EP 1648468	A1	20060426	WO 2004-EP8515	W 20040729
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			WO 2004-EP8515	W 20040729
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US 20070059364	A1	20070315	US 2006-566100		20061026
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			WO 2004-EP8515	W	20040729

OS CASREACT 142:240322; MARPAT 142:240322

AB The present invention relates to an active substance combination comprising at least one compound I [R1-R4 = H, halo, alkyl, etc.; R5 = H, alkyl, (un)saturated (hetero)cycloalkyl; R6-R9 = H, alkyl, (un)saturated (hetero)cycloalkyl, etc.; A = CHR18, CHR18CH2; R10 = H, alkyl, (un)saturated cycloalkyl, etc.; R11 = alkyl, (un)saturated cycloalkyl, etc.; NR10R11 = (un)saturated heterocyclyl; R18 = H, alkyl, (un)saturated cycloalkyl, etc.]

with neuropeptide Y-receptor affinity, preferably neuropeptide Y5-receptor affinity, and at least one compound with 5-HT6 receptor affinity (such as II [R1 = H, alkyl, Ph, CH2PH; R2 = NR4R5, (un)saturated (hetero)cycloalkyl, etc.; R3 = H, alkyl; R4, R5 = H, alkyl; or NR4R5 = (un)saturated heterocyclyl; A = (un)substituted (hetero)aryl; n = 0-4]), a medicament comprising said active substance combination, and the use of said active substance combination for the manufacture of a medicament. Synthesis of amides I and sulfonamides such as II is described in examples. Thus, reacting 6-chloro-1-(4-piperidinyl)-1,4-dihydro-2H-3,1-benzoxazinone hydrochloride with 2-(2-chloroacetamide)-2',5'-dichlorobenzophenone in the presence of K2CO3 in DMF followed by treating of the free base with HCl/EtOH afforded 61% III.HCl. The amides I and sulfonamides such as II were tested against neuropeptide Y5 and 5-HT6 binding (data given for representative compds.).

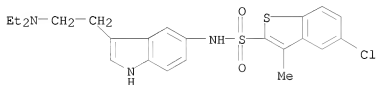
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844486-22-2P 844486-25-5P 844831-84-1P
844831-97-6P 844832-03-7P 844832-06-0P
844832-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amides and sulfonamides as components of active combination with NPY receptor affinity and 5-HT6 receptor affinity)

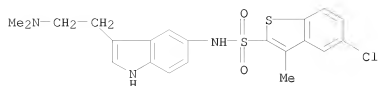
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



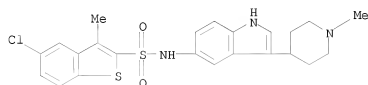
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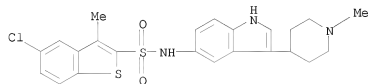
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



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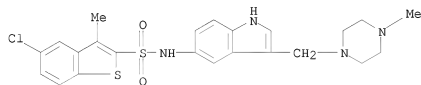
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

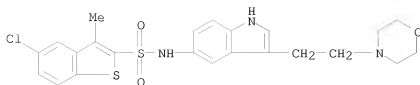
RN 528859-48-5 CAPLUS

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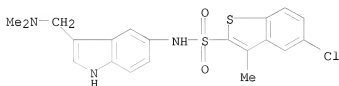
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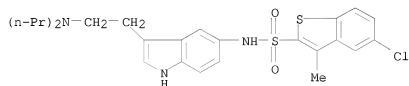
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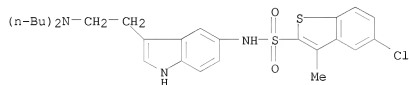
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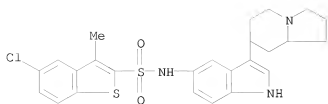
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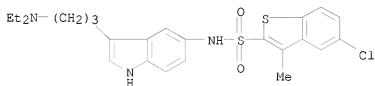
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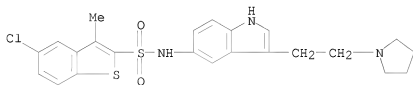
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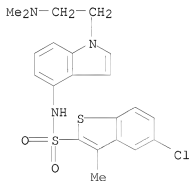
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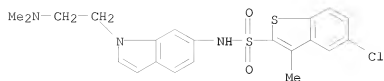
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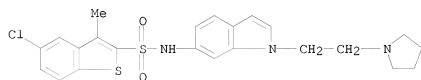
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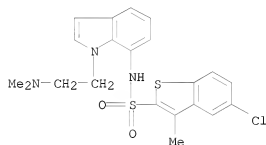
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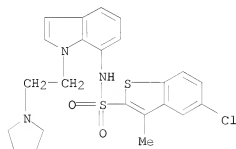
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)



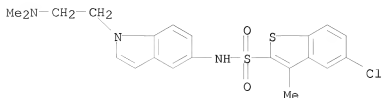
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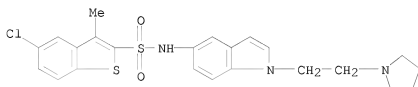
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



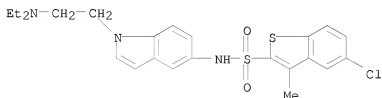
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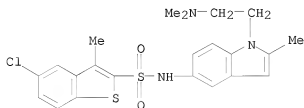
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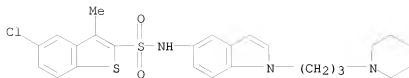
RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)

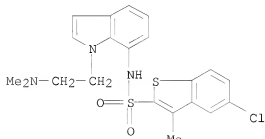


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 66 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:136551 CAPLUS
DN 142:219149
TI Preparation of indol-7-sulfonamide derivatives and their use as 5-HT6
modulators
IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zuera, Alberto
PA Laboratorios del Esteve S. A., Spain
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

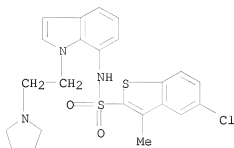
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005013979	A1	20050217	WO 2004-EP8513	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2222830	A1	20050201	ES 2003-1808	A 20030730
ES 2222830	B1	20060216	ES 2003-1808	20030730
AU 2004262487	A1	20050217	AU 2004-262487	20040729
CA 2534136	A1	20050217	ES 2003-1808	A 20030730
EP 1648444	A1	20060426	WO 2004-EP8513	W 20040729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			EP 2004-741320	20040729
CN 1832739	A	20060913	ES 2003-1808	A 20030730
BR 2004013001	A	20060926	WO 2004-EP8513	W 20040729
JP 2007500167	T	20070111	CN 2004-80022353	20040729
			ES 2003-1808	A 20030730
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			BR 2004-13001	20040729
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			WO 2004-EP8513	W	20040729
NZ	545298	A	20080630	NZ 2004-545298	20040729
			ES 2003-1808	A	20030730
			WO 2004-EP8513	W	20040729
IN	2005DN06112	A	20080711	IN 2005-DN6112	20051228
			ES 2003-1808	A	20030730
			WO 2004-EP8513	W	20040729
MX	2006PA01130	A	20060424	MX 2006-PA1130	20060127
			ES 2003-1808	A	20030730
			WO 2004-EP8513	W	20040729
NO	2006000506	A	20060131	NO 2006-506	20060131
			ES 2003-1808	A	20030730
			WO 2004-EP8513	W	20040729
US	20070185207	A1	20070809	US 2006-566403	20060811
US	7414070	B2	20080819		
			ES 2003-1808	A	20030730
			WO 2004-EP8513	W	20040729
OS	CASREACT 142:219149; MARPAT 142:219149				
AB	Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-6 independently = H, halo, NO ₂ , alkoxy, etc.; R7 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9				
=	H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT ₆ receptor. Thus, e.g., II was prepared by the reaction of naphthalene-1-sulfonyl chloride with 7-amino-3-(2-dimethylaminoethyl)-1H-indole. I are disclosed as modulators for the 5HT ₆ -receptor (no data).				
IT	844486-22-2P 844486-25-5P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of indol-7-ylsulfonamide derivs. as 5-HT ₆ receptor modulators)				
RN	844486-22-2 CAPLUS				
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-7-yl]-3-methyl- (CA INDEX NAME)				



RN 844486-25-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-(2-(1-pyrrolidinyl)ethyl)-1H-indol-7-yl]- (CA INDEX NAME)

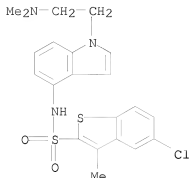


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 67 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:136550 CAPLUS
DN 142:219148
TI Preparation of indol-4-yl sulfonamide derivatives and their use as 5-HT6
modulators
IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zuera, Alberto
PA Laboratorios del Esteve S. A., Spain
SO PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013978	A1	20050217	WO 2004-EP8512	20040729
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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				WO 2004-EP8512	W 20040729
	CA 2534098	A1	20050217	CA 2004-2534098	20040729
				ES 2003-1807	A 20030730
				WO 2004-EP8512	W 20040729
	EP 1648446	A1	20060426	EP 2004-763611	20040729
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
				ES 2003-1807	A 20030730

CN	1829508	A	20060906	WO 2004-EP8512	W	20040729
				CN 2004-80022169		20040729
				ES 2003-1807	A	20030730
BR	2004013068	A	20061017	WO 2004-EP8512	W	20040729
				BR 2004-13068		20040729
				ES 2003-1807	A	20030730
JP	2007500166	T	20070111	WO 2004-EP8512	W	20040729
				JP 2006-521530		20040729
				ES 2003-1807	A	20030730
NZ	545300	A	20080530	WO 2004-EP8512	W	20040729
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				ES 2003-1807	A	20030730
IN	2005DN06116	A	20080711	WO 2004-EP8512	W	20040729
				IN 2005-DN6116		20051228
				ES 2003-1807	A	20030730
NO	2006000155	A	20060110	WO 2004-EP8512	W	20040729
				NO 2006-155		20060110
				ES 2003-1807	A	20030730
MX	2006PA01137	A	20060424	WO 2004-EP8512	W	20040729
				MX 2006-PA1137		20060127
				ES 2003-1807	A	20030730
				WO 2004-EP8512	W	20040729
US	20070185158	A1	20070809	US 2007-566164		20070116
				ES 2003-1807	A	20030730
				WO 2004-EP8512	W	20040729
OS	CASREACT 142:219148; MARPAT 142:219148					
AB	Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one heteroatom; R2-3,5-7 independently = H, halo, NO2, alkoxy, etc.; R4 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9					
=	H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)saturated alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 4-amino-3-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 46.6-104.3 at 10-6M concns.					
IT	753020-71-2P					
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)					
	(drug candidate; preparation of indol-4-ylsulfonamide derivs. as 5-HT6 receptor modulators)					
RN	753020-71-2 CAPLUS					
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-4-yl]-3-methyl- (CA INDEX NAME)					

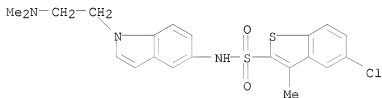


RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

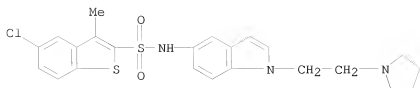
L6 ANSWER 68 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:136549 CAPLUS
DN 142:240310
TI Preparation of indol-5-yl sulfonamide derivatives and their use as 5-HT6
modulators
IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zuera, Alberto
PA Laboratorios del Esteve S. A., Spain
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013977	A1	20050217	WO 2004-EP8511	20040729
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	ES 2222827	B1	20060301	ES 2003-1805	20030730
	AU 2004262485	A1	20050217	AU 2004-262485	20040729
	CA 2533976	A1	20050217	ES 2003-1805	A 20030730
				CA 2004-2533976	20040729
				ES 2003-1805	A 20030730
				WO 2004-EP8511	W 20040729
	EP 1648445	A1	20060426	EP 2004-763610	20040729
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
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				WO 2004-EP8511	W 20040729

CN 1832740	A	20060913	CN 2004-80022472	20040729
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BR 2004013110	A	20061003	BR 2004-13110	20040729
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			WO 2004-EP8511	W 20040729
NO 2006000865	A	20060222	NO 2006-865	20060222
			ES 2003-1805	A 20030730
			WO 2004-EP8511	W 20040729
US 20070032520	A1	20070208	US 2006-566094	20061003
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OS CASREACT 142:240310; MARPAT 142:240310				
AB Title compds. I [R1 = NR8R9 radical or (un)saturated-(un)substituted				
cycloaliph. radical optionally containing at least one heteroatom; R2-4,6-7				
independently = H, NO2, alkoxy, CN, etc.; R5 = H or (un)saturated alkyl				
optionally at least monosubstituted; R8 or R9 independently = H or				
(un)saturated alkyl optionally at least monosubstituted with provisions; or R8				
and R9 together with the bridging N atom form a (un)saturated-(un)substituted				
heterocyclic ring; A = (un)saturated mono or polycyclic aromatic ring; n =				
0-4] and their pharmaceutically acceptable salts are prepared and disclosed				
as 5-HT6 modulators. Thus, e.g., II, was prepared via reaction of				
naphthalene-2-sulfonyl chloride with				
5-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected data from 5-HT6				
receptor binding studies revealed Ki values (nM) ranging from 1.89-112.4.				
IT 844831-84-1P 844831-97-6P 844832-03-7P				
844832-06-0P 844832-14-0P				
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU				
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES				
(Uses)				
(drug candidate; preparation of indol-5-ylsulfonamide derivs. as 5-HT6				
receptor modulators)				
RN 844831-84-1 CAPLUS				
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-				
indol-5-yl]-3-methyl- (CA INDEX NAME)				

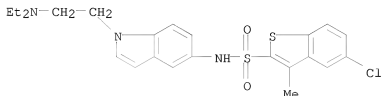


RN 844831-97-6 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



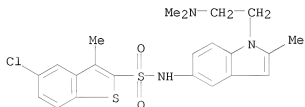
RN 844832-03-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



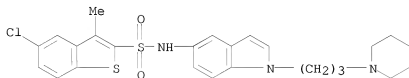
RN 844832-06-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2-methyl-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 844832-14-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[3-(1-piperidinyl)propyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 69 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2005:136548 CAPLUS

DN 142:240309

TI Preparation of indol-6-ylsulfonamide derivatives and their use as 5-HT6 modulators

IN Merce Vidal, Ramon; Codony Soler, Xavier; Dordal Zuera, Alberto
 PA Laboratorios del Esteve S. A., Spain
 SO PCT Int. Appl., 92 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005013976	A1	20050217	WO 2004-EP8510	20040729
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	ES 2222832	B1	20060216	ES 2003-1810	20030730
	AU 2004262484	A1	20050217	AU 2004-262484	20040729
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	CA 2533970	A1	20050217	CA 2004-2533970	20040729
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	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
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				WO 2004-EP8510	W 20040729
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				WO 2004-EP8510	W 20040729
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	US 20070043041	A1	20070222	US 2006-566101	20060810
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				WO 2004-EP8510	W 20040729
OS	CASREACT 142:240309; MARPAT 142:240309				
AB	Title compds. I [R1 = NR8R9 radical or a (un)saturated, optionally at least monosubstituted cycloaliph. radical which may contain at least one				

heteroatom; R2-5,7 independently = H, halo, NO2, alkoxy, etc.; R6 = H or (un)saturated aliphatic radical optionally at least monosubstituted; R8 and R9

=

H or (un)saturated aliphatic radical optionally at least monosubstituted with provisions, or R8 and R9 together with the N atom form a (un)saturated heterocyclic ring optionally at least monosubstituted; A = mono or polycyclic aromatic ring system which may be bonded via (un)substituted alkylene, alkenylene or alkynylene group; n = 0-4], and their pharmaceutically acceptable salts, are prepared and disclosed as useful for medicaments in human and/or veterinary therapeutics for diseases/disorders related to 5-HT6 receptor. Thus, e.g., II was prepared by the reaction of 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride with 6-amino-1-(2-dimethylaminoethyl)-1H-indole. Selected compds. of the invention were evaluated for binding with 5-HT6 receptor; % inhibition values reported to range from 86.9-98.6 at 10-6M concns.

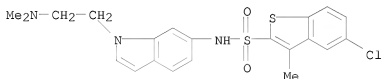
IT 844477-59-4P 844477-72-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indol-6-ylsulfonamide derivs. as 5-HT6 receptor modulators)

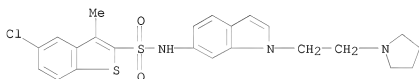
RN 844477-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 70 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

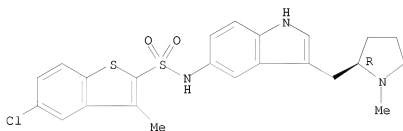
AN 2005:48564 CAPLUS

DN 142:211413

TI Discovery of 5-Arylsulfonamido-3- (pyrrolidin-2-ylmethyl)-1H-indole Derivatives as Potent, Selective 5-HT6 Receptor Agonists and Antagonists
AU Cole, Derek C.; Lennox, William J.; Lombardi, Sabrina; Ellingboe, John W.; Bernotas, Ronald C.; Tawa, Gregory J.; Mazandarani, Hossein; Smith, Deborah L.; Zhang, Guoming; Coupet, Joseph; Schechter, Lee E.
CS Chemical and Screening Sciences, Wyeth Research, Pearl River, NY, 10965,

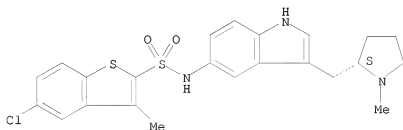
USA
 SO Journal of Medicinal Chemistry (2005), 48(2), 353-356
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 142:211413
 AB 5-Arylsulfonylamido-3-(pyrrolidin-2-ylmethyl)-1H-indoles have been identified as high-affinity 5-HT6 receptor ligands. Within this class, several of the (R)-enantiomers were potent agonists having EC50 values of 1 nM or less and functioning as full agonists while the (S)-enantiomers displayed moderate antagonist activity.
 IT 840527-41-5P 840527-64-2P 840527-92-6P
 840528-24-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (Discovery of Arylsulfonamido(pyrrolidinylmethyl)indole Derivs. as Potent, Selective 5-HT6 Receptor Agonists and Antagonists)
 RN 840527-41-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(2R)-1-methyl-2-pyrrolidinyl]methyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



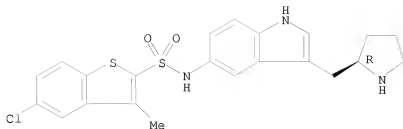
RN 840527-64-2 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(2S)-1-methyl-2-pyrrolidinyl]methyl]-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 840527-92-6 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(2R)-2-pyrrolidinylmethyl]-1H-indol-5-yl]- (CA INDEX NAME)

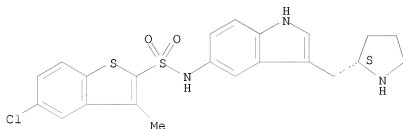
Absolute stereochemistry.



RN 840528-24-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-((2S)-2-pyrrolidinylmethyl)-1H-indol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 71 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:995963 CAPLUS

DN 141:410813

TI Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT6 receptor binding activity, their pharmaceutical compositions, and their use as medicaments for treatment of food ingestion disorders.

IN Merce-Vidal, Ramon; Andaluz, Mataro Blas; Frigola Constansa, Jordi

PA Laboratorios Del Esteve S.A., Spain

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004098588	A1	20041118	WO 2004-EP4882	20040507
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				ES 2003-1077	A 20030509

ES 2219181	A1	20041116	ES 2003-1782	A	20030728
ES 2219181	B1	20051216	ES 2003-1077		20030509
AU 2004237420	A1	20041118	AU 2004-237420		20040507
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			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507
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			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507
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			ES 2003-1077	A	20030509
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			ES 2003-1077	A	20030509
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BR 2004010189	A	20060523	BR 2004-10189		20040507
			ES 2003-1077	A	20030509
			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507
CN 1816334	A	20060809	CN 2004-80019265		20040507
			ES 2003-1077	A	20030509
			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507
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IN 2005DN05122	A	20071102	IN 2005-DN5122		20051108
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			WO 2004-EP4882	W	20040507
MX 2005PA12052	A	20060731	MX 2005-PA12052		20051109
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			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507
NO 2005005492	A	20051121	NO 2005-5492		20051121
			ES 2003-1077	A	20030509
			ES 2003-1782	A	20030728
			WO 2004-EP4882	W	20040507

OS MARPAT 141:410813

AB The invention relates to the use of N-(1H-indol-5-yl)-substituted sulfonamide derivs. I, including stereoisomers (especially enantiomers or diastereomers), racemates or other stereochem. mixts., and their physiol. acceptable salts and solvates, for the manufacture of medicaments for the prophylaxis and/or treatment of disorders of food ingestion [wherein: A = (un)substituted mono- or polycyclic (hetero)aromatic ring which may be bonded via an (un)substituted alk(en/yn)ylene; R1 = H, (un)substituted alkyl, Ph, or benzyl; n = 0-4; R2 = NR4R5, (un)saturated (un)substituted (hetero)cycloaliph. radical, which may be condensed with a similar ring; R3 = H, (un)substituted alkyl; R4, R5 = H, (un)substituted alkyl; or NR4R5 = (un)saturated, (un)substituted heterocyclyl which may be condensed with a

similar ring]. Included in the disclosure are methods for and examples of the preparation of I. The use of 53 specific example compds. is claimed. Specifically claimed uses include appetite regulation, body weight modulation, and the treatment of obesity, bulimia, anorexia, cachexia, and type II diabetes. Phys. data for the same compds. is provided, and 5 example preps. are shown. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of

binding

of [3H]-LSD to recombinant human 5-HT₆ receptors expressed in HEK-293 cell membranes, II had a K_i of 0.13 nM, and gave complete (103.0%) inhibition at 10-6 M. Thirteen other I had K_i values ranging from 0.28 nM to 24.3 nM.

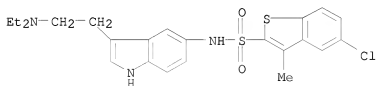
IT 528858-69-7P, N-[3-[2-(Diethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528858-94-8P, N-[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-12-3P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide hydrochloride 528859-48-5P, N-[3-[(4-Methylpiperazin-1-yl)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P, N-[3-[2-(Morpholin-4-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P, N-[3-[(Dimethylamino)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-90-7P, N-[3-[2-(Dipropylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-93-0P, N-[3-[2-(Dibutylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-08-4P, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-23-3P, N-[3-[3-(Diethylamino)propyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-26-6P, N-[3-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-indolyl sulfonamide derivs. with 5-HT₆ receptor binding activity for treatment of food ingestion disorders)

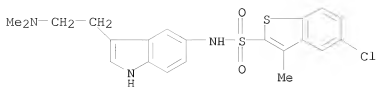
RN 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



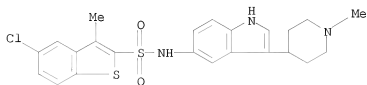
RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



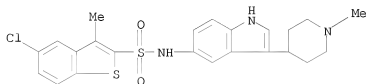
RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-12-3 CAPLUS

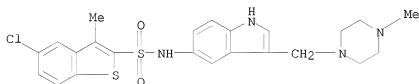
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

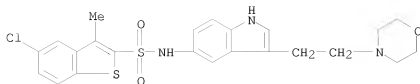
RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)



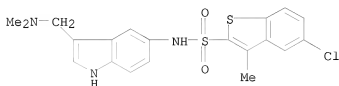
RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



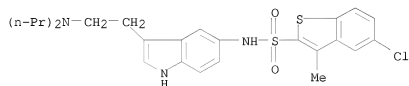
RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



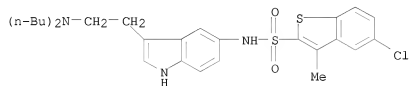
RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



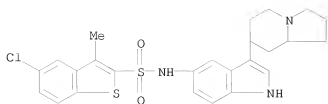
RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



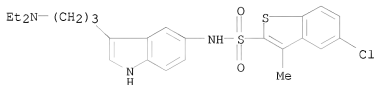
RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indoliziny)-1H-indol-5-yl]- (CA INDEX NAME)



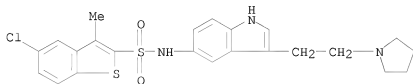
RN 528860-23-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 72 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:902361 CAPLUS

DN 141:395802

TI Preparation of substituted phenylalkanoic acids, including amino acid derivatives

IN Van Zandt, Michael C.; Fang, Haiquan; Hu, Shaojing; Whitehouse, Darren

PA The Institutes for Pharmaceutical Discovery, LLC, USA

SO PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004092146	A2	20041028	WO 2004-US11650	20040414
	WO 2004092146	A3	20041229		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
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 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG

AU 2004231106	A1	20041028	US 2003-463102P	P	20030414
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CA 2522080	A1	20041028	WO 2004-US11650	W	20040414
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			US 2003-463102P	P	20030414
US 20040248937	A1	20041209	WO 2004-US11650	W	20040414
			US 2004-824057		20040414
EP 1633354	A2	20060315	US 2003-463102P	P	20030414
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BR 2004009447	A	20060418	US 2003-463102P	P	20030414
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IN 2005KN02090	A	20061117	IN 2005-KN2090		20051024
			US 2003-463102P	P	20030414
			WO 2004-US11650	W	20040414

OS MARPAT 141:395802

AB The invention relates to compds. I [n is 0-3; R1 is H, alkyl, phenylalkyl or alkenyl; R2 is Ph, phenylalkyl, alkyl, carbamoylalkyl, alkylsulfonylalkyl, heterocycloalkyl, etc.; R3 is H or CO2R1; R20-R23 are independently H, arylalkoxy, arylalkyl, halo, alkyl, OH, alkoxy, NO2, NH2, alkylamino, etc.; L is SO2NH, sulfonyl(alkylimino), NHSO2, O, CONH, carbonyl(alkylimino), SO2, carbonylalkylene, alkylencarboxyl, NH or alkylimino (the alkyl group are optionally substituted with Ph or substituted phenyl); L2 is a bond, CONR9, NR9CO, alkylene-CONR9, NR9, etc. (R9 is H or alkyl optionally substituted with CO2H, arylsulfonyl or arylalkyl); ring A is (un)substituted Ph, naphthyl, thiazolyl, pyrazolyl, furanyl, dihydropyrazolyl, benzofuranyl, dibenzofuranyl, pyrimidyl, pyridyl, quinolinyl, naphthyl, quinazolinyl, benzo[blthiophene, imidazolyl, isothiazolyl, pyrrolyl, oxazolyl or triazolyl; Q is H, aryl, arylcarboxylaryl, alkyl, halo, etc.; L3 is a bond, alkyleneoxy, oxyalkylene, alkylene, alkenylene or CO; Z is absent, H, arylamino, (un)substituted Ph or cycloalkylcycloalkanoyle(alkyl)amino] and their pharmaceutically-acceptable salts, which are useful in the treatment of metabolic disorders related to insulin resistance or hyperglycemia. These compds. include inhibitors of protein tyrosine phosphatase (PTP-1B) that are useful in the treatment of diabetes and other PTP-1B mediated diseases

such as cancer and neurodegenerative diseases. Thus, 2-[4-[4-(4-chlorophenyl)-5-(4-ethylphenyl)thiazol-2-yl]carbamoyl]benzenesulfonylamino]-3-phenylpropionic acid was prepared by cyclocondensation of 4-C1C6H4COCH2C6H4Et-4 (preparation given) with thiourea, acylation with 4-C1SO2C6H4CO2H, and coupling with phenylalanine tert-Bu ester hydrochloride. The product was shown to increase the glucose infusion rate in rats at 30 mg/kg.

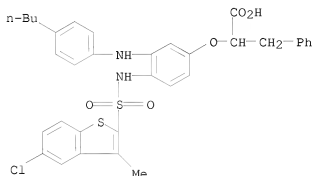
IT 782484-11-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted phenylalkanoic acids, including amino acid derivs., for treatment of diabetes)

RN 782484-11-1 CAPLUS

CN Benzenepropanoic acid, α -[3-[(4-butylphenyl)amino]-4-[[5-chloro-3-methylbenzo(b)thien-2-yl)sulfonyl]amino]phenoxy]- (CA INDEX NAME)



L6 ANSWER 73 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:725572 CAPLUS

DN 142:211383

TI Medicinal Chemistry Driven Approaches Toward Novel and Selective Serotonin 5-HT6 Receptor Ligands

AU Holenz, Joerg; Merce, Ramon; Diaz, Jose Luis; Guitart, Xavier; Codony, Xavier; Dordal, Alberto; Romero, Gonzalo; Torrens, Antoni; Mas, Josep; Andaluz, Blas; Hernandez, Susana; Monroy, Xavier; Sanchez, Elisabeth; Hernandez, Enrique; Perez, Raquel; Cubi, Roger; Sanfeliu, Olga; Buschmann, Helmut

CS Departments of Medicinal Chemistry, Discovery Biology and Discovery Chemistry, Laboratorios Dr. Esteve S.A., Barcelona, 08041, Spain

SO Journal of Medicinal Chemistry (2005), 48(6), 1781-1795

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

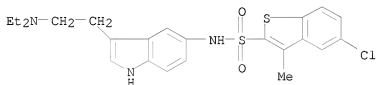
LA English

OS CASREACT 142:211383

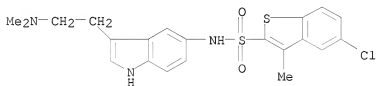
AB Based on a medicinal chemical guided hypothetical pharmacophore model, novel series of indolyl sulfonamides have been designed and prepared as selective and high-affinity serotonin 5-HT6 receptor ligands. Furthermore, based on a screening approach of a discovery library, a series of benzoxazinepiperidinyl sulfonamides were identified as selective 5-HT6 ligands. Many of the compds. described in this paper possess excellent affinities, displaying pKi values greater than 8 (some even >9) and high selectivities against a wide range (>50) of other CNS relevant receptors.

First, structure-affinity relationships of these ligands are discussed. In terms of functionality, high-affinity antagonists, as well as agonists and even partial agonists, were prepared. Compds. 19c and 19g represent the highest-affinity 5-HT₆ agonists ever reported in the literature. These valuable tool compounds should allow for the detailed study of the role of the 5-HT₆ receptor in relevant animal models of disorders such as cognition deficits, depression, anxiety, or obesity.

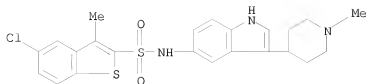
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N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P,
N-[3-[2-(Morpholin-4-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P
528859-90-7P 528860-26-6P 753020-71-2P
753020-89-2P 753020-93-8P 753021-00-0P
844477-72-1P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(medicinal chemical driven approaches toward novel and selective serotonin 5-HT₆ receptor ligands)
- RN 528858-69-7 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



- RN 528858-94-8 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)

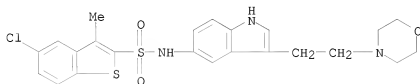


- RN 528859-09-8 CAPLUS
- CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



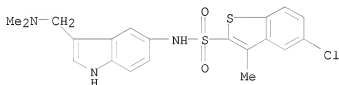
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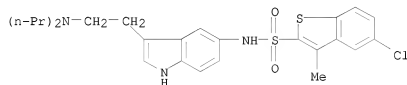
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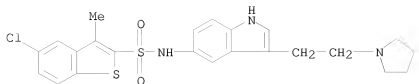
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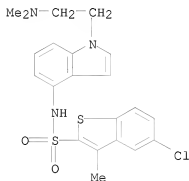
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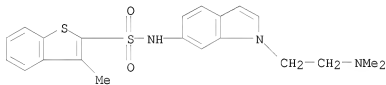
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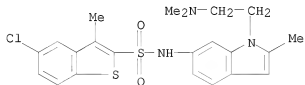
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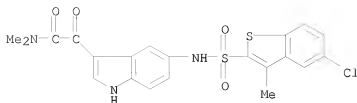
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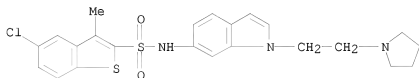
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CN 1H-Indole-3-acetamide, 5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N,N-dimethyl-α-oxo- (CA INDEX NAME)



RN 844477-72-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[1-[2-(1-pyrrolidinyl)ethyl]-1H-indol-6-yl]- (CA INDEX NAME)



RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 74 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:718289 CAPLUS

DN 141:243332

TI Preparation of sulfonamide derivatives, in particular
N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR
agonists

IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones,
Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh,
Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong;
Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu,
Guoxin

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 435 pp.

CODEN: PIXXD2

DT Patent

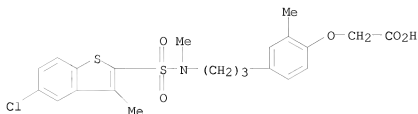
LA English

FAN.CNT 1

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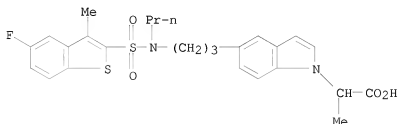
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OS	MARPAT 141:243332			
AB	<p>Title compds. I [wherein A = II, III; D = (CH₂)₀; B = R₁b-[C]q-R₁a; E = O, S, NH and derivs.; W = -Y-(CR₄R₅)-Q, H, cyclo/halo/alkyl, acyl; Q = CO₂H and derivs.; CO₂NH₂, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un)substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R₁, R₂ = independently H, wherein when Z = Ph or naphthyl and R₂ = H, R₁ is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R₁ and R₂ form a 5- to 8-membered heterocycle; R₁a, R₁b = independently H, alkyl, or R₁ and R₁a, R₁and R₁b, R₂ and R₁b, or R₁a and R₁b form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R₁a and or R₁b is not H; R₂a = H, halo, (un)substituted alkyl and wherein R₂ and R₂a together being a 3- to 8-membered ringR₃ = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl)heterocyclyl, etc.; R₄, R₅ = independently H, halo, alkyl, alkoxy, aryloxy, NH₂ and derivs., SH and derivs., or R₄CR₅ = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.</p> <p>A multistep synthesis is given for sulfonamide IV. I displayed IC₅₀ and EC₅₀ in the range of about 1 nM to about 5 μM for binding to PPAR alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.</p>			
IT	<p>752133-50-9P 752137-73-8P, 2-[5-[3-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)</p>			
RN	752133-50-9 CAPLUS			
CN	Acetic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-			

yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



RN 752137-73-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α-methyl- (CA INDEX NAME)



IT 752131-91-2P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfonyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethyl]sulfonyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752133-45-2P, [4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]acetic acid 752133-46-3P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-(methyl)phenoxyacetic acid 752133-52-1P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxyacetic acid 752136-19-9P, 2-[3-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 752136-21-3P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid sodium salt 752136-24-6P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 2-(morpholin-4-yl)ethyl ester hydrochloride 752136-44-0P

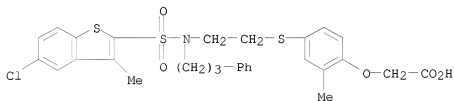
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular

N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

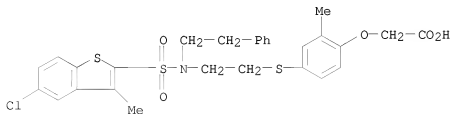
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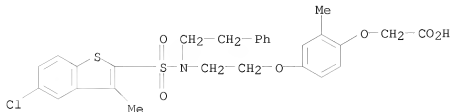
CN Acetic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752131-96-7 CAPLUS

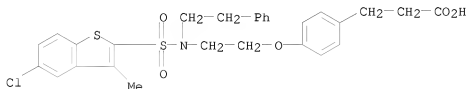
CN Acetic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-

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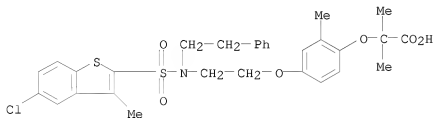
RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



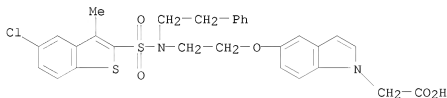
RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



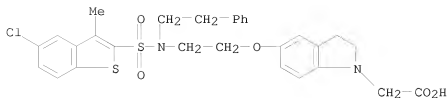
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CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



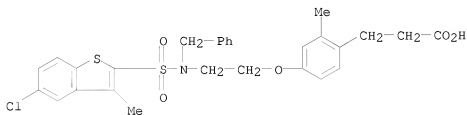
RN 752132-00-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)



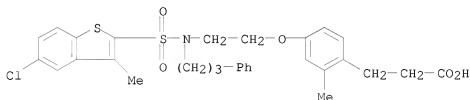
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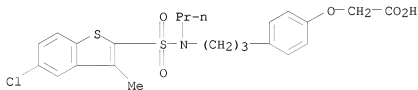
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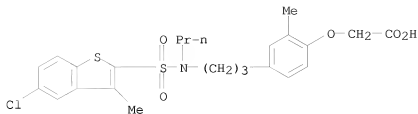
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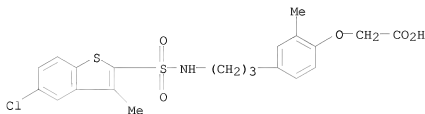
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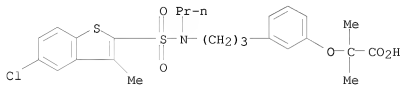
RN 752133-52-1 CAPLUS

CN Acetic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



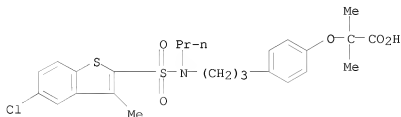
RN 752136-19-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 752136-21-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, sodium salt (1:1) (CA INDEX NAME)

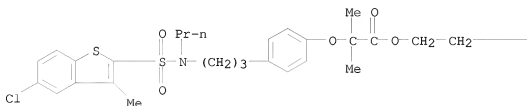


● Na

RN 752136-24-6 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, 2-(4-morpholinyl)ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



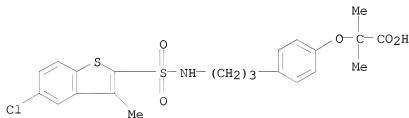
● HCl

PAGE 1-B



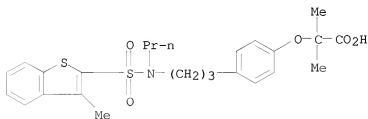
RN 752136-44-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

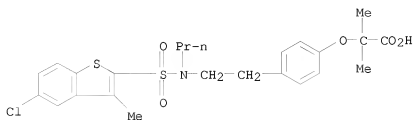


RN 752136-69-9 CAPLUS

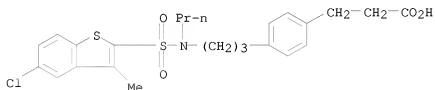
CN Propanoic acid, 2-methyl-2-[4-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)



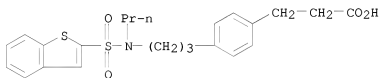
RN 752136-91-7 CAPLUS
 CN Propanoic acid, 2-[4-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



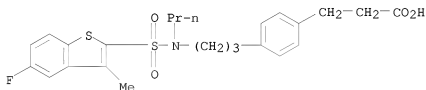
RN 752136-99-5 CAPLUS
 CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



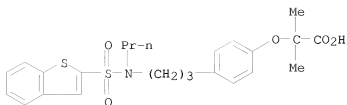
RN 752137-11-4 CAPLUS
 CN Benzenepropanoic acid, 4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



RN 752137-12-5 CAPLUS
 CN Benzenepropanoic acid, 4-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

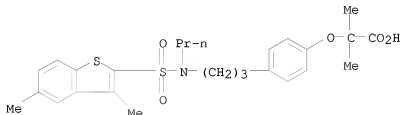


RN 752137-14-7 CAPLUS
 CN Propanoic acid, 2-[4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



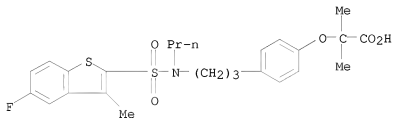
RN 752137-15-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



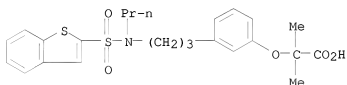
RN 752137-16-9 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



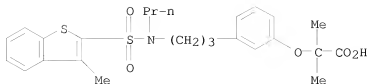
RN 752137-18-1 CAPLUS

CN Propanoic acid, 2-[3-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

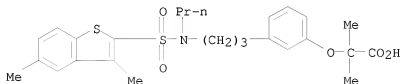


RN 752137-19-2 CAPLUS

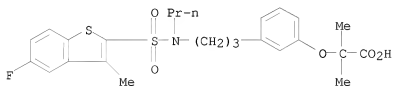
CN Propanoic acid, 2-methyl-2-[3-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)



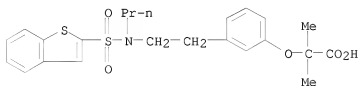
RN 752137-20-5 CAPLUS
 CN Propanoic acid, 2-[3-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



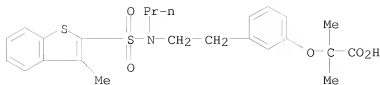
RN 752137-21-6 CAPLUS
 CN Propanoic acid, 2-[3-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



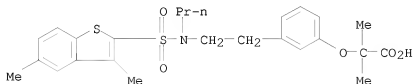
RN 752137-23-8 CAPLUS
 CN Propanoic acid, 2-[3-[2-[(benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



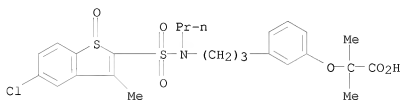
RN 752137-24-9 CAPLUS
 CN Propanoic acid, 2-methyl-2-[3-[2-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]- (CA INDEX NAME)



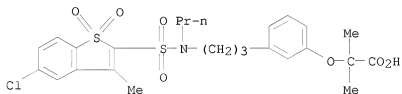
RN 752137-25-0 CAPLUS
 CN Propanoic acid, 2-[3-[2-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



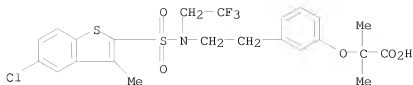
RN 752137-27-2 CAPLUS
 CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1-oxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 752137-28-3 CAPLUS
 CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1,1-dioxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

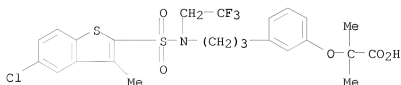


RN 752137-29-4 CAPLUS
 CN Propanoic acid, 2-[3-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



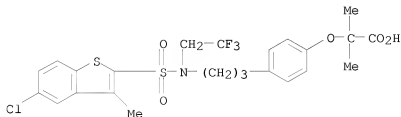
RN 752137-30-7 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



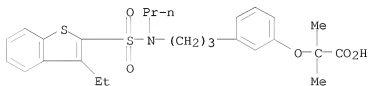
RN 752137-31-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



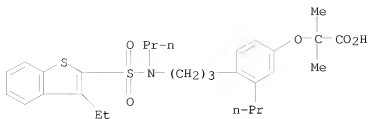
RN 752137-32-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



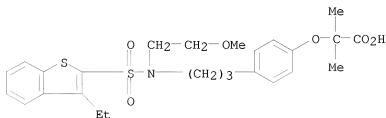
RN 752137-33-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)



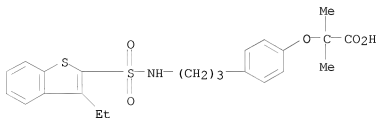
RN 752137-34-1 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



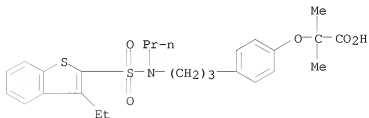
RN 752137-36-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



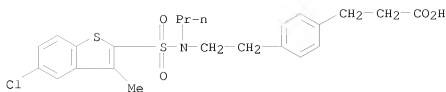
RN 752137-37-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



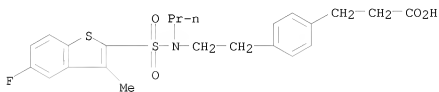
RN 752137-50-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)



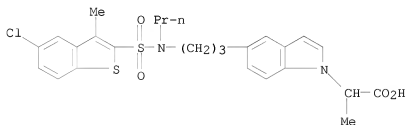
RN 752137-51-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)



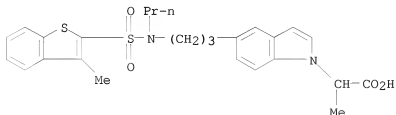
RN 752137-81-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α-methyl- (CA INDEX NAME)



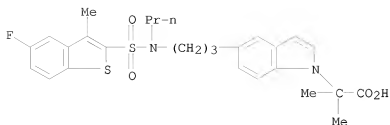
RN 752137-82-9 CAPLUS

CN 1H-Indole-1-acetic acid, α-methyl-5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



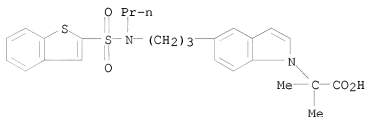
RN 752137-83-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α,α-dimethyl- (CA INDEX NAME)



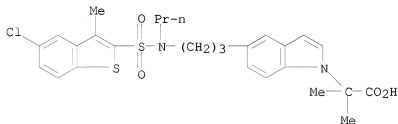
RN 752137-89-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]-α,α-dimethyl- (CA INDEX NAME)



RN 752137-90-9 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α,α-dimethyl- (CA INDEX NAME)



IT 752131-92-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-bromoethyl)-N-(3-phenylpropyl)amide 752132-01-7P,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-benzyl-N-(2-bromoethyl)amide 752132-02-8P,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-benzyl-N-(2-hydroxyethyl)amide 752132-14-2P, Ethyl

2-[4-[[1-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](4-

methoxybenzyl)amino]methyl]propyl]sulfanyl]-2-(methyl)phenoxy]acetate

752133-51-0P, Ethyl 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl](methyl)amino]propyl]-2-(methyl)phenoxy]acetate

752133-53-2P, Ethyl 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]amino]propyl]-2-(methyl)phenoxy]acetate 752136-22-4P

, 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid ethyl ester

752136-23-5P, 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid

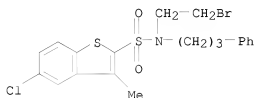
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of sulfonamides, in particular
N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

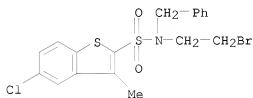
RN 752131-92-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



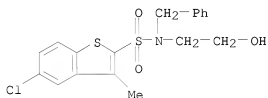
RN 752132-01-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)



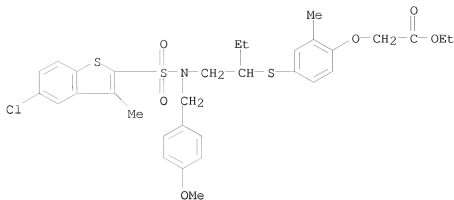
RN 752132-02-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)



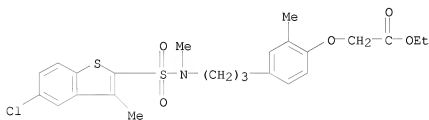
RN 752132-14-2 CAPLUS

CN Acetic acid, 2-[4-[[[1-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][(4-methoxyphenyl)methyl]amino)methyl]propyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



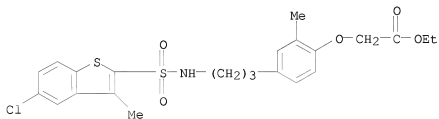
RN 752133-51-0 CAPLUS

CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



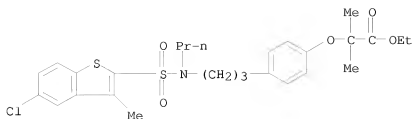
RN 752133-53-2 CAPLUS

CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



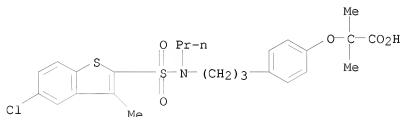
RN 752136-22-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



RN 752136-23-5 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



IT 752131-93-4, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-hydroxyethyl)-N-(3-phenylpropyl)amide 752131-95-6,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

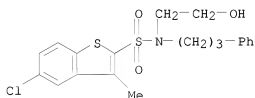
N-(2-bromoethyl)-N-phenethylamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

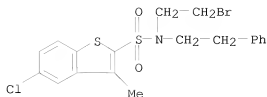
RN 752131-93-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



RN 752131-95-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



L6 ANSWER 75 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:701804 CAPLUS

DN 141:173972

TI Preparation of sulfonamides having antiangiogenic and anticancer activity
 IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.; Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chang Hoon; Sheppard, George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve D.; Kolaczowski, Lawrence; Mantei, Robert A.; Park, David C.; Sanders, William J.; Tedrow, Jason S.; Wang, Gary T.

PA USA

SO U.S. Pat. Appl. Publ., 127 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040167128	A1	20040826	US 2003-681784 US 2002-416793P	20031008 P 20021008

OS MARPAT 141:173972

AB The title compds. [I; A = 5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3 = H, alkenyl, alkoxy, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = alkyl, NH2, aminoalkyl, aryl, etc.; R6 = H, alkyl, aryl, etc.; provided that when A = Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of 5-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from 4-ethylaniline, was given. Representative compds. I had IC50's between about 0.005 μ M and >100 μ M against MetAP2. Also described are pharmaceutical compds. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.

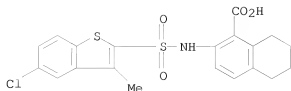
IT 681242-90-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity)

RN 681242-90-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



L6 ANSWER 76 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:652631 CAPLUS
 DN 141:173970
 TI Preparation of sulfonamides having antiangiogenic and anticancer activity
 IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.;
 Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chang Hoon; Sheppard,
 George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve
 D.; Kolaczowski, Lawrence; Mantei, Robert A.; Park, David C.; Sanders,
 William J.; Tedrow, Jason S.; Wang, Gary T.

PA USA
 SO U.S. Pat. Appl. Publ., 129 pp., Cont.-in-part of U.S. Ser. No. 267,081.
 CODEN: USXXCO

DT Patent
 LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040157836	A1	20040812	US 2003-667358	20030923
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	US 20040068012	A1	20040408	US 2002-267081	20021008
	CA 2501520	A1	20040422	CA 2003-2501520	20031006
				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
	WO 2004033419	A1	20040422	WO 2003-US31671	20031006
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				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006

PATENT FAMILY INFORMATION:

FAN 2004:293400

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	US 20040157836	A1	20040812	US 2003-667358	20030923
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				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
	WO 2004033419	A1	20040422	WO 2003-US31671	20031006
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AU	2003279857	A1	20040504	US 2002-267081	A 20021008
				US 2003-667358	A 20030923
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				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
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FAN	2004:333690			WO 2003-US31671	W 20031006
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-267081	A 20021008
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	US 20040157836	A1	20040812	US 2003-667358	20030923
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CA	2501520	A1	20040422	CA 2003-2501520	20031006
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				WO 2003-US31671	W 20031006
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				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006

EP 1549613 A1 20050706 EP 2003-773182 20031006
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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 US 2002-267081 A 20021008
 US 2003-667358 A 20030923
 WO 2003-US31671 W 20031006

OS MARPAT 141:173970

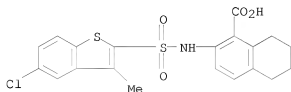
AB The title compds. [I; A = 5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3 = H, alkenyl, alkoxy, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = alkyl, NH2, aminoalkyl, aryl, etc.; R6 = H, alkyl, aryl, etc.; provided that when A = Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of 5-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from 4-ethylaniline, was given. Representative compds. I had IC50's between about 0.005 µM and >100 µM against MetAP2. Also described are pharmaceutical compns. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.

IT 681242-90-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of sulfonamides having antiangiogenic and anticancer activity)

RN 681242-90-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



L6 ANSWER 77 OF 152 CAPLUS COPYRIGHT 2008 ACS on SIN

AN 2004:565050 CAPLUS

DN 141:123471

TI Preparation of arylsulfonamide substituted carboxylic acids as asthma and allergic inflammation modulators

IN Fu, Zice; Huang, Xi Alan; Liu, Jiwen; Medina, Julio C.; Schmitt, Michael J.; Tang, Lucy H.; Wang, Yingcai; Xu, Qingge

PA Tularik, Inc., USA

SO PCT Int. Appl., 132 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058164	A2	20040715	WO 2003-US40617	20031219
	WO 2004058164	A3	20040826		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,			

GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2511214	A1	20040715	US 2002-435366P	P	20021220
			CA 2003-2511214		20031219
			US 2002-435366P	P	20021220
			WO 2003-US40617	W	20031219
AU 2003297398	A1	20040722	AU 2003-297398		20031219
			US 2002-435366P	P	20021220
			WO 2003-US40617	W	20031219
US 20040220237	A1	20041104	US 2003-742281		20031219
US 7321001	B2	20080122			
EP 1585511	A2	20051019	US 2002-435366P	P	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			EP 2003-814219		20031219
			US 2002-435366P	P	20021220
			WO 2003-US40617	W	20031219
BR 2003017591	A	20051122	BR 2003-17591		20031219
			US 2002-435366P	P	20021220
			WO 2003-US40617	W	20031219
CN 1767823	A	20060503	CN 2003-80109723		20031219
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JP 2006516143	T	20060622	JP 2004-563827		20031219
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ZA 2005005523	A	20060927	ZA 2005-5523		20031219
			US 2002-435366P	P	20021220
NZ 541234	A	20080630	NZ 2003-541234		20031219
			US 2002-435366P	P	20021220
			WO 2003-US40617	W	20031219
MX 2005PA06701	A	20060330	MX 2005-PA6701		20050620
			US 2002-435366P	P	20021220
			WO 2003-US40617	W	20031219
US 20080085891	A1	20080410	US 2007-986863		20071126
			US 2002-435366P	P	20021220
			US 2003-742281	A3	20031219

OS MARPAT 141:123471

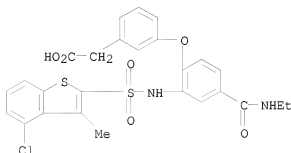
AB Title compds. I [Y = SOO-2; X = O, SOO-2; R2 = (un)substituted phenyl; R3, R5 = H, halo, alkyl, fluoroalkyl, etc.; R4 = H, carboxamido, etc.; R6 = H, halo, alkyl, fluoroalkyl, etc.; R10 = H, alkyl, fluoroalkyl, etc.; L = alkylene, heteroalkylene, etc.; Z = carboxy, carboxamido, etc.; R14 = halo, alkyl, fluoroalkyl, etc.] are prepared For instance, [4-(2-nitro-4-trifluoromethylphenoxy)phenyl]acetic acid Me ester (preparation given) is reduced to the corresponding aniline (MeOH, H2-Pd/C), sulfonlated with TsCl and saponified (MeOH/H2O, LiOH) to give II. II has IC50 < 15 µM for the CRTH2 receptor. I modulate the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer.

IT 721947-80-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonamide substituted carboxylic acids as asthma and

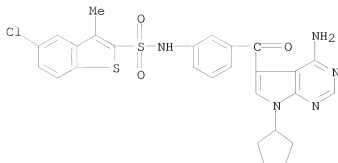
allergic inflammation modulators)
 RN 721947-80-4 CAPLUS
 CN Benzenecetic acid, 3-[2-[[4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4-[(ethylamino)carbonyl]phenoxy]- (CA INDEX NAME)



L6 ANSWER 78 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:546510 CAPLUS
 DN 141:106487
 TI Preparation of pyrrolopyrimidine derivatives as antiproliferative agents
 IN Arcari, Joel Thomas; Chen, Jinshan; Lagreca, Susan; Marx, Matthew Arnold;
 Wessel, Matthew David
 PA Pfizer Products Inc., USA
 SO PCT Int. Appl., 157 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2004056830	A1	20040708	WO 2003-IB5841	20031208	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:			BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	CA 2510853	A1	20040708	US 2002-434568P CA 2003-2510853 US 2002-434568P WO 2003-IB5841	P 20021219 20031208 P 20021219 W 20031208	
	AU 2003286317	A1	20040714	AU 2003-286317 US 2002-434568P WO 2003-IB5841	20031208 P 20021219 W 20031208	
	EP 1578751	A1	20050928	EP 2003-777060 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 2002-434568P WO 2003-IB5841 BR 2003-17524 US 2002-434568P	20031208 P 20021219 W 20031208 20031208 P 20021219	
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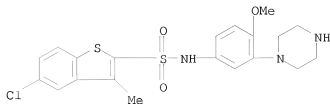
CN 1726218	A	20060125	WO 2003-IB5841	W	20031208
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JP 2006512356	T	20060413	US 2002-434568P	P	20021219
JP 4057013	B2	20080305	JP 2004-561818		20031208
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NZ 540456	A	20071130	WO 2003-IB5841	W	20031208
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			US 2002-434568P	P	20021219
US 20050037999	A1	20050217	WO 2003-IB5841	W	20031208
US 7271262	B2	20070918	US 2003-732509		20031210
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NL 1025068	A1	20040622	NL 2003-1025068		20031218
NL 1025068	C2	20041116			
ZA 2005004440	A	20060726	US 2002-434568P	P	20021219
			ZA 2005-4440		20050531
IN 2005DN02441	A	20070105	US 2002-434568P	P	20021219
			IN 2005-DN2441		20050607
			US 2002-434568P	P	20021219
NO 2005002802	A	20050719	WO 2003-IB5841	W	20031208
			NO 2005-2802		20050609
			US 2002-434568P	P	20021219
MX 2005PA06793	A	20050908	WO 2003-IB5841	W	20031208
			MX 2005-PA6793		20050620
			US 2002-434568P	P	20021219
KR 2007087020	A	20070827	WO 2003-IB5841	W	20031208
			KR 2007-715815		20070711
			US 2002-434568P	P	20021219
			WO 2003-IB5841	W	20031208
			KR 2005-711297	A3	20050617
OS	MARPAT 141:106487				
AB	<p>Pyrrolopyrimidines I (Q = CO, amino, S, sulfinyl, sulfonyl, etc.; A = bond, aryl, heteroarom. ring, alkyl, etc.; L = alkylene, O, S, sulfinyl, sulfonyl, amino, etc.; R1 = H, alkyl, cycloalkyl, substituted bicycloalkyl, etc.; R2 = H, halo, alkyl, cycloalkyl, heterocycloalkyl, amino, etc.; R3 = H, alkyl, cycloalkyl, heteroalkyl, etc.) and their pharmaceutically acceptable salts, useful for treatment of hyperproliferative disorders, are prepared Thus, reaction of 2,6-difluorophenyl isocyanate with (4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-(3-aminophenyl)-methanone in pyridine at 90° for 3 h gave 28% 1-[3-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidine-5-carbonyl)phenyl]-3-(2,6-difluorophenyl)-urea.</p>				
IT	<p>717895-57-3P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrrolopyrimidines as antiproliferative agents)</p>				
RN	717895-57-3 CAPLUS				
CN	<p>Benzo[b]thiophene-2-sulfonamide, N-[3-[(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)carbonyl]phenyl]-5-chloro-3-methyl- (CA INDEX NAME)</p>				



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 79 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:522146 CAPLUS
 DN 141:150846
 TI 5-HT6 receptor antagonists reverse delay-dependent deficits in novel object discrimination by enhancing consolidation—an effect sensitive to NMDA receptor antagonism
 AU King, M. V.; Sleight, A. J.; Woolley, M. L.; Topham, I. A.; Marsden, C. A.; Fone, K. C. F.
 CS Institute of Neuroscience, School of Biomedical Sciences, Queen's Medical Center, University of Nottingham, Nottingham, NG7 2UH, UK
 SO Neuropharmacology (2004), 47(2), 195-204
 CODEN: NEPHBW; ISSN: 0028-3908
 PB Elsevier Science B.V.
 DT Journal
 LA English
 AB 5-HT6 receptors are expressed in brain regions associated with learning and memory, and blockade of their function increases central cholinergic and glutamatergic neurotransmission and enhances cognitive processes. This study examined the effects of acute systemic administration of two selective 5-HT6 receptor antagonists Ro 04-6790 and SB-271046 (10 mg kg⁻¹ i.p.) on acquisition, consolidation, and retrieval in the novel object discrimination (NOD) task, a two-trial test of recognition memory in which rats exposed to two identical objects during a familiarization trial can discriminate a novel from a familiar object during the subsequent choice trial, following inter-trial delays of up to 3 h. 5-HT6 receptor antagonist administration 20 min prior to or immediately after the familiarization trial, but not 20 min prior to the choice trial reversed the deficit in object discrimination produced by a 4 h inter-trial interval. The nootropic effects of the 5-HT6 receptor antagonists in this task thus appear to involve enhanced consolidation. Pre-treatment with the non-competitive NMDA receptor antagonist MK-801 (0.05 mg kg⁻¹ i.p.) prevented the effect of Ro 04-6790 on delay-induced deficits in object discrimination. This suggests that the 5-HT6 receptor antagonist-induced enhancement of consolidation involves increased central glutamatergic neurotransmission.
 IT 209481-20-9, SB-271046
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (5-HT6 receptor antagonists reverse delay-dependent deficits in novel object discrimination)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 80 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:368273 CAPLUS

DN 140:399734

TI An antiarrhythmic effect of a chymase inhibitor after myocardial infarction

AU Jin, Denan; Takai, Shinji; Sakaguchi, Masato; Okamoto, Yukiko; Muramatsu, Michiko; Mivazaki, Mizuo

CS Department of Pharmacology, Osaka Medical College, Osaka, Japan

50 Journal of Pharmacology and Experimental Therapeutics (2004), 309(2),
490-497

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

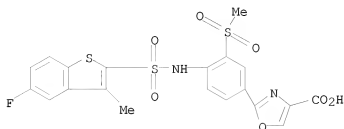
LA English

AB Chymase plays a important role in the regulation of local angiotensin (Ang) II formation in the cardiac tissue. We recently found that cardiac chymase was activated significantly and survival rate markedly improved by treatment with chymase inhibitors after myocardial infarction (MI) in hamsters. However, the mechanisms for this effect have not been established. Because lethal arrhythmias are generally believed to contribute to sudden cardiac death, we assessed whether inhibition of cardiac chymase would provide an antiarrhythmic effect during the 8-h ischemic period after 2-[4-(5-fluoro-3-methylbenzo-[b]thiophen-2-yl)sulfonamide-3-methanesulfonylphenyl]oxazole-4-carboxylic acid (TY51184) (a specific chymase inhibitor, 1 mg/kg i.v.) treatment by ligation of left anterior descending coronary artery (LAD) in dogs. Effects of candesartan (an Ang II type 1 receptor antagonist, 1 mg/kg i.v.) in this model were also assessed. Total Ang II-forming activity and chymase activity in the infarcted heart were increased significantly 8 h after LAD ligation. A time-dependent elevation of Ang II in plasma was also observed. A decrease in plasma Ang II levels after TY51184 treatment occurred concomitantly with suppression of cardiac chymase activity. LAD ligation resulted in a large number of ventricular arrhythmias (VAs). TY51184 and candesartan treatments largely suppressed the appearance of VAs, and the efficacy of the two agents was similar. These findings demonstrate that chymase inhibition can provide an antiarrhythmic effect after MI, and the reduction of Ang II by TY51184 may be mainly responsible for this beneficial effect. An antiarrhythmic effect of chymase inhibitors may contribute to redns. in the mortality rate during the acute phase after MI.

IT 404963-97-9. TY51184

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(antiarrhythmic effect of a chymase inhibitor after myocardial
infarction)

RN 404963-97-9 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 81 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:356581 CAPLUS
 DN 140:385761

TI A single treatment with a specific chymase inhibitor, TY-51184, prevents vascular proliferation in canine grafted veins

AU Takai, Shinji; Jin, Denan; Sakaguchi, Masato; Miyazaki, Mizuo

CS Department of Pharmacology, Osaka Medical College, Takatsuki City, 569-8686, Japan

SO Journal of Pharmacological Sciences (Tokyo, Japan) (2004), 94(4), 443-448
 CODEN: JPSTGJ; ISSN: 1347-8613

PB Japanese Pharmacological Society

DT Journal

LA English

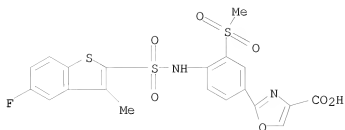
AB In this study, we evaluated whether a specific chymase inhibitor, TY-51184 (2-[4-(5-fluoro-3-methylbenzo[b]thiophen-2-yl)sulfonamido-3-methanesulfonylphenyl]oxazole-4-carboxylic acid), prevents the vascular proliferation in canine grafted veins. In the placebo- and chymase inhibitor-treated groups, the external jugular vein was infiltrated with saline and 10 μ M TY-51184, resp., and then it was grafted to the ipsilateral carotid artery. The non-surgical dogs were used as the control group. By 28 days after grafting, the chymase and ACE activities were significantly increased in the injured arteries. TY-51184 significantly reduced the chymase activity in the grafted veins, while it did not affect the ACE activity. The intimal areas in the placebo- and TY-51184-treated groups were 3.32 ± 0.16 and 1.96 ± 0.52 mm², resp., and this difference was significant. The ratios of intimal area to medial area in the placebo- and TY-51184-treated groups were $66.8 \pm 3.5\%$ and $34.9 \pm 9.2\%$, resp., and this difference was also significant. There was a significant relation between vascular proliferation and chymase activity, but not ACE activity. In this study, we demonstrated that a single treatment with a specific chymase inhibitor, TY-51184, could prevent the vascular proliferation in canine grafted veins.

IT 404963-97-9, TY-51184
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(a single treatment with a specific chymase inhibitor, TY-51184, prevents vascular proliferation in canine grafted veins)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 82 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:353142 CAPLUS
DN 140:357200
TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate
inhibitors of β -lactamase
IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii
PA Methylgene, Inc., Can.
SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. Pat. Appl. 2004
29,836.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040082546	A1	20040429	US 2003-411484	20030408
	US 6921756	B2	20050726		
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				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
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	US 6472406	B1	20021029	US 2000-610456	20000705
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	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		
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	US 20040029836	A1	20040212	US 2002-302124	20021122
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TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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			US 2002-302124	A	20021122
			US 2003-411484	A	20030408
			WO 2003-US36929	W	20031119
US	20060105999	A1	20060518		
			US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119

PATENT FAMILY INFORMATION:

FAN 2001:31512

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
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AT	311397	T	20051215	AT 2000-943381	20000705
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MX	2002PA00246	A	20030820	MX 2002-PA246	20020107
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FAN 2004:120574

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US	6472406	B1	20021029	US 2000-610456	20000705
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US 7030103	B2	20060418	US 1999-142362P	P	19990706
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			US 2003-411484	A	20030408
			WO 2003-US36929	W	20031119
			US 2004-884435		20040702
US 20050043276	A1	20050224	US 1999-142362P	P	19990706
US 7259172	B2	20070821	US 2000-610456	A2	20000705
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US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
US 20070293675	A1	20071220	US 2007-830305		20070730
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FAN 2006:464674					
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PI US 20060105999	A1	20060518	US 2005-535391		20050518
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			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
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US 6884791	B2	20050426			
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			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
US 20040082546	A1	20040429	US 2003-411484		20030408
US 6921756	B2	20050726			
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			US 2000-610456	A2	20000705

US 2002-266213 A2 20021008
 US 2002-302124 A2 20021122
 WO 2003-US36929 20031119

WO 2004048393 A2 20040610
 WO 2004048393 A3 20040819

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-302124 A1 20021122
 US 2003-411484 A1 20030408

OS MARPAT 140:357200

AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C(=NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic β -lactamase inhibitors presently available and which do not require a β -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622 μ M against β -lactamase, was given.

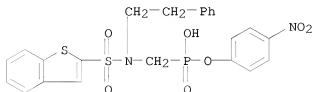
IT 318460-62-7P 318460-64-9P 318463-03-5P
 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate β -lactamase inhibitors and their antibacterial use)

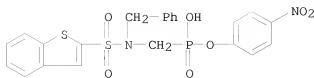
RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



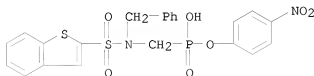
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RN 318463-03-5 CAPLUS

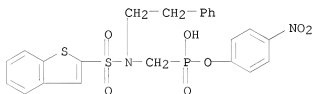
CN Phosphonic acid, [(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

RN 318463-04-6 CAPLUS

CN Phosphonic acid, [(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 83 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:333690 CAPLUS

DN 140:357061

TI Preparation of sulfonamides having antiangiogenic and anticancer activity

IN Comess, Kenneth M.; Erickson, Scott A.; Henkin, Jack; Kalvin, Douglas M.; Kawai, Megumi; Kim, Ki H.; Bamaung, Nwe Y.; Park, Chan Hoon; Sheppard, George S.; Vasudevan, Anil; Wang, Jieyi; Barnes, David M.; Fidanze, Steve D.; Kolaczowski, Lawrence; Mantei, Robert A.; Park, David C.; Sanders, William J.; Tedrow, Jason S.; Wang, Gary T.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 309 pp.

CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004033419	A1	20040422	WO 2003-US31671	20031006
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				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
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PATENT FAMILY INFORMATION:

FAN 2004:293400

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				US 2002-267081	A 20021008
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				US 2003-667358	A 20030923

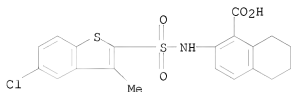
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				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
FAN	2004:652631				
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				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
WO	2004033419	A1	20040422	WO 2003-US31671	20031006
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
AU	2003279857	A1	20040504	AU 2003-279857	20031006
				US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
EP	1549613	A1	20050706	EP 2003-773182	20031006
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			US 2002-267081	A 20021008
				US 2003-667358	A 20030923
				WO 2003-US31671	W 20031006
OS	MARPAT 140:357061				
AB	The title compds. [I; A = 5-6 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S (wherein the ring is optionally fused to a second 5-7 membered (non)aromatic ring containing 0-3 atoms selected from N, O, and S); R1-R3 = H, alkenyl, alkoxy, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = alkyl, NH2, aminoalkyl, aryl, etc.; R6 = H, alkyl, aryl, etc.; provided that when A = Ph, at least one of R1-R4 is other than H, alkyl, halo] having methionine aminopeptidase-2 inhibitory (MetAP2) activity, were prepared E.g., a multi-step synthesis of 5-ethyl-2-[(phenylsulfonyl)amino]benzoic acid, starting from 4-ethylaniline, was given. Representative compds. I had IC50's between about 0.005 µM and >100 µM against MetAP2. Also described are pharmaceutical compds. comprising the compds. I, methods of treatment using the compds. I, methods of inhibiting angiogenesis, and methods of treating cancer.				
IT	681242-90-0P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides having antiangiogenic and anticancer activity)

RN 681242-90-0 CAPLUS

CN 1-Naphthalenecarboxylic acid, 2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 84 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:271163 CAPLUS

DN 141:17253

TI Usefulness of chymase inhibitor for arrhythmia occurring rates in dogs with post myocardial infarction

AU Kin, Norio; Takai, Masashi; Okamoto, Yukiko; Muramatsu, Michiko; Miyazaki, Mizuo

CS Dep. of Pharmacology, Osaka Medical University, Japan

SO Ketsuatsu (2004), 11(3), 279-284

CODEN: KETSAH; ISSN: 1340-4598

PB Sentan Igakusha

DT Journal

LA Japanese

AB The effect of chymase inhibitor TY51184 for arrhythmia occurring rates in dogs with post myocardial infarction was studied. The concentration of angiotensin II in serum and heart tissue was measured after the ligation of dog coronary artery, and the inhibitory effect of TY51184 on chymase was investigated. The activation of chymase and angiotensin II after myocardial infarction was related with arrhythmia, and the inhibition of chymase related with antiarrhythmics was discussed. The results also indicated that arrhythmia occurring rates in dogs with post myocardial infarction was inhibited with AT1 receptor inhibitor candesartan, and the mechanism of antiarrhythmics related with AT1 receptor was confirmed.

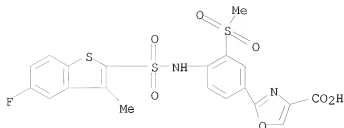
IT 404963-97-9, TY51184

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

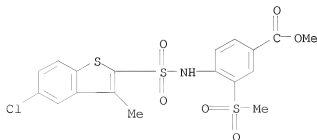
(usefulness of chymase inhibitor for arrhythmia occurring rates in dogs with post myocardial infarction)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

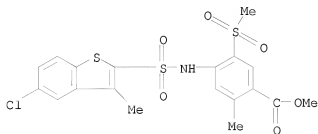


L6 ANSWER 85 OF 152 CAPLUS COPYRIGHT 2008 ACS on SIN
 AN 2004:214137 CAPLUS
 DN 141:331992
 TI Structure-activity relationship of benzo[b]thiophene-2-sulfonamide derivatives as novel human chymase inhibitors. [Erratum to document cited in CA140:076968]
 AU 6505255Masaki, Hidekazu; Mizuno, Yusuke; Tatui, Akira; Murakami, Akira; Koide, Yuuki; Satoh, Shoji; Takahashi, Atsuo
 CS Drug Research Department, Tokyo Research Laboratories, TOA EIYO Ltd., Omiya-ku, Saitama-shi, Saitama, 330-0834, Japan
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(7), 1817
 CODEN: BMCL88; ISSN: 0960-894X
 PB Elsevier Science B.V.
 DT Journal
 LA English
 AB The general structure in Table 1 was not shown in the article; the full table is given.
 IT 404963-75-3 404963-79-7 404963-80-0
 404963-81-1 404963-82-2 404963-91-3
 404963-92-4 404963-93-5 404964-01-8
 404964-02-9 404964-12-1 404964-36-9
 603987-65-1 603987-66-2 640287-51-0
 640287-52-1 640287-53-2 640287-54-3
 640287-55-4 640287-56-5 640287-57-6
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (preparation, docking model, and structure-activity relationship of benzothienophene sulfonamide derivs. as novel human chymase inhibitors (Erratum))
 RN 404963-75-3 CAPLUS
 CN Benzoic acid, 4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



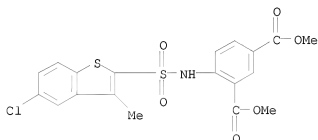
RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



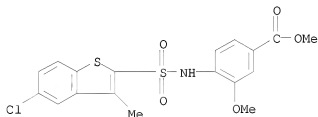
RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



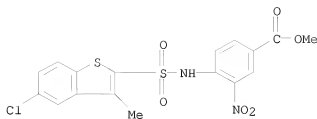
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



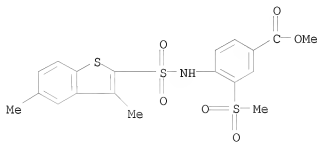
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)



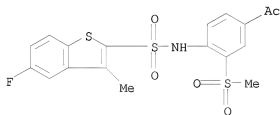
RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[3,5-dimethylbenzo(b)thien-2-yl]sulfonylamino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



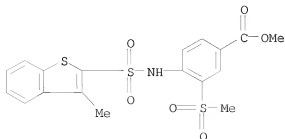
RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)

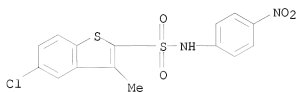


RN 404963-93-5 CAPLUS

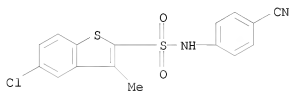
CN Benzoic acid, 4-[[3-methylbenzo(b)thien-2-yl]sulfonylamino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



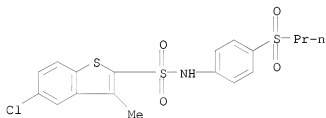
RN 404964-01-8 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



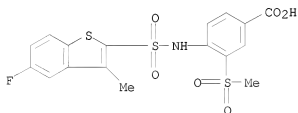
RN 404964-02-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



RN 404964-12-1 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)

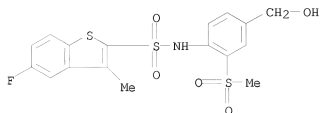


RN 404964-36-9 CAPLUS
 CN Benzoic acid, 4-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



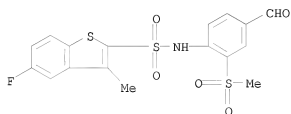
RN 603987-65-1 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-

(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



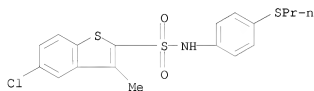
RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



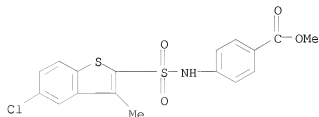
RN 640287-51-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylthio)phenyl]- (CA INDEX NAME)



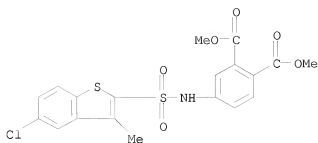
RN 640287-52-1 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



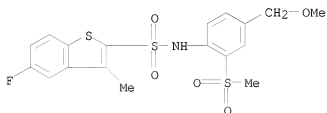
RN 640287-53-2 CAPLUS

CN 1,2-Benzenedicarboxylic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,2-dimethyl ester (CA INDEX NAME)



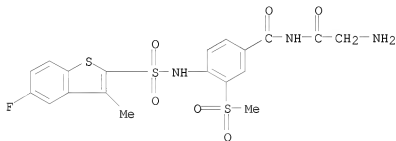
RN 640287-54-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(methoxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 640287-55-4 CAPLUS

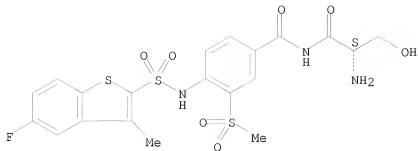
CN Benzo[b]thiophene-2-sulfonamide, N-[(2-aminoacetyl)-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



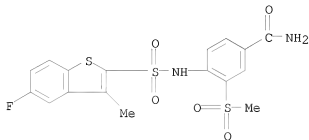
RN 640287-56-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(2S)-2-amino-3-hydroxy-1-oxopropyl]-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

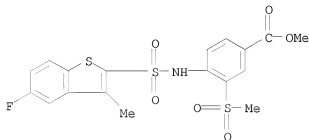
Absolute stereochemistry.



RN 640287-57-6 CAPLUS
 CN Benzoamide, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)]- (CA INDEX NAME)



IT 404963-90-2P
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation, docking model, and structure-activity relationship of benzothienophene sulfonamide derivs. as novel human chymase inhibitors (Erratum))
 RN 404963-90-2 CAPLUS
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)]-, methyl ester (CA INDEX NAME)



L6 ANSWER 86 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:201685 CAPLUS
 DN 140:314943
 TI Effect of the acute and chronic administration of the selective 5-HT6

receptor antagonist SB-271046 on the activity of midbrain dopamine neurons in rats: an in vivo electrophysiological study

AU Minabe, Yoshio; Shirayama, Yukihiko; Hashimoto, Kenji; Routledge, Carol; Hagan, Jim J.; Ashby, Charles R., Jr.

CS Department of Psychiatry and Neurology, Hamamatsu University School of Medicine, Shizuoka, 431-3192, Japan

SO Synapse (New York, NY, United States) (2004), 52(1), 20-28
CODEN: SYNAET; ISSN: 0887-4476

PB Wiley-Liss, Inc.

DT Journal

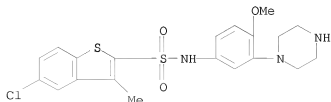
LA English

AB This study examined the effect of the acute and repeated per os (p.o.) administration of the selective 5-HT₆ receptor antagonist SB-271046, on the number, as well as the firing pattern of spontaneously active dopamine (DA) neurons in the rat substantia nigra pars compacta (SNc) and ventral tegmental area (VTA) in anesthetized male Sprague-Dawley rats. This was accomplished using the technique of extracellular in vivo electrophysiol. A single p.o. administration of either 1, 3, or 10 mg/kg of SB-271046 did not significantly alter the number of spontaneously active SNc DA neurons per stereotaxic electrode tract compared to vehicle-treated animals. The acute administration of either 1 or 3 mg/kg of SB-271046 did not significantly alter the number of spontaneously active VTA DA neurons. In contrast, a significant decrease in the number of spontaneously active VTA DA neurons was observed after a single administration of 10 mg/kg of SB-271046 compared to vehicle-treated animals. The acute p.o. administration of SB-271046 significantly altered the firing pattern parameters of all (bursting + nonbursting DA neurons) DA neurons, particularly those in the VTA, compared to vehicle-treated animals. The repeated p.o. administration (once per day for 21 days) of 1, 3, or 10 mg/kg of SB-271046 did not significantly alter the number of spontaneously active VTA DA neurons compared to vehicle-treated animals. The repeated administration of 3 or 10 mg/kg of SB-271046 significantly increased the number of spontaneously active SNc DA neurons compared to vehicle controls. Overall, the repeated administration of SB-271046 had relatively little effect on the firing pattern of midbrain DA neurons. The results obtained following the chronic administration of SB-271046 show that this compound has a profile different from that of typical or atypical antipsychotic drugs in this model. Clin. studies are required to understand what role 5-HT₆ receptor blockade might eventually play in the treatment of schizophrenia.

IT 209481-20-9, SB-271046
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT₆ receptor antagonist SB-271046 effect on midbrain dopamine neurons: possible schizophrenia therapy)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 87 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:120574 CAPLUS
DN 140:181318
TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate
inhibitors of β -lactamase
IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii
PA Methylgene, Inc., Can.
SO U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 266,213.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
	US 6472406	B1	20021029	US 2000-610456	20000705
				US 1999-142362P	P 19990706
	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		
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				US 2002-266213	A2 20021008
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	WO 2004048393	A2	20040610	WO 2003-US36929	20031119
	WO 2004048393	A3	20040819		
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				US 2002-302124	A1 20021122
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	AU 2003295638	A1	20040618	AU 2003-295638	20031119
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				US 2003-411484	A 20030408
				WO 2003-US36929	W 20031119
	US 20050043276	A1	20050224	US 2004-884435	20040702
	US 7259172	B2	20070821		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
	US 20060105999	A1	20060518	US 2005-535391	20050518

US 20070293675 A1 20071220

US 2002-302124 A2 20021122
 US 2003-411484 A2 20030408
 WO 2003-US36929 W 20031119
 US 2007-830305 20070730
 US 1999-142362P P 19990706
 US 2000-610456 A1 20000705
 US 2002-266213 A2 20021008
 US 2002-302124 A3 20021122
 US 2004-884435 A3 20040702

PATENT FAMILY INFORMATION:

FAN 2001:31512

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
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	CA 2377762	A1	20010111	CA 2000-2377762	20000705
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				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
				EP 2000-943381	20000705
	EP 1194436	A1	20020410		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
	JP 2003503505	T	20030128	JP 2001-507847	20000705
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705
	AU 770599	B2	20040226	AU 2000-57858	20000705
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				WO 2000-US18344	W 20000705
	AT 311397	T	20051215	AT 2000-943381	20000705
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				WO 2000-US18344	W 20000705
	ES 2250150	T3	20060416	ES 2000-943381	20000705
				US 1999-142362P	P 19990706
	MX 2002PA00246	A	20030820	MX 2002-PA246	20020107
				US 1999-142362P	P 19990706
				WO 2000-US18344	W 20000705

FAN 2004:353142

PATENT NO.

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	US 20040082546	A1	20040429	US 2003-411484	20030408
	US 6921756	B2	20050726		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A2 20021122
	US 6472406	B1	20021029	US 2000-610456	20000705
				US 1999-142362P	P 19990706
	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		

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				US 2002-302124		20021122
US 20040029836	A1	20040212				
US 6884791	B2	20050426				
				US 1999-142362P	P	19990706
				US 2000-610456	A2	20000705
				US 2002-266213	A2	20021008
WO 2004048393	A2	20040610		WO 2003-US36929		20031119
WO 2004048393	A3	20040819				
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
				US 2002-302124	A1	20021122
				US 2003-411484	A1	20030408
AU 2003295638	A1	20040618		AU 2003-295638		20031119
				US 2002-302124	A	20021122
				US 2003-411484	A	20030408
				WO 2003-US36929	W	20031119
US 20060105999	A1	20060518		US 2005-535391		20050518
				US 2002-302124	A2	20021122
				US 2003-411484	A2	20030408
				WO 2003-US36929	W	20031119
FAN 2006:464674						
PATENT NO.	KIND	DATE		APPLICATION NO.		DATE
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PI US 20060105999	A1	20060518		US 2005-535391		20050518
				US 2002-302124	A2	20021122
				US 2003-411484	A2	20030408
				WO 2003-US36929	W	20031119
US 20040029836	A1	20040212		US 2002-302124		20021122
US 6884791	B2	20050426				
				US 1999-142362P	P	19990706
				US 2000-610456	A2	20000705
				US 2002-266213	A2	20021008
US 20040082546	A1	20040429		US 2003-411484		20030408
US 6921756	B2	20050726				
				US 1999-142362P	P	19990706
				US 2000-610456	A2	20000705
				US 2002-266213	A2	20021008
				US 2002-302124	A2	20021122
WO 2004048393	A2	20040610		WO 2003-US36929		20031119
WO 2004048393	A3	20040819				
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					

OS MARPAT 140:181318

AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2 when Z = S; n = 1 when Z = C; n = 0 when Z = CH2; L = alkyl, alkoxy, CO, C(NOMe); R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic β -lactamase inhibitors presently available and which do not require a β -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622 μ M against β -lactamase, was given.

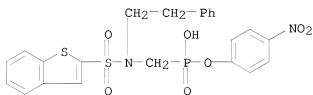
IT 318460-62-7P 318460-64-9P 318463-03-5P
318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate β -lactamase inhibitors and their antibacterial use)

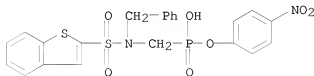
RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



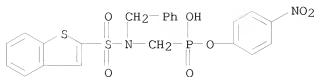
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



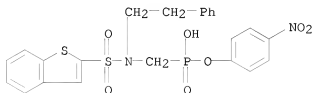
RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

RN 318463-04-6 CAPLUS
 CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI)
 (CA INDEX NAME)



● NH₃

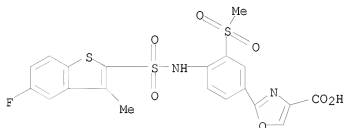
RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 88 OF 152 CAPLUS COPYRIGHT 2008 ACS on SIN
 AN 2004:78778 CAPLUS
 DN 140:332085
 TI Significance of chymase inhibition for prevention of adhesion formation
 AU Okamoto, Yukiko; Takai, Shinji; Miyazaki, Mizuo
 CS Department of Pharmacology, Osaka Medical College, Department of
 Pharmaceutical Sciences, Osaka, Takatsuki City, 589-8686, Japan
 SO European Journal of Pharmacology (2004), 484(2-3), 357-359
 CODEN: EJPHAZ; ISSN: 0014-2999
 PB Elsevier Science B.V.
 DT Journal
 LA English
 AB To clarify the role of chymase in adhesion formation, we investigated
 whether a chymase inhibitor could prevent adhesion formation after surgery
 in hamsters. Hamsters received a lesion produced by uterus scraping. A
 specific chymase inhibitor, 2-[4-(5-fluoro-3-methylbenzo[b]thiophen-2-
 yl)sulfonamido-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid
 (TY-51184), or placebo was injected into the abdomen before closing and
 scores for adhesion formation were assessed at 1, 4, and 12 wk. A single
 peritoneal administration of TY-51184 significantly decreased the adhesion
 scores even at 12 wk (placebo, 2.80±0.20; chymase inhibitor,
 1.60±0.31). Thus, chymase inhibitors may be a novel strategy to
 prevent adhesion formation.
 IT 404963-97-9, TY 51184

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(chymase inhibition with TY-51184 for prevention of peritoneal adhesion
formation)

RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 89 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:41460 CAPLUS

DN 140:111269

TI Preparation of bisarylsulfonamide compounds and their use in cancer
therapy

IN Wang, Shudong; Gibson, Darren; Duncan, Kenneth; Bailey, Kevin; Thomas,
Mark; MacCallum, David; Zheleva, Daniella; Turner, Nicholas John; Fischer,
Peter Martin

PA Cyclacel Limited, UK

SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004005278	A1	20040115	WO 2003-GB2923	20030707
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GB 2002-15650, CA 2003-2488816, GB 2002-15650, WO 2003-GB2923, AU 2003-244847, GB 2002-15650, WO 2003-GB2923, EP 2003-738323				
	CA 2488816	A1	20040115	CA 2003-2488816	20030707
	AU 2003244847	A1	20040123	AU 2003-244847	20030707
	EP 1519932	A1	20050406	EP 2003-738323	20030707
	EP 1519932	B1	20071003		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

			GB 2002-15650	A	20020705
			WO 2003-GB2923	W	20030707
CN 1665802	A	20050907	CN 2003-815948		20030707
			GB 2002-15650	A	20020705
JP 2006508906	T	20060316	JP 2004-518989		20030707
			GB 2002-15650	A	20020705
			WO 2003-GB2923	W	20030707
NZ 536494	A	20061027	NZ 2003-536494		20030707
			GB 2002-15650	A	20020705
			WO 2003-GB2923	W	20030707
AT 374763	T	20071015	AT 2003-738323		20030707
			GB 2002-15650	A	20020705
US 20050215548	A1	20050929	US 2004-988388		20041112
			GB 2002-15650	A	20020705
			WO 2003-GB2923	A1	20030707

OS MARPAT 140:111269

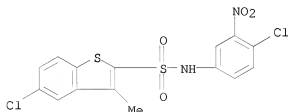
AB The title compds. Ar1SO2N(R1)WnAr2 [I; W = alkylene, alkenylene; n = 0-1; R1 = H, alkyl, alkenyl, aryl, aralkyl; Ar1 = substituted thienyl, Ph, benzothienyl, benzothiadiazolyl, etc.; Ar2 = substituted Ph, indolyl, benzimidazolyl], useful for modulating HDM2-dependent regulation of the tumor suppressor p53 and/or E2F transcription factors in living cells, were prepared. General methods for the preparation of the compds. I were given. The compds. I were tested in HDM2 binding assay as well as for anti-proliferative effect on cell line (data given for 131 compds.). The biol. effect of I on cellular level was studied using representative compds. I [mainly 5-chloro-4-nitrothiophene-2-sulfonic acid (4-chlorophenyl)amide] and number of cell lines with different HDM2 and p53 status. Further aspects of the invention relate to pharmaceutical compns. comprising I, and an assay for determining binding to HDM2.

IT 646040-35-9P 646040-62-2P 646040-63-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)arylsulfonamides as antitumor agents)

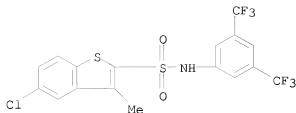
RN 646040-35-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-chloro-3-nitrophenyl)-3-methyl- (CA INDEX NAME)

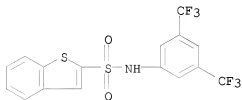


RN 646040-62-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3,5-bis(trifluoromethyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



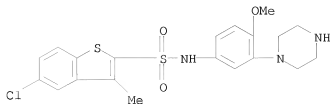
RN 646040-63-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[3,5-bis(trifluoromethyl)phenyl]- (CA
 INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 90 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:10194 CAPLUS
 DN 140:229219
 TI 5-HT6 receptor antagonist SB-271046 enhances extracellular levels of
 monoamines in the rat medial prefrontal cortex
 AU Lacroix, Laurent P.; Dawson, Lee A.; Hagan, Jim J.; Heidbreder, Christian
 A.
 CS Centre of Excellence for Drug Discovery in Psychiatry, Department of
 Biology, GlaxoSmithKline Pharmaceuticals, Verona, 37135, Italy
 SO Synapse (New York, NY, United States) (2003), Volume Date 2004, 51(2),
 158-164
 CODEN: SYNAET; ISSN: 0887-4476
 PB Wiley-Liss, Inc.
 DT Journal
 LA English
 AB The present study investigated the neurochem. effects of the selective
 5-HT6 receptor antagonist SB-271046 in the rat medial prefrontal cortex
 (mPFC). The effect of SB-271046 on extracellular levels of dopamine (DA),
 norepinephrine (NE), and serotonin (5-HT) in the mPFC was examined using in
 vivo microdialysis in the freely moving rat. SB-271046 (10 mg/kg, p.o.)
 produced a significant increase in extracellular levels of both DA and NE
 without altering 5-HT neurotransmission. These results further support
 the rationale for the use of 5-HT6 receptor antagonists in the treatment
 of cognitive dysfunction associated with psychiatric diseases.
 IT 209481-20-9, SB-271046
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (5-HT6 receptor antagonist SB-271046 enhances extracellular levels of
 monoamines in rat medial prefrontal cortex)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-

piperazinyl]phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 91 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:1009205 CAPLUS

DN 141:99494

TI The 5-HT₆ Receptor Antagonist SB-271046 Reverses Scopolamine-Disrupted Consolidation of a Passive Avoidance Task and Ameliorates Spatial Task Deficits in Aged Rats

AU Foley, Andrew G.; Murphy, Keith J.; Hirst, Warren D.; Gallagher, Helen C.; Hagan, Jim J.; Upton, Neil; Walsh, Frank S.; Regan, Ciaran M.

CS Conway Institute, Department of Pharmacology, University College Dublin, Belfield, Ire.

SO Neuropsychopharmacology (2004), 29(1), 93-100

CODEN: NEROEW; ISSN: 0893-133X

PB Nature Publishing Group

DT Journal

LA English

AB The highly potent and selective 5-HT₆ receptor antagonist SB-271046 [5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothienothiopyran-6-sulfonamide] has previously been demonstrated to improve retention significantly in a spatial water maze paradigm in adult rats. However, SB-271046 did not have any effect on task acquisition. As these apparently contradictory findings may be reconciled by a prime influence of SB-271046 on memory consolidation, the ability of this compound to reverse the discrete temporal action of a cholinergic antagonist in the 6-h period following passive avoidance training was investigated. SB-271046, given orally, by gavage, 30 min prior to training Wistar rats in a step-through, light-dark passive avoidance task, was found to reverse significantly the amnesia produced by administering scopolamine (0.8 mg/kg, i.p.) in the 6-h post-training period. The effect was dose-dependent over a range of 3-20 mg/kg. Further, we investigated the cognition-enhancing effects of chronic SB-271046 administration (10 or 20 mg/kg/day; 40 days) on the acquisition and consolidation of a water maze spatial learning task in a population of 20-mo-old Wistar rats with age-related learning deficits. Drug treatment progressively and significantly decreased platform swim angle and escape latencies over the five sequential trials on four consecutive daily sessions compared to vehicle-treated controls. SB-271046 also improved task recall as measured by significant increases in the searching of the target quadrant on post-training days 1 and 3, when the animals would have been substantially drug-free. This significant improvement of task recall suggests SB-271046, in addition to inducing symptomatic cognition-enhancing actions, also attenuates age-related decline in neural function.

IT 209481-20-9, SB-271046

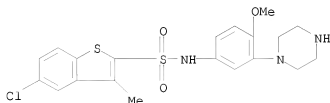
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(5-HT6 receptor antagonist SB-271046 reverses scopolamine-disrupted consolidation of a passive avoidance task and ameliorates spatial task deficits in aged rats)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 92 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:991173 CAPLUS

DN 140:27762

TI Preparation of 1-(indol-3-yl)alkylidenehydrazine carboximidamides as 5-hydroxytryptamine-6 ligands

IN Cole, Derek Cecil; Kelly, Michael Gerard; Bravo, Byron Abel; Palmer, Yvette Latko

PA Wyeth, John, and Brother Ltd., USA

SO U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20030232843	A1	20031218	US 2003-434965	20030509
	US 6951881	B2	20051004		
				US 2002-379487P	P 20020510

OS MARPAT 140:27762

AB The title compds. [I; X = N, CR3; Y = N, CR4; R1-R4 = H, halo, CN, etc.; R5-R7 = H, alkyl, cycloalkyl, etc.; R8 = H, alkyl, cycloalkyl; R9 = H, halo, CN, NO2, etc.; or R8 and R9 may be taken together with the atoms to which they are attached to form (un)substituted 5-7 membered ring containing 1-2 heteroatoms; R10 = H, alkyl, (hetero)aryl; with the provisos], useful for the therapeutic treatment of a disorder relating to or affected by the 5-HT6 receptor, were prepared Thus, reacting 3-acetyl-5-[(phenylsulfonyl)amino]-1H-indole (preparation given) with aminoguanidine bicarbonate in the presence of concentrate HCl in iso-PrOH afforded 75% II.HCl which showed Ki of 1.0 nM against 5-HT6 receptor binding. Pharmaceutical composition comprising the compound I is claimed.

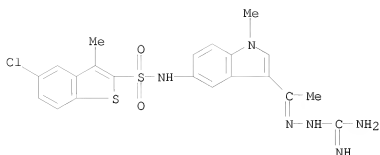
IT 634182-69-7P 634182-70-0P 634182-71-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-(indol-3-yl)alkylidenehydrazine carboximidamides as 5-hydroxytryptamine-6 ligands)

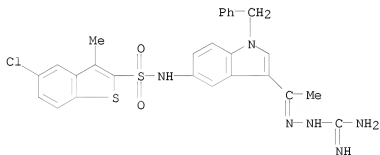
RN 634182-69-7 CAPLUS

CN Hydrazinecarboximidamide, 2-[1-[5-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1-methyl-1H-indol-3-yl]ethylidene]- (CA INDEX NAME)



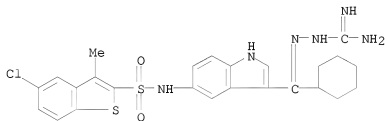
RN 634182-70-0 CAPLUS

CN Hydrazinecarboximidamide, 2-[[1-[[5-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1-(phenylmethyl)-1H-indol-3-yl]ethylidene]- (CA INDEX NAME)



RN 634182-71-1 CAPLUS

CN Hydrazinecarboximidamide, 2-[[1-[[5-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-1H-indol-3-yl]cyclohexylmethylene]- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

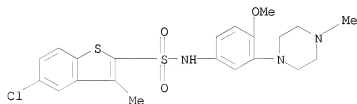
L6 ANSWER 93 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:967169 CAPLUS

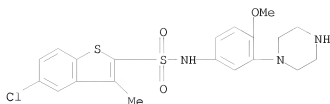
DN 140:139648

TI Differences in the central nervous system distribution and pharmacology of the mouse 5-hydroxytryptamine-6 receptor compared with rat and human receptors investigated by radioligand binding, site-directed mutagenesis, and molecular modeling

AU Hirst, Warren D.; Abrahamsen, Bjarke; Blaney, Frank E.; Calver, Andrew R.;
 CS Aloy, Lucia; Price, Gary W.; Medhurst, Andrew D.
 CS Neurology and G1 Centre of Excellence for Drug Discovery, GlaxoSmithKline,
 Essex, UK
 SO Molecular Pharmacology (2003), 64(6), 1295-1308
 CODEN: MOPMA3; ISSN: 0026-895X
 PB American Society for Pharmacology and Experimental Therapeutics
 DT Journal
 LA English
 AB There is increasing evidence for a role of 5-hydroxytryptamine-6 (5-HT6)
 receptors in cognitive function. In the rat and human brain, 5-HT6
 receptors are widely expressed and highly enriched in the basal ganglia.
 However, in the mouse brain, only very low levels of 5-HT6 receptor mRNA
 and receptor protein, measured by TaqMan reverse transcriptase-polymerase
 chain reaction and selective radioligand binding, could be detected, with
 no evidence of enrichment in the basal ganglia. The mouse receptor was
 cloned and transiently expressed in human embryonic kidney 293 cells to
 characterize its pharmacol. profile. Despite significant sequence homol.
 between human, rat, and mouse 5-HT6 receptors, the pharmacol. profile of
 the mouse receptor was significantly different from the rat and human
 receptors. Four amino acid residues, conserved in rat and human
 and divergent in mouse receptors, were identified, and various mutant
 receptors were generated and their pharmacologies studied. Residues 188
 (tyrosine in mouse, phenylalanine in rat and human) in transmembrane
 region 5 and 290 (serine in mouse, asparagine in rat and human) in
 transmembrane region 6 were identified as key amino acids responsible for
 the different pharmacol. profiles. Mol. modeling of the receptor and
 docking of selective and nonselective compds. was undertaken to elucidate
 the ligand receptor interactions. The binding pocket was predicted to be
 different in the mouse compared with rat and human 5-HT6 receptors, and
 the models were in excellent agreement with the observed mutation results and
 have been used extensively in the design of further selective 5-HT6
 antagonists.
 IT 209480-56-8, SB 258510 209481-20-9, SB-271046
 RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL
 (Biological study)
 (5-HT6 receptor ligand; different brain distribution, pharmacol. and
 structure of mouse, rat and human 5-HT6 receptor)
 RN 209480-56-8 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 94 OF 152 CAPLUS COPYRIGHT 2008 ACS on SIN

AN 2003:950984 CAPLUS

DN 140:5067

TI Preparation of N-heteroaryl- and N-arylbenzenesulfonamide and
-heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory
agents

IN Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

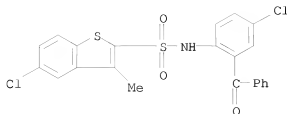
DT Patent

LA English

FAN.CNT 1

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PI	WO 2003099773	A1	20031204	WO 2003-US16090	20030521
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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				AU 2003-248549	20030521
				US 2002-383573P	P 20020524
	US 20040038976	A1	20040226	WO 2003-US16090	W 20030521
	US 7238717	B2	20070703	US 2003-443155	20030521
				US 2002-383573P	P 20020524
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				US 2002-383573P	P 20020524
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				JP 2004-507431	20030521
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	NZ 536504	A	20080430	NZ 2003-536504	20030521
				US 2002-383573P	P 20020524

				WO 2003-US16090	W	20030521
ZA	2004009131	A	20050712	ZA 2004-9131		20041111
				US 2002-383573P	P	20020524
MX	2004PA11465	A	20050214	MX 2004-PA11465		20041118
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				WO 2003-US16090	W	20030521
US	20060167251	A1	20060727	US 2006-391633		20060328
US	7282502	B2	20071016			
				US 2002-383573P	P	20020524
				US 2003-443155	A3	20030521
JP	2006265259	A	20061005	JP 2006-124437		20060427
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				JP 2004-507431	A3	20030521
US	20070066823	A1	20070322	US 2006-601025		20061117
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				US 2003-443155	A1	20030521
US	20080103180	A1	20080501	US 2007-974850		20071016
				US 2002-383573P	P	20020524
				US 2003-443155	A1	20030521
				US 2006-391633	A3	20060328
OS	MARPAT 140:5067					
AB	The title compds. [I; Y is C(O), O, S, S(O), or S(O)2; X1, X2, and X3 are each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring					
A	is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0 μ M.					
IT	628301-23-5P					
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)					
	(preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents)					
RN	628301-23-5	CAPLUS				
CN	Benzo[b]thiophene-2-sulfonamide, N-(2-benzoyl-4-chlorophenyl)-5-chloro-3-methyl- (CA INDEX NAME)					



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 95 OF 152 CAPLUS COPYRIGHT 2008 ACS on SIN
AN 2003:918694 CAPLUS
DN 140:777
TI Benzothiophen sulfonamide analogs as bioadhesion inhibitors
IN Miyazaki, Mitsuo; Takai, Shinji; Sato, Shoji
PA Toa Eiyu, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 4

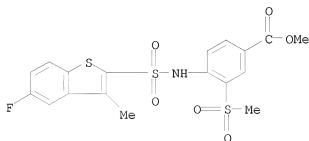
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003335670	A	20031125	JP 2003-70126 JP 2002-72306	20030314 A 20020315

PATENT FAMILY INFORMATION:

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FAN	2002:220571				
PI	WO 2002022595	A1	20020321	WO 2001-JP8061	20010917
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				JP 2000-282046	A 20000918
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				JP 2001-122972	A 20010420
				WO 2001-JP8061	W 20010917
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				JP 2001-122972	A 20010420
				WO 2001-JP8061	W 20010917
	EP 1325920	A1	20030709	EP 2001-967708	20010917
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				JP 2000-282046	A 20000918
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				WO 2001-JP8061	W 20010917

CN 1245400	C	20060315	CN 2001-815851	20010917
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
JP 3847711	B2	20061122	JP 2002-526848	20010917
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
US 20030229126	A1	20031211	WO 2001-JP8061	W 20010917
US 7071220	B2	20060704	US 2003-388378	20030313
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
			WO 2001-JP8061	A2 20010917
			JP 2002-72305	A 20020315
			JP 2002-72306	A 20020315
			JP 2002-72307	A 20020315
US 20060116408	A1	20060601	US 2006-329505	20060110
US 7399781	B2	20080715		
			JP 2000-282046	A 20000918
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			WO 2001-JP8061	A2 20010917
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			JP 2002-72307	A 20020315
			US 2003-388378	A3 20030313
FAN 2003:750639				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2003267870	A	20030925	JP 2002-72305	20020315
US 20030229126	A1	20031211	US 2003-388378	20030313
US 7071220	B2	20060704		
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
			WO 2001-JP8061	A2 20010917
			JP 2002-72305	A 20020315
			JP 2002-72306	A 20020315
			JP 2002-72307	A 20020315
US 20060116408	A1	20060601	US 2006-329505	20060110
US 7399781	B2	20080715		
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
			WO 2001-JP8061	A2 20010917
			JP 2002-72305	A 20020315
			JP 2002-72306	A 20020315
			JP 2002-72307	A 20020315
			US 2003-388378	A3 20030313
FAN 2003:757696				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003078419	A1	20030925	WO 2003-JP3023	20030313
W: CA, CN, JP				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2479353	A1	20030925	JP 2002-72307	A 20020315
			CA 2003-2479353	20030313
			JP 2002-72307	A 20020315
			WO 2003-JP3023	W 20030313
EP 1486494	A1	20041215	EP 2003-712691	20030313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				

OS MARPAT 140:777
AB Benzothiophen sulfonamide analogs (I; Markush's structures given) and their pharmaceutically acceptable salts are claimed as bioadhesion inhibitors. I were prepared, and their chymase- and bioadhesion-inhibiting activities were tested. Formulation examples of tablets, injections, suppositories, and eyedrops were given.
IT 404963-90-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(benzothiophen sulfonamide analogs as bioadhesion inhibitors)
RN 404963-90-2 CAPLUS
CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

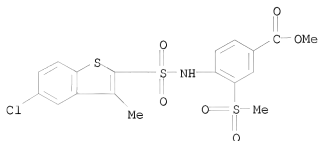


IT 404963-75-3P 404963-76-4P 404963-77-5P
404963-78-6P 404963-79-7P 404963-80-0P
404963-81-1P 404963-82-2P 404963-83-3P
404963-84-4P 404963-85-5P 404963-86-6P
404963-87-7P 404963-88-8P 404963-89-9P
404963-91-3P 404963-92-4P 404963-93-5P
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603987-63-9P 603987-65-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzothiophen sulfonamide analogs as bioadhesion inhibitors)

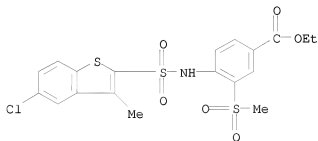
RN 404963-75-3 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



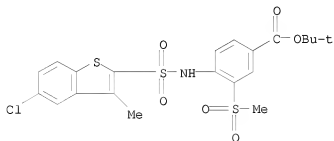
RN 404963-76-4 CAPLUS

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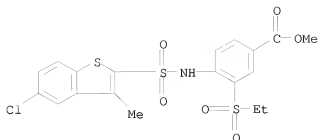
RN 404963-77-5 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



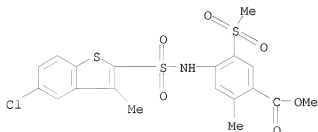
RN 404963-78-6 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(ethylsulfonyl)-, methyl ester (CA INDEX NAME)



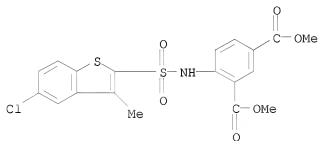
RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



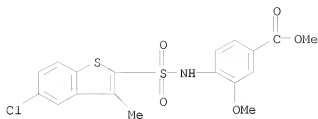
RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



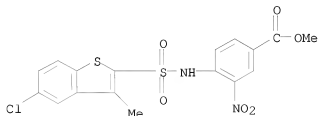
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



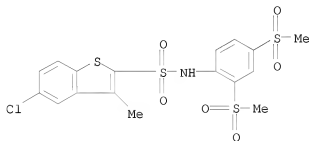
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl]sulfonylamino]-3-nitro-, methyl ester (CA INDEX NAME)



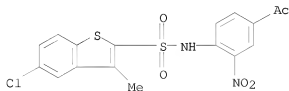
RN 404963-83-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



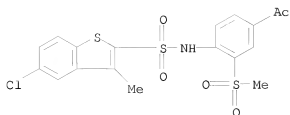
RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)



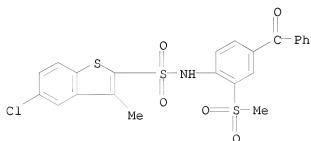
RN 404963-85-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



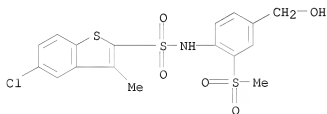
RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



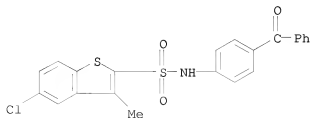
RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



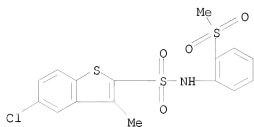
RN 404963-88-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



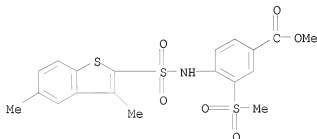
RN 404963-89-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



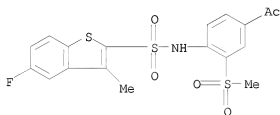
RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



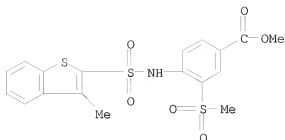
RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 404963-93-5 CAPLUS

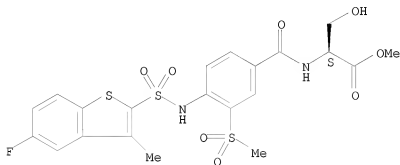
CN Benzoic acid, 4-[[[(3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-94-6 CAPLUS

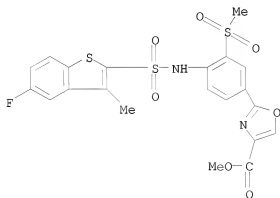
CN L-Serine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



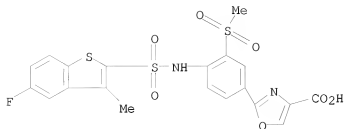
RN 404963-96-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

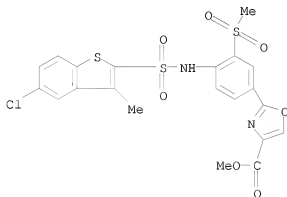


RN 404963-97-9 CAPLUS

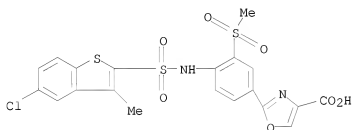
CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



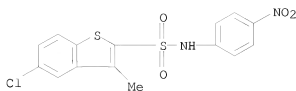
RN 404963-98-0 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



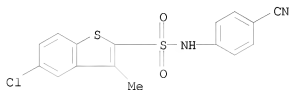
RN 404963-99-1 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



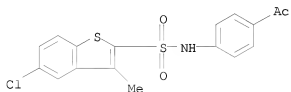
RN 404964-01-8 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



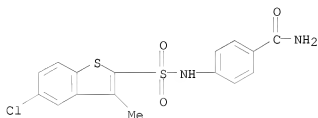
RN 404964-02-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



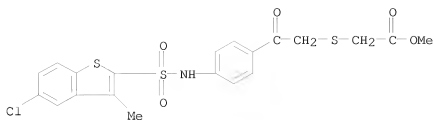
RN 404964-03-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



RN 404964-04-1 CAPLUS
 CN Benzamide, 4-[[[5-chloro-3-methylbenzo[b]thien-2-yl]sulfonyl]amino]- (CA INDEX NAME)

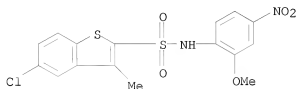


RN 404964-05-2 CAPLUS
 CN Acetic acid, 2-[[[2-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl]sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)



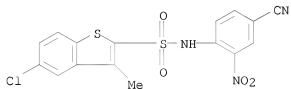
RN 404964-06-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-methyl- (CA INDEX NAME)



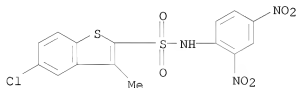
RN 404964-07-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-methyl- (CA INDEX NAME)



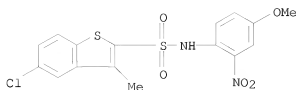
RN 404964-08-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl- (CA INDEX NAME)



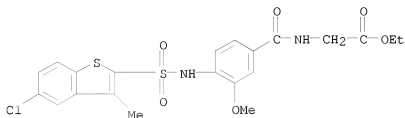
RN 404964-09-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl- (CA INDEX NAME)



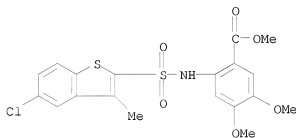
RN 404964-10-9 CAPLUS

CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester (CA INDEX NAME)



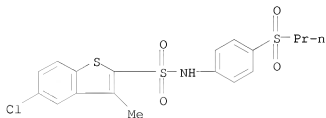
RN 404964-11-0 CAPLUS

CN Benzoic acid, 2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-, methyl ester (CA INDEX NAME)



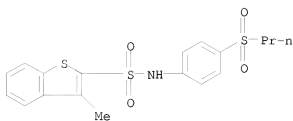
RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



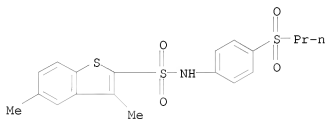
RN 404964-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



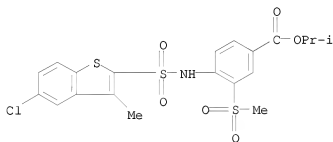
RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]-
(CA INDEX NAME)



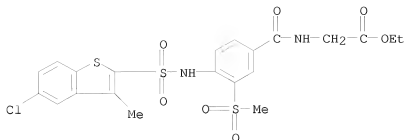
RN 404964-15-4 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)



RN 404964-16-5 CAPLUS

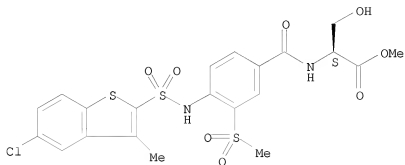
CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)



RN 404964-17-6 CAPLUS

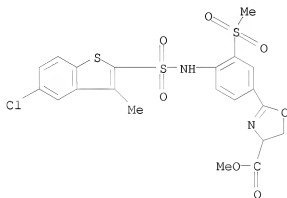
CN L-Serine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



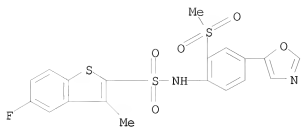
RN 404964-20-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)

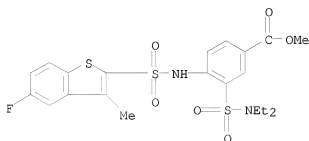


RN 404964-21-2 CAPLUS

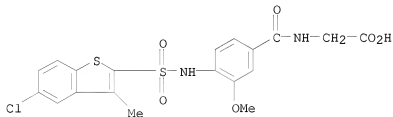
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)



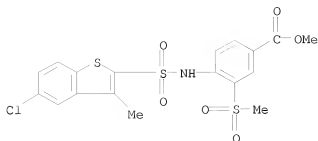
RN 404964-22-3 CAPLUS
 CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



RN 404964-23-4 CAPLUS
 CN Glycine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, methyl ester (CA INDEX NAME)



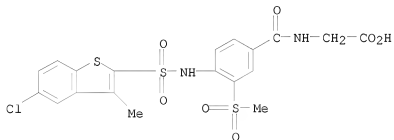
RN 404964-24-5 CAPLUS
 CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 404964-25-6 CAPLUS

CN Glycine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

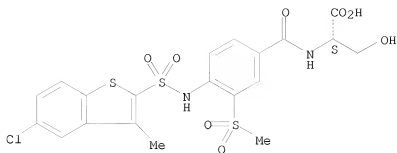


● Na

RN 404964-26-7 CAPLUS

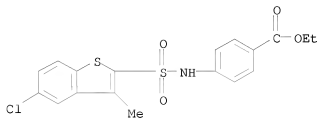
CN L-Serine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

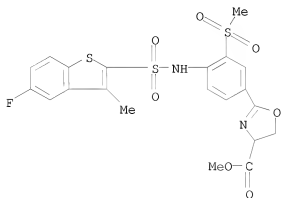


● Na

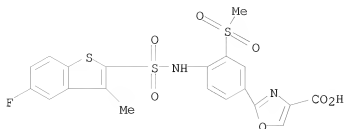
RN 603987-37-7 CAPLUS
 CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 603987-38-8 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)



RN 603987-39-9 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:2) (CA INDEX NAME)

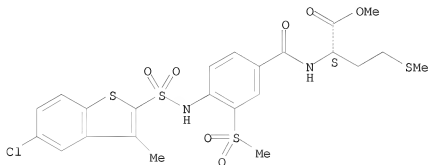


●2 Na

RN 603987-40-2 CAPLUS

CN L-Methionine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

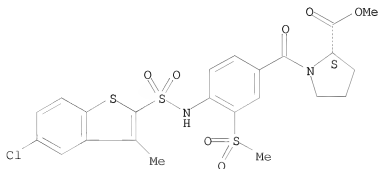
Absolute stereochemistry.



RN 603987-41-3 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

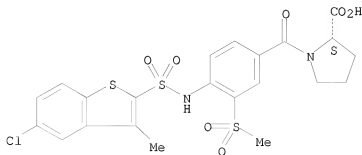


RN 603987-42-4 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-

(methylsulfonyl)benzyl]-, monosodium salt (9CI) (CA INDEX NAME)

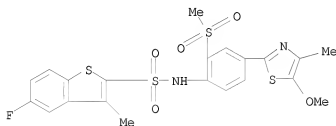
Absolute stereochemistry.



● Na

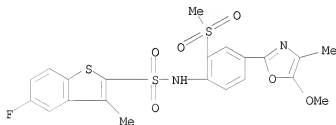
RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



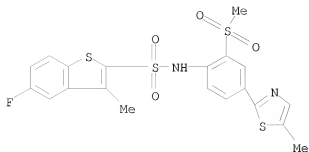
RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



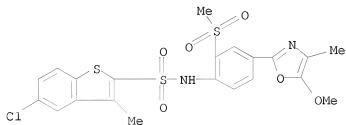
RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



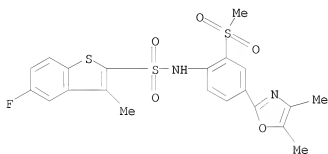
RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



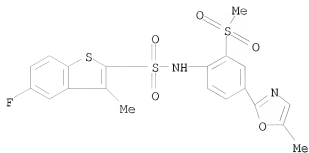
RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



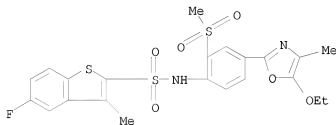
RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



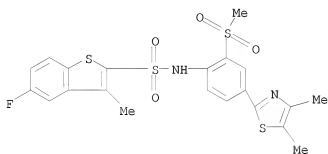
RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



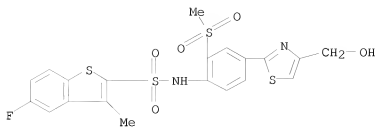
RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



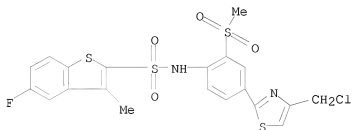
RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



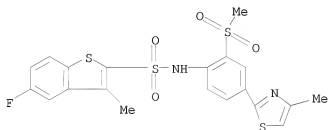
RN 603987-53-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-([4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



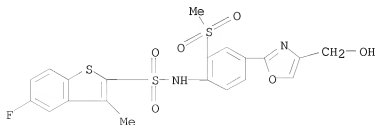
RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[2-(methylsulfonyl)-4-(4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



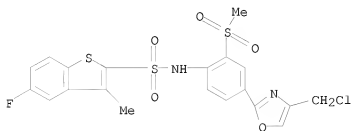
RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-([4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



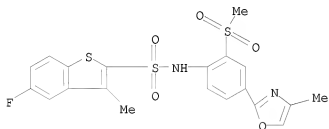
RN 603987-56-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



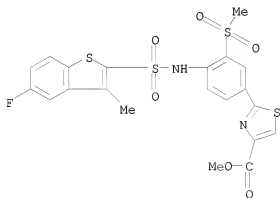
RN 603987-57-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



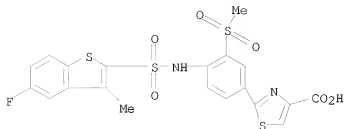
RN 603987-58-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



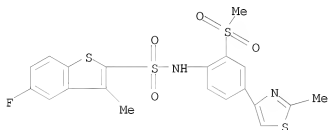
RN 603987-59-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 603987-60-6 CAPLUS

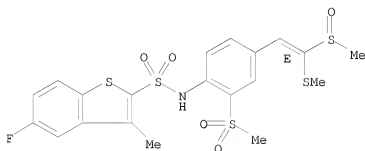
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)



RN 603987-61-7 CAPLUS

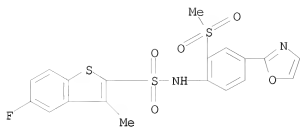
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 603987-62-8 CAPLUS

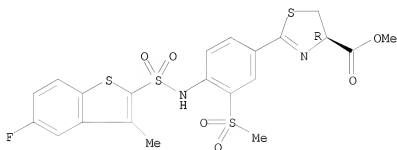
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-oxazolyl)phenyl]- (CA INDEX NAME)



RN 603987-63-9 CAPLUS

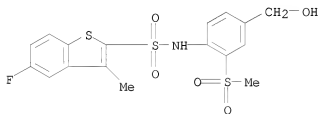
CN 4-Thiazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



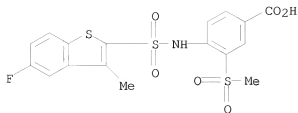
IT 404964-36-9P 603987-64-0P 603987-66-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(benzothienophen sulfonamide analogs as bioadhesion inhibitors)

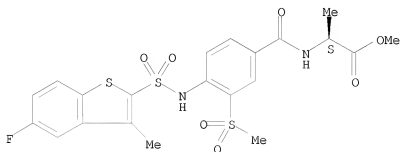
RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

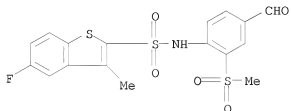


RN 603987-64-0 CAPLUS
 CN L-Alanine, N-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 603987-66-2 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 96 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2003:860206 CAPLUS
 DN 140:122660
 TI An assessment of the effects of serotonin 6 (5-HT6) receptor antagonists in rodent models of learning
 AU Lindner, Mark D.; Hodges, Donald B., Jr.; Hogan, John B.; Orie, Anita F.; Corsa, Jason A.; Barten, Donna M.; Polson, Craig; Robertson, Barbara J.; Guss, Valerie L.; Gillman, Kevin W.; Starrett, John E., Jr.; Gribkoff, Valentin K.
 CS Neuroscience Biology, Bristol-Myers Squibb Pharmaceutical Research Institute, Wallingford, CT, USA
 SO Journal of Pharmacology and Experimental Therapeutics (2003), 307(2), 682-691

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English

AB Antagonists of serotonin 6 (5-HT₆) receptors have been reported to enhance cognition in animal models of learning, although this finding has not been universal. We have assessed the therapeutic potential of the specific 5-HT₆ receptor antagonists 4-amino-N-(2,6-bis-methylamino-pyrimidin-4-yl)-benzenesulfonamide (Ro 04-6790) and 5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothiophenesulfonamide (SB-271046) in rodent models of cognitive function. Although mice express the 5-HT₆ receptor and the function of this receptor has been investigated in mice, all reports of activity with 5-HT₆ receptor antagonists have used rat models. In the present study, receptor binding revealed that the pharmacol. properties of the mouse receptor are different from the rat and human receptor: Ro 04-6790 does not bind to the mouse 5-HT₆ receptor, so all in vivo testing included in the present report was conducted in rats. We replicated previous reports that 5-HT₆ receptor antagonists produce a stretching syndrome previously shown to be mediated through cholinergic mechanisms, but Ro 04-6790 and SB-271046 failed to attenuate scopolamine-induced deficits in a test of contextual fear conditioning. We also failed to replicate the significant effects reported previously in both an autoshaping task and in a version of the Morris water maze. The results of our expts. are not consistent with previous reports that suggested that 5-HT₆ antagonists might have therapeutic potential for cognitive disorders.

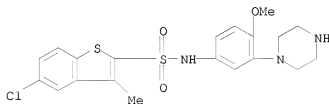
IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(assessment of effects of serotonin 6 (5-HT₆) receptor antagonists in rodent models of learning)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 97 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:841846 CAPLUS

DN 140:76968

TI Structure-activity relationship of benzo[b]thiophene-2-sulfonamide derivatives as novel human chymase inhibitors

AU Masaki, Hidekazu; Mizuno, Yusuke; Tatui, Akira; Murakami, Akira; Koide, Yuuki; Satoh, Shoji; Takahashi, Atsuo

CS Drug Research Department, Tokyo Research Laboratories, Toa Eiyo Ltd., 2-293-3 Amanuma-cho, Omiya-ku, Saitama-shi, Saitama, 330-0834, Japan

SO Bioorganic & Medicinal Chemistry Letters (2003), 13(22), 4085-4088

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:76968

AB We have identified a new class of chymase inhibitor through a substituent anal. of MWP00965, which we previously discovered by in silico screening. TY-51076 showed high potency (IC₅₀=56 nM) and excellent selectivity for chymase compared to chymotrypsin and cathepsin G (>400-fold). The synthesis and structure-activity relationship of this class are described.

IT 404963-75-3 404963-79-7 404963-80-0

404963-81-1 404963-82-2 404963-91-3

404963-92-4 404963-93-5 404964-01-8

404964-02-9 404964-12-1 404964-36-9

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640287-52-1 640287-53-2 640287-54-3

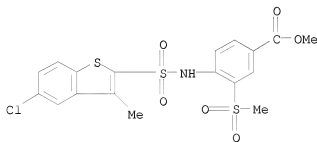
640287-55-4 640287-56-5 640287-57-6

RL: PAC (Pharmacological activity); BIOL (Biological study)

(preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors)

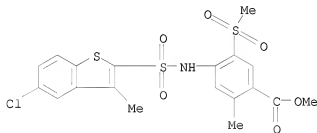
RN 404963-75-3 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



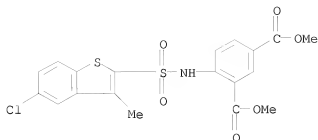
RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



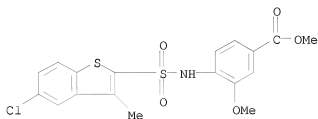
RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



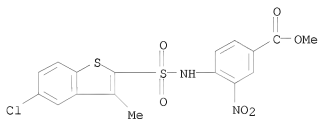
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



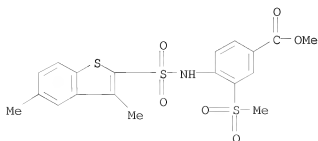
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-nitro-, methyl ester (CA INDEX NAME)



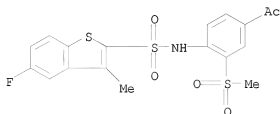
RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



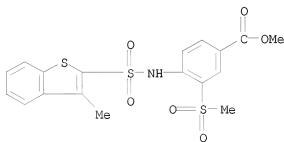
RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



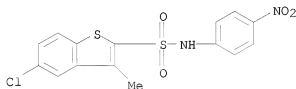
RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



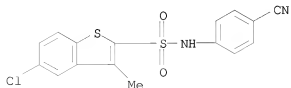
RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



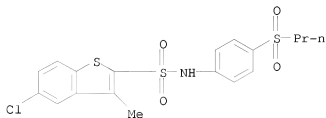
RN 404964-02-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



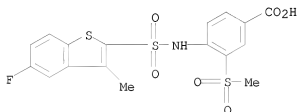
RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



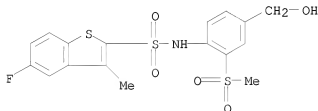
RN 404964-36-9 CAPLUS

CN Benzoic acid, 4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



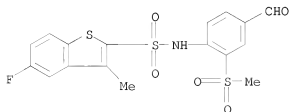
RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

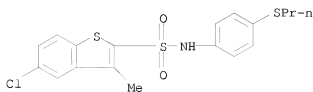


RN 603987-66-2 CAPLUS

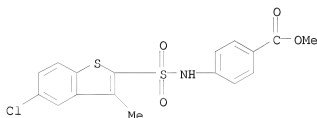
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



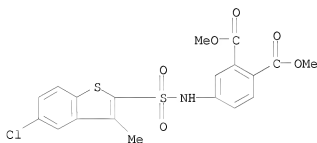
RN 640287-51-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylthio)phenyl]- (CA INDEX NAME)



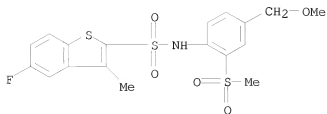
RN 640287-52-1 CAPLUS
 CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



RN 640287-53-2 CAPLUS
 CN 1,2-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,2-dimethyl ester (CA INDEX NAME)

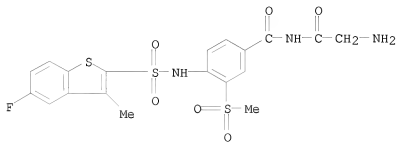


RN 640287-54-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(methoxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 640287-55-4 CAPLUS

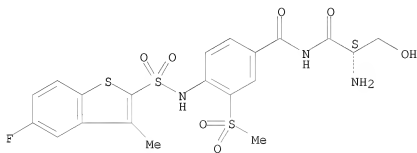
CN Benzamide, N-[(2-aminoacetyl)-4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



RN 640287-56-5 CAPLUS

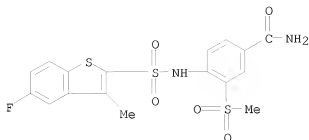
CN Benzamide, N-[(2S)-2-amino-3-hydroxy-1-oxopropyl]-4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

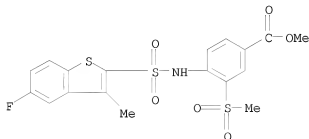


RN 640287-57-6 CAPLUS

CN Benzamide, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



IT 404963-90-2P
 RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation, docking model, and structure-activity relationship of benzothiophene sulfonamide derivs. as novel human chymase inhibitors)
 RN 404963-90-2 CAPLUS
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 98 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2003:757696 CAPLUS
 DN 139:276810
 TI Preparation of benzothiophenesulfonamide derivatives as human chymase inhibitors
 IN Sato, Shoji; Mizuno, Yusuke; Masaki, Hidekazu
 PA Toa Eiyo Ltd., Japan
 SO PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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				CA 2003-2479353	20030313
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EP 1486494 A1 20041215 WO 2003-JP3023 W 20030313
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 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK
 JP 2002-72307 A 20020315
 WO 2003-JP3023 W 20030313

PATENT FAMILY INFORMATION:

FAN 2002:220571

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002022595	A1	20020321	WO 2001-JP8061	20010917
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AU 2001088053	A	20020326	JP 2000-282046 A 20000918 JP 2001-122972 A 20010420 AU 2001-88053 20010917 JP 2000-282046 A 20000918 JP 2001-122972 A 20010420 WO 2001-JP8061 W 20010917	
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JP 3847711	B2	20061122	JP 2002-526848 20010917 JP 2000-282046 A 20000918 JP 2001-122972 A 20010420 WO 2001-JP8061 W 20010917	
US 20030229126	A1	20031211	US 2003-388378 20030313	
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US 7399781	B2	20080715	JP 2000-282046 A 20000918 JP 2001-122972 A 20010420 WO 2001-JP8061 A2 20010917 JP 2002-72305 A 20020315 JP 2002-72306 A 20020315 JP 2002-72307 A 20020315	

FAN	2003:750639			US 2003-388378	A3 20030313
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PI	JP 2003267870	A	20030925	JP 2002-72305	20020315
	US 20030229126	A1	20031211	US 2003-388378	20030313
	US 7071220	B2	20060704		

JP 2000-282046	A	20000918
JP 2001-122972	A	20010420
WO 2001-JP8061	A2	20010917
JP 2002-72305	A	20020315
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PI	JP 2003335670	A	20031125	JP 2003-70126	20030314
				JP 2002-72306	A 20020315

OS MARPAT 139:276810

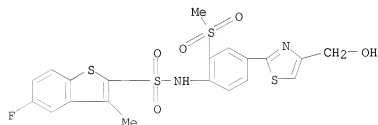
AB The title benzo[thiophene]sulfonamide derivs. with general formula of I [wherein R1 = H, halo, or alkyl; R2 and R3 = independently alkyl; R4 = (un)substituted oxazolyl, imidazolyl, or thiazolyl] and pharmaceutically acceptable salt thereof are prepared as human chymase inhibitors. Thus, the compound II was prepared in a multi-step synthesis. II showed IC50 of 7 nmol/L against human chymase.

IT 603987-52-6P 603987-53-7P 603987-58-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzo[thiophene]sulfonamide derivs. as human chymase inhibitors)

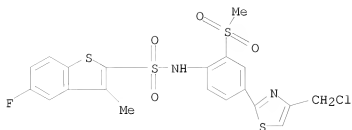
RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

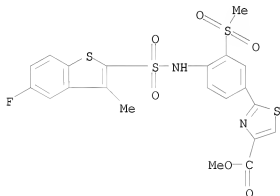


RN 603987-53-7 CAPLUS

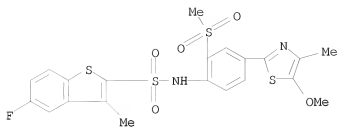
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 603987-58-2 CAPLUS
 CN 4-Thiazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

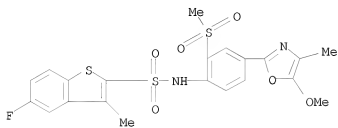


IT 603987-43-5P 603987-44-6P 603987-45-7P
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 603987-50-4P 603987-51-5P 603987-54-8P
 603987-55-9P 603987-56-0P 603987-57-1P
 603987-59-3P 603987-60-6P 603987-61-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of benzothiophenesulfonamide derivs. as human chymase inhibitors)
 RN 603987-43-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



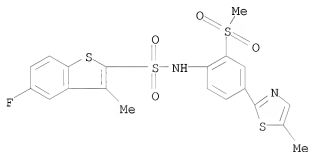
RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



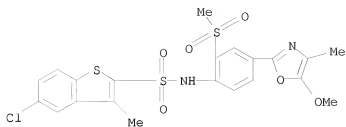
RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



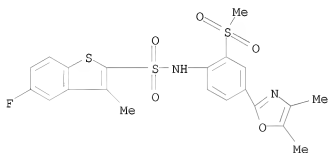
RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



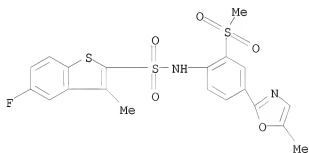
RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



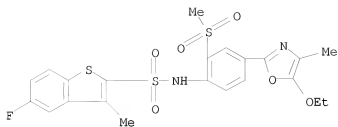
RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



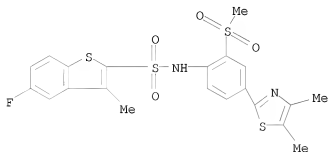
RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



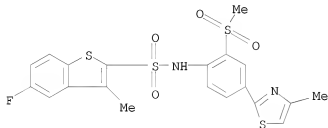
RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



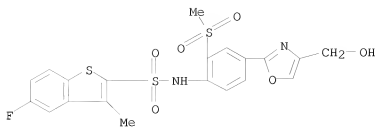
RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



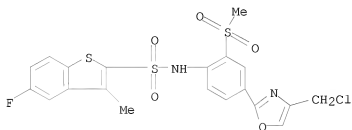
RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



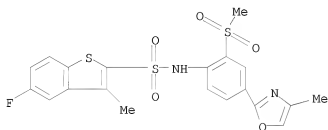
RN 603987-56-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



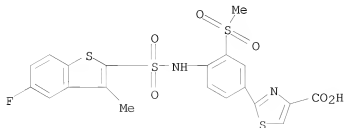
RN 603987-57-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

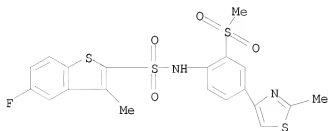


RN 603987-59-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)

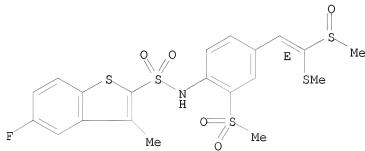


RN 603987-60-6 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)

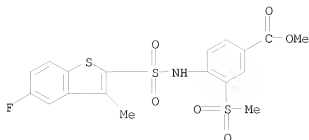


RN 603987-61-7 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

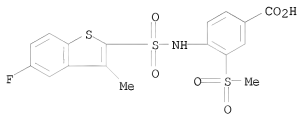
Double bond geometry as shown.



IT 404963-90-2P 404964-36-9P 603987-63-9P
 603987-64-0P 603987-65-1P 603987-66-2P
 603987-70-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of benzothiophenesulfonamide derivs. as human
 chymase inhibitors)
 RN 404963-90-2 CAPLUS
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)

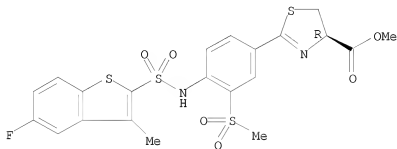


RN 404964-36-9 CAPLUS
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



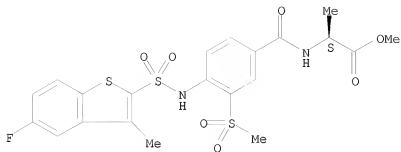
RN 603987-63-9 CAPLUS
 CN 4-Thiazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



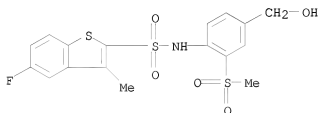
RN 603987-64-0 CAPLUS
 CN L-Alanine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



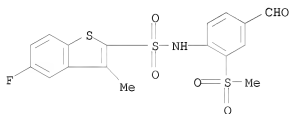
RN 603987-65-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



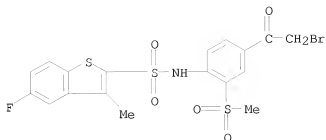
RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)

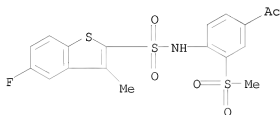


RN 603987-70-8 CAPLUS

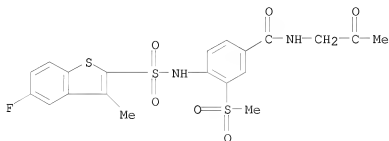
CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2-bromoacetyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



IT 404963-92-4 603987-69-5 603987-71-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of benzothiophenesulfonamide derivs. as human chymase
 inhibitors)
 RN 404963-92-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-
 fluoro-3-methyl- (CA INDEX NAME)

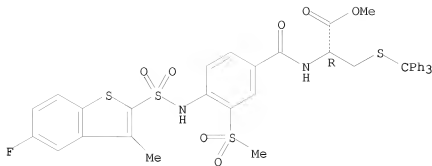


RN 603987-69-5 CAPLUS
 CN Benzamide, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-
 (methylsulfonyl)-N-(2-oxopropyl)- (CA INDEX NAME)



RN 603987-71-9 CAPLUS
 CN L-Cysteine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-
 (methylsulfonyl)benzoyl]-S-(triphenylmethyl)-, methyl ester (CA INDEX
 NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 99 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:750639 CAPLUS
DN 139:271052
TI Pharmaceuticals containing benzothienothiopyran derivatives for prophylactic and
therapeutic treatment of pulmonary hypertension
IN Yoneyama, Fumiaki; Kuze, Tetsuro
PA Toa Eiyo, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003267870	A	20030925	JP 2002-72305	20020315
US 20030229126	A1	20031211	US 2003-388378	20030313
US 7071220	B2	20060704		
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
			WO 2001-JP8061	A2 20010917
			JP 2002-72305	A 20020315
			JP 2002-72306	A 20020315
			JP 2002-72307	A 20020315
US 20060116408	A1	20060601	US 2006-329505	20060110
US 7399781	B2	20080715		
			JP 2000-282046	A 20000918
			JP 2001-122972	A 20010420
			WO 2001-JP8061	A2 20010917
			JP 2002-72305	A 20020315
			JP 2002-72306	A 20020315
			JP 2002-72307	A 20020315
			US 2003-388378	A3 20030313

PATENT FAMILY INFORMATION:

FAN 2002:220571

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022595	A1	20020321	WO 2001-JP8061	20010917
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,			

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

				JP 2000-282046	A	20000918
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AU	2001088053	A	20020326	AU 2001-88053		20010917
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				WO 2001-JP8061	W	20010917
CA	2422807	A1	20030318	CA 2001-2422807		20010917
				JP 2000-282046	A	20000918
				JP 2001-122972	A	20010420
				WO 2001-JP8061	W	20010917
EP	1325920	A1	20030709	EP 2001-967708		20010917
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				JP 2000-282046	A	20000918
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CN	1245400	C	20060315	CN 2001-815851		20010917
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US	20030229126	A1	20031211	US 2003-388378		20030313
US	7071220	B2	20060704			
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				JP 2002-72306	A	20020315
				JP 2002-72307	A	20020315
US	20060116408	A1	20060601	US 2006-329505		20060110
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				WO 2001-JP8061	A2	20010917
				JP 2002-72305	A	20020315
				JP 2002-72306	A	20020315
				JP 2002-72307	A	20020315
				US 2003-388378	A3	20030313

FAN 2003:757696

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

PI

WO 2003078419

A1

20030925

WO 2003-JP3023

20030313

W: CA, CN, JP

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

CA 2479353

A1

20030925

JP 2002-72307

A 20020315

CA 2003-2479353

20030313

JP 2002-72307

A 20020315

WO 2003-JP3023

W 20030313

EP 1486494

A1

20041215

EP 2003-712691

20030313

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK

				JP 2002-72307	A	20020315
				WO 2003-JP3023	W	20030313

FAN	2003:918694					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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PI	JP 2003335670	A	20031125	JP 2003-70126		20030314
				JP 2002-72306	A	20020315

OS MARPAT 139:271052

AB Title pharmaceuticals, which do not cause systemic hypotension, contain benzothiophenesulfonamides I (R1 = H, halo, lower alkyl; R2 = lower alkyl; R3, R4 = H, lower alkoxycarbonyl, lower alkylsulfonyl, Bz, Cl-4 acyl, NO2, etc.; R5 = H, lower alkoxy, lower alkyl) or their pharmacol. acceptable salts as active ingredients. Thus, Me 4-(5-chloro-3-methylbenzo[b]thiophene-2-sulfonylamino)-3-methanesulfonylbenzoate inhibited human chymase with IC50 of 203 nmol/L.

IT

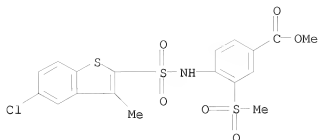
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603987-62-8P 603987-63-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzothiophenesulfonamides as chymase inhibitors for treatment of pulmonary hypertension)

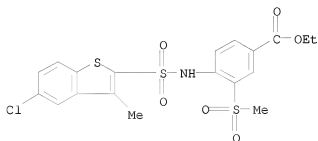
RN 404963-75-3 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



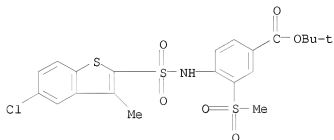
RN 404963-76-4 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, ethyl ester (CA INDEX NAME)



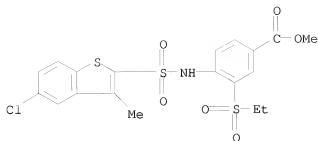
RN 404963-77-5 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



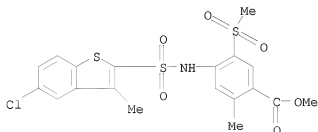
RN 404963-78-6 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(ethylsulfonyl)-, methyl ester (CA INDEX NAME)



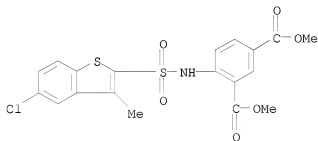
RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



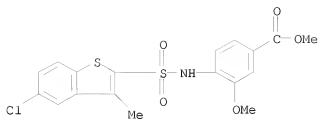
RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



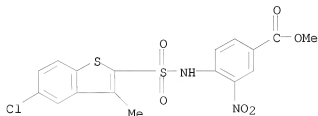
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



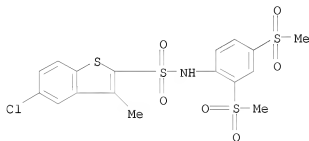
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl]sulfonylamino]-3-nitro-, methyl ester (CA INDEX NAME)



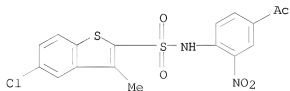
RN 404963-83-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



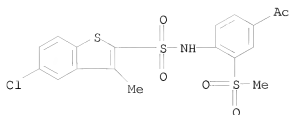
RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)



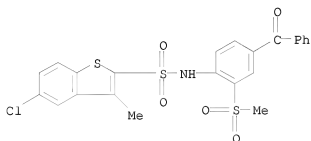
RN 404963-85-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



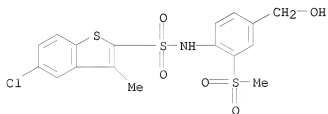
RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



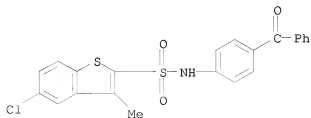
RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



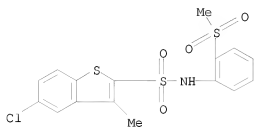
RN 404963-88-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



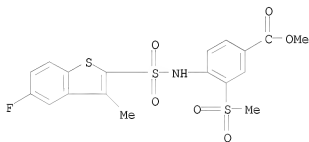
RN 404963-89-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



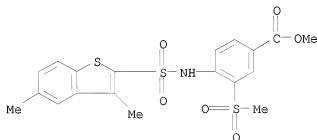
RN 404963-90-2 CAPLUS

CN Benzoic acid, 4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



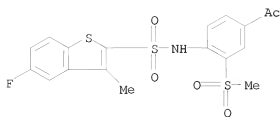
RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



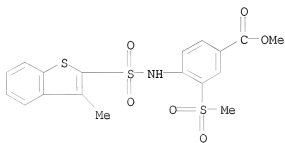
RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



RN 404963-93-5 CAPLUS

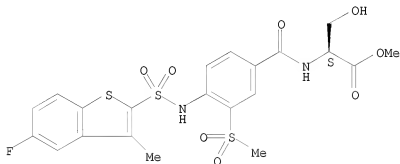
CN Benzoic acid, 4-[(3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-94-6 CAPLUS

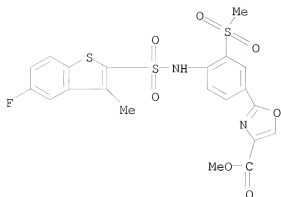
CN L-Serine, N-[4-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



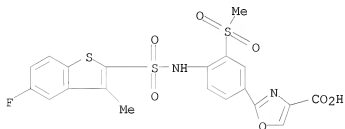
RN 404963-96-8 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



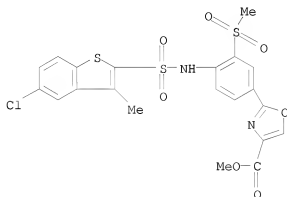
RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



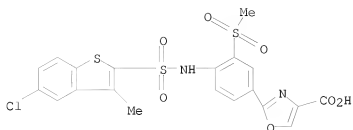
RN 404963-98-0 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)

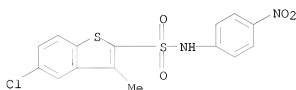


RN 404963-99-1 CAPLUS

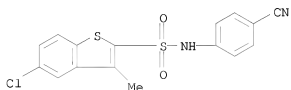
CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



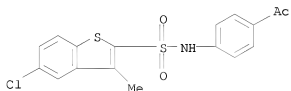
RN 404964-01-8 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)



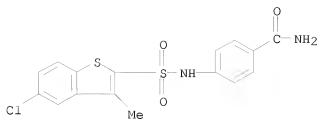
RN 404964-02-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



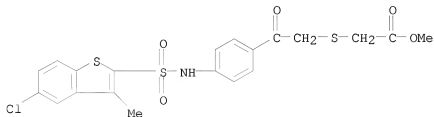
RN 404964-03-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



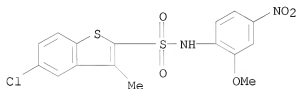
RN 404964-04-1 CAPLUS
 CN Benzamide, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl]sulfonyl]amino]- (CA INDEX NAME)



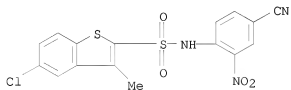
RN 404964-05-2 CAPLUS
 CN Acetic acid, 2-[[2-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)



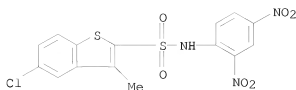
RN 404964-06-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-methyl- (CA INDEX NAME)



RN 404964-07-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-methyl- (CA INDEX NAME)

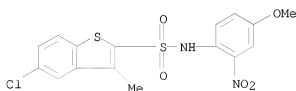


RN 404964-08-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl- (CA INDEX NAME)



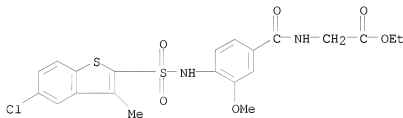
RN 404964-09-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl- (CA INDEX NAME)



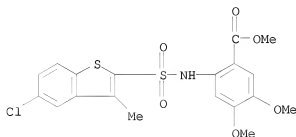
RN 404964-10-9 CAPLUS

CN Glycine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester (CA INDEX NAME)



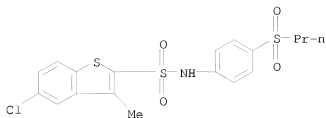
RN 404964-11-0 CAPLUS

CN Benzoic acid, 2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-, methyl ester (CA INDEX NAME)



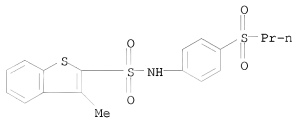
RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



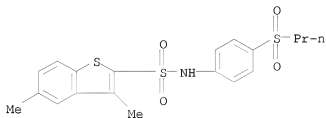
RN 404964-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]-
(CA INDEX NAME)



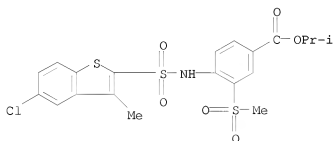
RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]-
(CA INDEX NAME)



RN 404964-15-4 CAPLUS

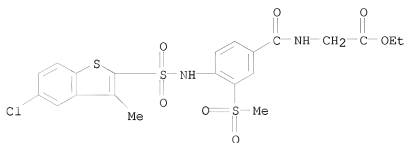
CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)



RN 404964-16-5 CAPLUS

CN Glycine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-

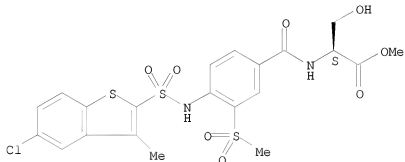
(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)



RN 404964-17-6 CAPLUS

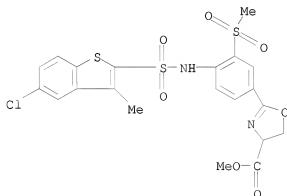
CN L-Serine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 404964-20-1 CAPLUS

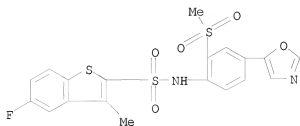
CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)



RN 404964-21-2 CAPLUS

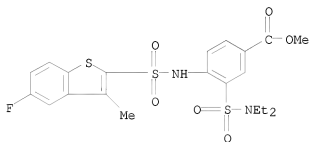
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-

(5-oxazolyl)phenyl]- (CA INDEX NAME)



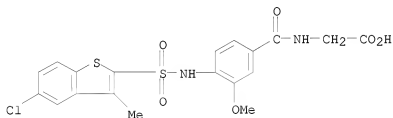
RN 404964-22-3 CAPLUS

CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



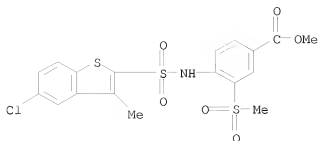
RN 404964-23-4 CAPLUS

CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)



RN 404964-24-5 CAPLUS

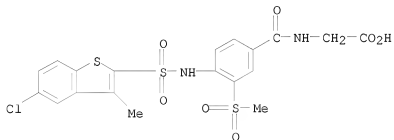
CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 404964-25-6 CAPLUS

CN Glycine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

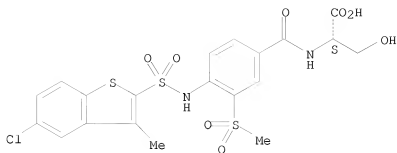


● Na

RN 404964-26-7 CAPLUS

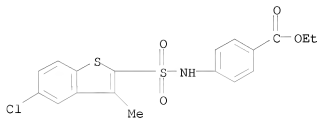
CN L-Serine, N-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

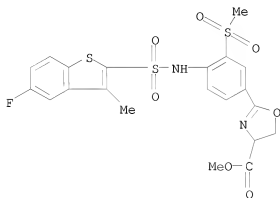


● Na

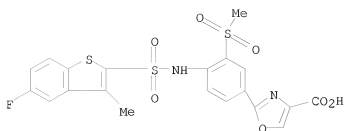
RN 603987-37-7 CAPLUS
 CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 603987-38-8 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)



RN 603987-39-9 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:2) (CA INDEX NAME)

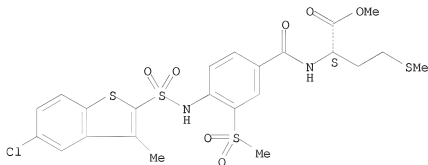


●2 Na

RN 603987-40-2 CAPLUS

CN L-Methionine, N-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

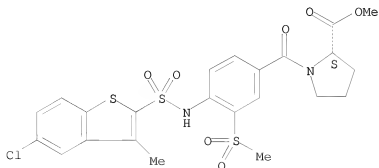
Absolute stereochemistry.



RN 603987-41-3 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

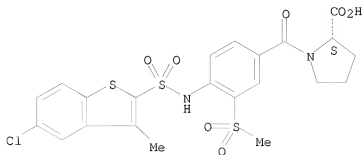


RN 603987-42-4 CAPLUS

CN L-Proline, 1-[4-[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-

(methylsulfonyl)benzyl]-, monosodium salt (9CI) (CA INDEX NAME)

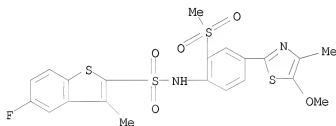
Absolute stereochemistry.



● Na

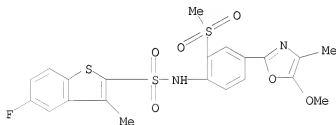
RN 603987-43-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



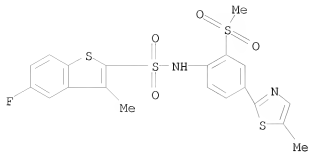
RN 603987-44-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



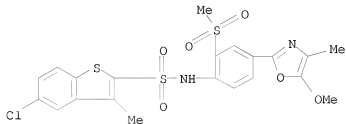
RN 603987-45-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



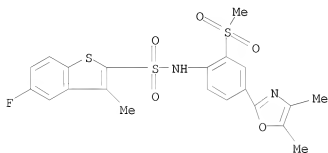
RN 603987-47-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(5-methoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



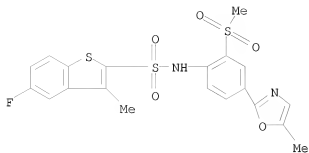
RN 603987-48-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



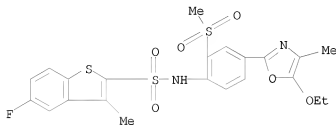
RN 603987-49-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(5-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



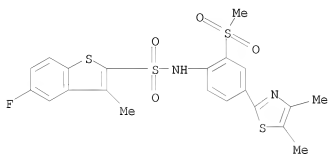
RN 603987-50-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(5-ethoxy-4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



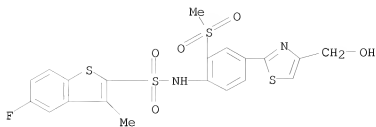
RN 603987-51-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4,5-dimethyl-2-thiazolyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



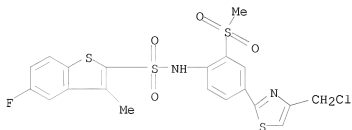
RN 603987-52-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



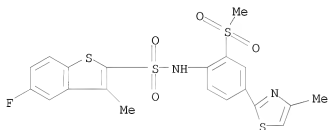
RN 603987-53-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-thiazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



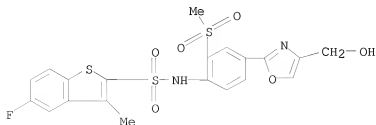
RN 603987-54-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(4-methyl-2-thiazolyl)phenyl]- (CA INDEX NAME)



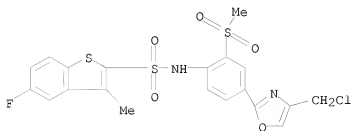
RN 603987-55-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-[4-(hydroxymethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



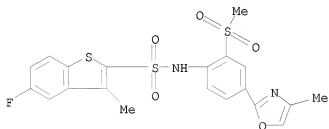
RN 603987-56-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[4-(chloromethyl)-2-oxazolyl]-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



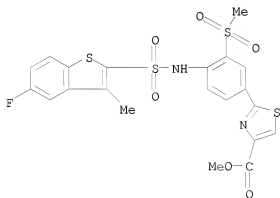
RN 603987-57-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-(4-methyl-2-oxazolyl)-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



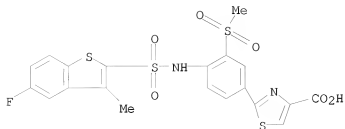
RN 603987-58-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



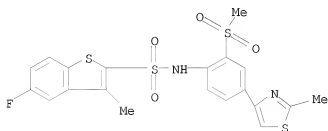
RN 603987-59-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 603987-60-6 CAPLUS

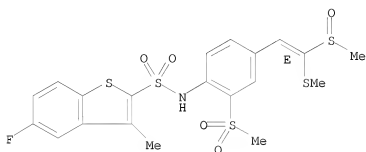
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-methyl-4-thiazolyl)phenyl]- (CA INDEX NAME)



RN 603987-61-7 CAPLUS

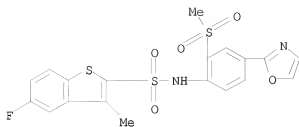
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[4-[(1E)-2-(methylsulfinyl)-2-(methylthio)ethenyl]-2-(methylsulfonyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 603987-62-8 CAPLUS

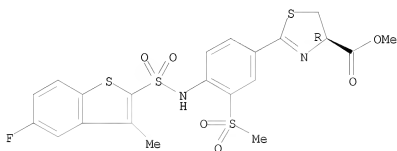
CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(2-oxazolyl)phenyl]- (CA INDEX NAME)



RN 603987-63-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

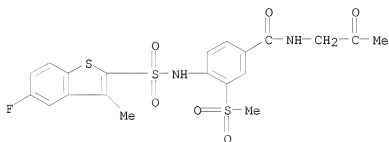


IT 603987-69-5 603987-71-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzothiophenesulfonamides as chymase inhibitors for treatment of pulmonary hypertension)

RN 603987-69-5 CAPLUS

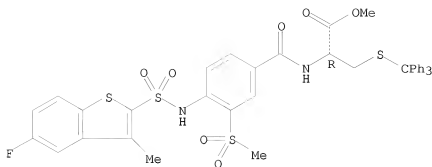
CN Benzamide, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-N-(2-oxopropyl)- (CA INDEX NAME)



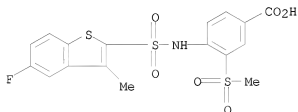
RN 603987-71-9 CAPLUS

CN L-Cysteine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-S-(triphenylmethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

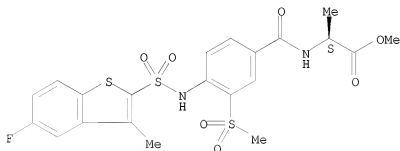


IT 404964-36-9P 603987-64-0P 603987-65-1P
 603987-66-2P 603987-70-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of benzothiophenesulfonamides as chymase inhibitors for
 treatment of pulmonary hypertension)
 RN 404964-36-9 CAPLUS
 CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-
 (methylsulfonyl)- (CA INDEX NAME)

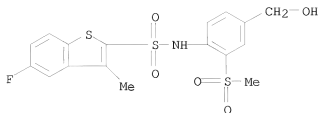


RN 603987-64-0 CAPLUS
 CN L-Alanine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-
 (methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

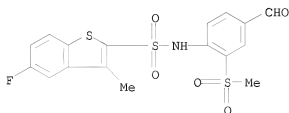


RN 603987-65-1 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-(hydroxymethyl)-2-
 (methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



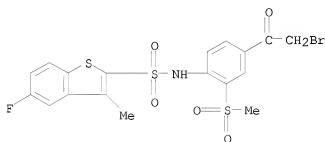
RN 603987-66-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-N-[4-formyl-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 603987-70-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(2-bromoacetyl)-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



L6 ANSWER 100 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:736210 CAPLUS

DN 140:139260

TI Effects of 5-HT6 receptor blockade on the neurochemical outcome of antidepressant treatment in the frontal cortex of the rat

AU Dawson, L. A.; Li, P.

CS Neuroscience Research, Wyeth-Ayerst, Princeton, NJ, USA

SO Journal of Neural Transmission (2003), 110(6), 577-590

CODEN: JNTRF3; ISSN: 0300-9564

PB Springer-Verlag Wien

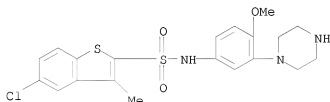
DT Journal

LA English

AB Using in vivo microdialysis in the freely moving rat we have examined the effects of 5-HT6 receptor antagonism on the neurochem. outcome of antidepressant treatment. Acute administration of both desipramine (10 mg/kg s.c.) and venlafaxine (10 mg/kg s.c.) produced a 2 fold increase in

extracellular noradrenaline (NA) but no change in frontal cortex dopamine (DA), 5-HT or glutamate. Fluoxetine (20 mg/kg s.c.) produced no change in extracellular levels of any of the neurotransmitters examined SB-271046 produced a 3-fold increase in extracellular glutamate. Combination treatment of SB-271046 with each antidepressant produced no change in the antidepressant-induced changes in NA, DA or 5-HT. In contrast, both fluoxetine and venlafaxine attenuated the SB-271046-induced increase in extracellular glutamate, suggesting that 5-HT and possibly NA may be having an inhibitory action on the excitatory pathways enhanced by 5-HT6 receptor blockade. Furthermore, these data indicate that the neurochem. effects induced by NA and/or 5-HT re-uptake inhibitors are not enhanced by 5-HT6 receptor blockade indicating that 5-HT6 receptor antagonists are unlikely to augment the therapeutic efficacy of these types of antidepressants.

IT 209481-20-9, SB-271046
 RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (effects of 5-HT6 receptor blockade on the neurochem. outcome of antidepressant treatment in the frontal cortex of the rat)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 101 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2003:633473 CAPLUS
 DN 139:159959
 TI Method using 5-HT6 receptor antagonists for promoting neuronal growth
 IN Foley, Andrew; Gallagher, Helen; Hagan, James; Regan, Ciaran; Upton, Neil
 PA Glaxo Group Limited, UK
 SO PCT Int. Appl., 21 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003066056	A1	20030814	WO 2003-GB462	20030204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			GB 2002-2680	A	20020205
			GB 2002-22616	A	20020930
AU	2003244452	A1	20030902	AU 2003-244452	20030204
				GB 2002-2680	A 20020205
				GB 2002-22616	A 20020930
				WO 2003-GB462	W 20030204
EP	1471912	A1	20041103	EP 2003-737355	20030204
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
				GB 2002-2680	A 20020205
				GB 2002-22616	A 20020930
				WO 2003-GB462	W 20030204
JP	2005522432	T	20050728	JP 2003-565480	20030204
				GB 2002-2680	A 20020205
				GB 2002-22616	A 20020930
				WO 2003-GB462	W 20030204
US	20070270432	A1	20071122	US 2005-503679	20050912
				GB 2002-2680	A 20020205
				GB 2002-22616	A 20020930
				WO 2003-GB462	W 20030204

AB The invention provides a method for promoting neuronal growth within the central nervous system of a mammal, as well as 5-HT6 antagonist compds. and pharmaceutical compns. for use in the method. Compds. of the invention include e.g. N-(3,5-dichloro-2-methoxyphenyl)-4-methoxy-3-piperazin-1-ylbenzenesulfonamide.

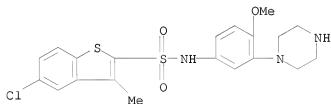
IT 209481-20-9 209481-24-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonists for promoting neuronal growth)

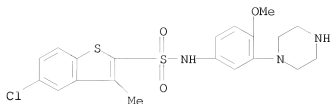
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 102 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:601375 CAPLUS

DN 140:122574

TI Blockade of serotonin 5-HT1B and 5-HT2A receptors suppresses the induction of locomotor activity by 5-HT reuptake inhibitors, citalopram and fluvoxamine, in NMRI mice exposed to a novel environment: a comparison to other 5-HT receptor subtypes

AU Millan, Mark J.; Veiga, Sylvie; Girardon, Sylvie; Brocco, Maurice
CS Centre de Recherches de Croissy, Psychopharmacology Department, Institut de Recherches Servier, Croissy/Seine, 78290, Fr.

SO Psychopharmacology (Berlin, Germany) (2003), 168(4), 397-409
CODEN: PSCHDL; ISSN: 0033-3158

PB Springer-Verlag

DT Journal

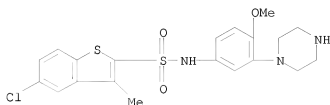
LA English

AB Though 5-HT plays an important role in the modulation of motor function, which is perturbed in depressive states, little is known concerning the influence of serotonin reuptake inhibitors (SSRIs) on locomotor activity (LA). Recently, we demonstrated that SSRIs, such as citalopram, enhance LA in mice exposed to a novel environment. This study examined the role of multiple classes of 5-HT receptor in citalopram-induced LA. The most selective antagonists currently available were used. Citalopram-induced LA was dose-dependently attenuated by the 5-HT1B/1D receptor antagonists, SB206,553 and GR127,935, and by the selective 5-HT1B antagonist, SB224,289, but unaffected by the selective 5-HT1A antagonist, WAY100,635. The selective antagonists at 5-HT2A receptors, MDL100,907 and SR46,349 also dose-dependently attenuated induction of locomotion by citalopram, whereas the 5-HT2B antagonist, SB204,741, and the 5-HT2B/2C antagonist, SB206,553 were ineffective. Further, the selective 5-HT2C antagonist, SB242,084, potentiated the response to citalopram. Selective antagonists at 5-HT3 (ondansetron), 5-HT4 (GR125,487), 5-HT6 (SB271,046) and 5-HT7 (SB269,970) receptors did not significantly modify the action of citalopram. Underpinning these findings, SB224,289, GR125,743, MDL100,907 and SR46,349 likewise attenuated induction of locomotion by a further SSRI, fluvoxamine. The locomotor response to SSRIs of mice exposed to a novel environment is mediated via 5-HT1B and 5-HT2A receptors. In view of the importance of motor function to the etiol. and treatment of depression, the significance of these observations to the clin. actions of SSRIs will be of interest to elucidate.

IT 209481-20-9, SB271046

RL: PAC (Pharmacological activity); BIOL (Biological study)
(role of multiple classes of 5-HT receptor in citalopram-induced

locomotor activity)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 129 THERE ARE 129 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 103 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2003:542497 CAPLUS
 DN 139:317826

TI Characterization of the 5-HT6 receptor coupled to Ca2+ signaling using an enabling chimeric G-protein

AU Zhang, Jean Y.; Nawoschik, Stanley; Kowal, Dianne; Smith, Deborah;
 Spangler, Taylor; Ochalski, Rafal; Schechter, Lee; Dunlop, John
 CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ,
 08543-8000, USA

SO European Journal of Pharmacology (2003), 472(1-2), 33-38
 CODEN: EJPHAZ; ISSN: 0014-2999

PB Elsevier Science B.V.

DT Journal
 LA English

AB The authors examined the feasibility of coupling the 5-HT6 receptor to a Ca2+ signaling read-out using a chimeric G-protein, comprising of Gαq with the C-terminal five amino acids from Gas, to facilitate assays on the fluorometric imaging plate reader (FLIPR). Using a transient transfection assay in human embryonic kidney (HEK) cells, Ca2+ signaling in response to serotonin (5-HT) was facilitated by co-transfection of the 5-HT6 receptor with the Gαq/Gas chimera, but not with the 5-HT6 receptor alone or with a similar chimera incorporating the C-terminal five amino acids of Gαi3. A series of agonist concentration-response curves were constructed using the 5-HT6-Gαq/Gas signaling assay generating the following rank order of agonist potency; 5-methoxytryptamine (EC50, 9 nM)=5-HT (12 nM)=2-Me 5-HT (13 nM)>tryptamine (86 nM)=5-carboxamidotryptamine (5-CT) (119 nM)>lisuride (>1 μM). In comparison, essentially identical EC50 values were observed for the stimulation of cAMP accumulation with the same compds.; 5-methoxytryptamine (EC50, 6 nM)=5-HT (6 nM)=2-Me 5-HT (15 nM)>tryptamine (91 nM)=5-CT (153 nM)>lisuride (>350 nM). Clozapine and SB 271046 both produced a concentration-dependent antagonism of the 5-HT-stimulated

Ca2+ response with IC50 values of 45 and 11 nM, resp. In contrast, aripiprazole, a recently launched atypical anti-psychotic with a novel mechanism of action described as a dopamine/serotonin stabilizer, was essentially devoid of 5-HT6 receptor antagonist activity. The authors' results demonstrate that a FLIPR-based Ca2+ signaling assay is a feasible approach to the functional characterization of 5-HT6 receptor ligands. Moreover, the equivalent coupling efficiency, as indexed by agonist potency, observed using this system compared with the native coupling assay to cAMP

suggests that the C-terminal five amino acids of Gas are the major determinant for the receptor/G-protein interaction of the 5-HT₆ receptor subtype.

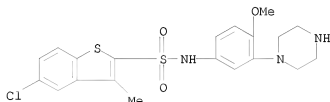
IT 209481-20-9, SB 271046

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)

(characterization of 5-HT₆ receptor coupled to Ca²⁺ signaling using an enabling chimeric G-protein as evaluated in human embryonic kidney cells)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 104 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:396852 CAPLUS

DN 138:401602

TI Preparation of N-(1H-indol-5-yl) sulfonamide derivatives with 5-HT₆ receptor antagonist activity, their preparation, and their application as medicaments for CNS diseases

IN Merce-Vidal, Ramon; Andaluz-Mataro, Blas; Frigola-Constansa, Jordi

PA Laboratorios Del Esteve, S.A., Spain

SO PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DT Patent

LA Spanish

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003042175	A1	20030522	WO 2002-ES518	20021108
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	CA 2466965	A1	20030522	CA 2002-2466965	20021108
				ES 2001-2517	A 20011114
				WO 2002-ES518	W 20021108
	AU 2002350743	A1	20030526	AU 2002-350743	20021108

			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
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EP 1445252	B1	20060308			
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			WO 2002-ES518	W	20021108
HU 2004002317	A2	20050228	HU 2004-2317		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
CN 1599718	A	20050323	CN 2002-824080		20021108
CN 1271052	C	20060823			
			ES 2001-2517	A	20011114
JP 2005513016	T	20050512	JP 2003-544012		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
NZ 533136	A	20060127	NZ 2002-533136		20021108
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
AT 319684	T	20060315	AT 2002-785439		20021108
			ES 2001-2517	A	20011114
EP 1666462	A1	20060607	EP 2005-21228		20021108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, SK					
			ES 2001-2517	A	20011114
			EP 2002-785439	A3	20021108
PT 1445252	T	20060731	PT 2002-785439		20021108
			ES 2001-2517	A	20011114
ES 2259387	T3	20061001	ES 2002-785439		20021108
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			WO 2002-ES518	W	20021108
RU 2293082	C2	20070210	RU 2004-117850		20021108
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			WO 2002-ES518	W	20021108
NZ 543393	A	20070427	NZ 1993-5433		20021108
			ES 2001-2517	A	20011114
			NZ 2002-533136	A3	20021108
TW 275585	B	20070311	TW 2002-91132989		20021111
			ES 2001-2517	A	20011114
US 20030191124	A1	20031009	US 2002-293206		20021113
US 7105515	B2	20060912			
			ES 2001-2517	A	20011114
ES 2249129	A1	20060316	ES 2004-1084		20040506
ES 2249129	B2	20070816			
			ES 2001-2517	A	20011114
MX 2004PA04601	A	20040813	MX 2004-PA4601		20040514
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
HR 2004000429	B1	20071031	HR 2004-429		20040514
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
IN 2004KN00752	A	20060428	IN 2004-KN752		20040603
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
NO 2004002478	A	20040812	NO 2004-2478		20040614

			ES 2001-2517	A	20011114
			WO 2002-ES518	A	20021108
US 20050032791	A1	20050210	US 2004-933951		20040903
US 7176200	B2	20070213			
			ES 2001-2517	A	20011114
			US 2002-293206	A3	20021113
HK 1070053	A1	20060728	HK 2005-101004		20050205
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
ZA 2004004073	A	20060531	ZA 2004-4073		20060317
			ES 2001-2517	A	20011114
US 20060258653	A1	20061116	US 2006-487745		20060717
			ES 2001-2517	A	20011114
			US 2002-293206	A3	20021113
			US 2004-933951	A3	20041119
US 20070167448	A1	20070719	US 2007-707571		20070216
			ES 2001-2517	A	20011114
			US 2002-293206	A3	20021113
			US 2004-933951	A3	20040903
			US 2006-487745	A3	20060717
IN 2007KN00849	A	20081010	IN 2007-KN849		20070309
			ES 2001-2517	A	20011114
			WO 2002-ES518	W	20021108
			IN 2004-KN752	A3	20040603

PATENT FAMILY INFORMATION:

FAN 2005:488835

PATENT NO.

	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005052999	A2	20050609	WO 2004-US39311	20041123
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2003-525412P	P 20031124
			US 2004-933951	A 20041119
DE 112004002304	T5	20061102	DE 2004-112004002304	20041123
			US 2003-525412P	P 20031124
			US 2004-933951	A 20041119
US 20060258653	A1	20061116	WO 2004-US39311	W 20041123
			US 2006-487745	20060717
			ES 2001-2517	A 20011114
			US 2002-293206	A3 20021113
			US 2004-933951	A3 20041119

OS MARPAT 138:401602

AB The invention relates to novel N-(1H-indol-5-yl)-substituted sulfonamide derivs. I and their physiol. acceptable salts [wherein: A = (un)substituted 5- or 6-membered heteroaryl, bicyclic heteroaryl, phenylalkyl, β -styryl, naphthyl, 2,2-diphenylethyl, aryl-W-aryl, or substituted Ph; R1 = H, alkyl, benzyl; n = 0-4; R2 = NR4R5, cyclic (un)saturated amino (e.g., piperidino, piperazino, etc.); R3, R4, R5 = H or alkyl; substituents on A = H, F, Cl, Br, alkyl, alkoxy, alkylthio, CF3, cyano, NO2, NR4R5; W = bond, CH2, O, S, or NR4]. The invention also

relates to methods of preparing I, to their application as medicaments for human and/or veterinary therapy, and to pharmaceutical compns. containing them. A group of 53 example compds. is listed and claimed, and 5 example prepn. are given. For instance, sulfonamidation of 5-amino-3-[2-(dimethylamino)ethyl]-1H-indole with 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride in pyridine at room temperature gave 82% invention compound II. In a test for inhibition of

binding

of [3H]-LSD to recombinant human 5-HT₆ receptors expressed in HEK-293 cell membranes, II had an IC₅₀ of 0.13 nM. Thirteen other I had IC₅₀ values ranging from 0.28 nM to 24.3 nM.

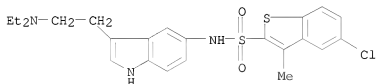
IT 528858-69-7P, N-[3-[2-(Diethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528858-94-8P, N-[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-09-8P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-12-3P, N-[3-(1-Methylpiperidin-4-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide hydrochloride 528859-48-5P, N-[3-[(4-Methylpiperazin-1-yl)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-75-8P, N-[3-[2-(Morpholin-4-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-84-9P, N-[3-[(Dimethylamino)methyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-90-7P, N-[3-[2-(Dipropylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528859-93-0P, N-[3-[2-(Dibutylamino)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-08-4P, N-[3-(Octahydroindolizin-7-yl)-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-23-3P, N-[3-[3-(Diethylamino)propyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide 528860-26-6P, N-[3-[2-(Pyrrolidin-1-yl)ethyl]-1H-indol-5-yl]-5-chloro-3-methylbenzo[b]thiophene-2-sulfonamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-indolyl sulfonamide derivs. with 5-HT₆ receptor antagonist activity for treatment of CNS diseases)

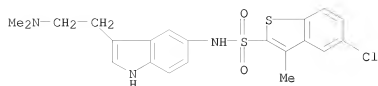
RN 528858-69-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



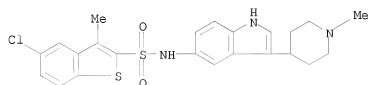
RN 528858-94-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



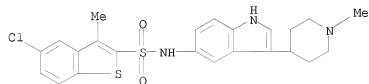
RN 528859-09-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]- (CA INDEX NAME)



RN 528859-12-3 CAPLUS

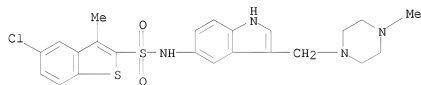
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

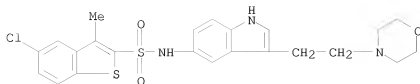
RN 528859-48-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(4-methyl-1-piperazinyl)methyl]-1H-indol-5-yl]- (CA INDEX NAME)



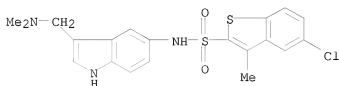
RN 528859-75-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(4-morpholinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



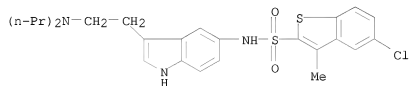
RN 528859-84-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(dimethylamino)methyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



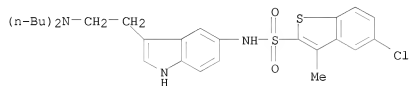
RN 528859-90-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dipropylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



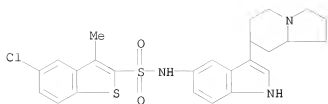
RN 528859-93-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(diethylamino)ethyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



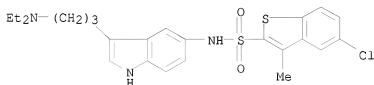
RN 528860-08-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(octahydro-7-indoliziny)-1H-indol-5-yl]- (CA INDEX NAME)



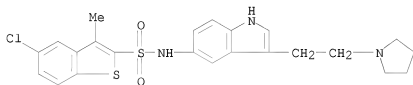
RN 528860-23-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(diethylamino)propyl]-1H-indol-5-yl]-3-methyl- (CA INDEX NAME)



RN 528860-26-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[2-(1-pyrrolidinyl)ethyl]-1H-indol-5-yl]- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 105 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:394851 CAPLUS

DN 138:385174

TI Preparation of aryl-amidine derivatives as anticoagulants and thrombosis agents

IN Satoh, Takashi; Okamoto, Yasushi; Asano, Osamu; Watanabe, Nobuhisa; Nagakura, Tadashi; Saeki, Takao; Inoue, Atsushi; Sakurai, Masahiro

PA Eisai Co., Ltd., Japan

SO Eur. Pat. Appl., 54 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1312602	A1	20030521	EP 2002-25580	20021115
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			JP 2001-350637	A 20011115
	JP 2003212837	A	20030730	JP 2002-307358	20021022

US 20030181766	A1	20030925	JP 2001-350637	A	20011115
US 6916837	B2	20050712	US 2002-294198		20021114
			JP 2001-350637	A	20011115
			JP 2002-307358	A	20021022

OS MARPAT 138:385174

AB Title compds. I [X = alkyl, halo, NH₂, etc.; Y = Ar₂-CO₂R₅; Ar₂ = aryl, (un)substituted 5-14 membered heterocycle; R₅ = H, alkyl; R₃ = H, OH, acyl, alkoxy-carbonyl; Ar₁ = 2,6-naphthylene, 1,4-phenylene, etc.] are prepared as anticoagulants. For instance, tert-Bu 2-(6-cyano-2-naphthoxy)-5-nitrobenzoate (preparation given) was reduced (EtOHaq, Fe, NH₄Cl), reacted with MeCl (pyridine), H₂NOH•HCl (EtOH, K₂CO₃, 60°, 12 h), Ac₂O (HOAc, 15 min), reduced with H₂/Pd-C (6 h) and finally deprotected (CH₂Cl₂, TFA) to give II as the trifluoroacetate. Selected invention compds. have IC₅₀ = 1.43 - 0.004 μM for blood clotting factor VIIa.

IT 526219-36-3P, 2'-(6-Amidino-2-naphthoxy)-5'-[[[5-chloro-3-methylbenzo[b]thiophene-2-yl]sulfonyl]amino]-1,1'-biphenyl-2-carboxylic acid trifluoroacetate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl-amidine derivs. as blood clotting factor VIIa inhibitors used for anticoagulants and thrombosis agents)

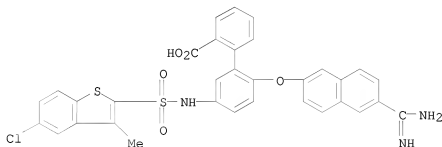
RN 526219-36-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[[[6-(aminoiminomethyl)-2-naphthalenyl]oxy]-5'-[[[5-chloro-3-methylbenzo[b]thien-2-yl]sulfonyl]amino]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 526219-35-2

CMF C33 H24 Cl N3 O5 S2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 106 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2003:376640 CAPLUS
DN 138:379235
TI Use of sulfonamide derivatives in the treatment of obesity or for the
reduction of food intake
IN Caldirola, Patrizia
PA Biovitrum AB, Swed.
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003039547	A1	20030515	WO 2002-SE2019	20021106
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				SE 2001-3767	A 20011109
				US 2002-356890P	P 20020213
AU	2002347723	A1	20030519	AU 2002-347723	20021106
				SE 2001-3767	A 20011109
				US 2002-356890P	P 20020213
				WO 2002-SE2019	W 20021106
EP	1450806	A1	20040901	EP 2002-783922	20021106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
				SE 2001-3767	A 20011109
				US 2002-356890P	P 20020213
				WO 2002-SE2019	W 20021106
JP	2005511594	T	20050428	JP 2003-541838	20021106
				SE 2001-3767	A 20011109
				US 2002-356890P	P 20020213
				WO 2002-SE2019	W 20021106
US	20030166663	A1	20030904	US 2002-290915	20021108
				SE 2001-3767	A 20011109
				US 2002-356890P	P 20020213

OS MARPAT 138:379235

AB A method for the treatment or prophylaxis of obesity or for the reduction of food intake is described which comprises administering to a patient in need of such treatment a therapeutically effective amount of a sulfonamide

compound [e.g., 4-tert-butyl-N-(4-piperazin-1-ylquinolin-6-yl)benzenesulfonamide].

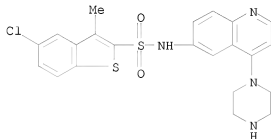
IT 389637-13-2

RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of sulfonamide derivs. in the treatment of obesity or for the reduction of food intake)

RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 107 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:300646 CAPLUS

DN 138:304286

TI Preparation of 4-imidazole derivatives of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as α 1 adrenoceptor agonists

IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.; Searle, Xenia; Yang, Fan

PA USA

SO U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. 6,503,935.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20030073850	A1	20030417	US 2000-506750	20000217
				US 1998-130799	B2 19980807
				US 1999-364901	A2 19990729
	US 6503935	B1	20030107	US 1999-364901	19990729
				US 1998-130799	B2 19980807
	CA 2399147	A1	20010823	CA 2001-2399147	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				US 2000-506750	A 20000217
EP	1259491	A1	20021127	EP 2001-908800	20010201
				R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,	

IE, FI, CY, TR

			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
JP 2003523333	T	20030805	JP 2001-560187		20010201
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201
MX 2002PA08001	A	20030128	MX 2002-PA8001		20020816
			US 2000-506750	A	20000217
			WO 2001-US3466	W	20010201

PATENT FAMILY INFORMATION:

FAN 2000:117031

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000007997	A1	20000217	WO 1999-US17739	19990806
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
US 6503935		B1	20030107	US 1999-364901	19990729
				US 1998-130799	B2 19980807
CA 2338594		A1	20000217	CA 1999-2338594	19990806
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
AU 9953386		A	20000228	AU 1999-53386	19990806
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
EP 1102754		A1	20010530	EP 1999-939019	19990806
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
JP 2002522423		T	20020723	JP 2000-563631	19990806
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
MX 2001PA01412		A	20000821	MX 2001-PA1412	20010207
				US 1998-130799	A 19980807
				WO 1999-US17739	W 19990806

FAN 2001:617982

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
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				US 2000-506750	A 20000217
US 20030073850		A1	20030417	US 2000-506750	20000217
				US 1998-130799	B2 19980807
				US 1999-364901	A2 19990729
CA 2399147		A1	20010823	CA 2001-2399147	20010201

				US 2000-506750	A	20000217
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EP 1259491	A1	20021127		EP 2001-908800		20010201
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
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				WO 2001-US3466	W	20010201
MX 2002PA08001	A	20030128		MX 2002-PA8001		20020816
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				WO 2001-US3466	W	20010201
FAN	2003:17797					
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 6503935	B1	20030107	US 1999-364901		19990729
	CA 2338594	A1	20000217	US 1998-130799	B2	19980807
				CA 1999-2338594		19990806
				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
WO 200007997	A1	20000217		WO 1999-US17739		19990806
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW					
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				US 1998-130799	A	19980807
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				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
TW 517050	B	20030111		TW 1999-88113524		19990914
				US 1998-130799	A	19980807
US 20030073850	A1	20030417		US 2000-506750		20000217
				US 1998-130799	B2	19980807
				US 1999-364901	A2	19990729
OS	MARPAT 138:304286					
AB	The title compds. (I) [wherein R1 = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6 membered (un)substituted heterocycle; or R7 and R8 together = :CR12R13; R8 = absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl,					

cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10 = (aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl), cycloalkyloxy, haloalkyl, or (un)substituted amine, azetidyl, piperazinyl, piperidinyl, pyrrolidinyl, morpholinyl, etc.; R12 and R13 = independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12 and R13 together with the C to which they are attached form a 3-7 membered carbocycle; R14 = H or alkyl] were prepared as α 1A adrenoceptor agonists for the treatment of urinary incontinence or retrograde ejaculation. For example, 4-iodo-1-trityl-1H-imidazole was treated sequentially with EtMgBr, 5-nitrotetralone, and NH4Cl in CH2Cl2 to give 4-(5-nitro-3,4-dihydro-1-naphthalenyl)-1H-imidazole. N-BOC protection, reduction using Pd/C in AcOEt, treatment with EtSO2Cl in the presence of TFA, and conversion to the salt afforded II•maleate. In radioligand binding assays, II•maleate showed good selectivity for binding to the α 1A adrenoceptor subtype vs. the α 1B and α 1D subtypes with Ki values of 176 nM, 4620 nM and 1590 nM, resp. In addition, II•maleate was efficacious in constricting the urethra with an IUP ED5 (the mean dose causing a maximum increase in intraurethral pressure of 5 mm Hg) of 10.7 nmol/kg in anesthetized dogs.

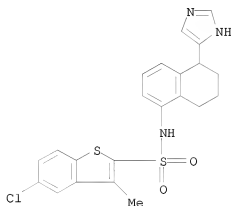
IT 258527-24-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as α 1A adrenoceptor agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



L6 ANSWER 108 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:282556 CAPLUS

DN 138:304161

TI Preparation of 2-(aminoalkyl)chromans as 5-hydroxytryptamine-6 ligands for treatment of CNS disorders

IN Greenblatt, Lynne Padilla; Kelly, Michael Gerard

PA Wyeth, John, and Brother Ltd., USA

SO PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003029238	A1	20030410	WO 2002-US30955	20020930
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	CA 2461381	A1	20030410	US 2001-326957P	P 20011004
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	AU 2002334722	A1	20030414	WO 2002-US30955	W 20020930
				AU 2002-334722	20020930
				US 2001-326957P	P 20011004
				WO 2002-US30955	W 20020930
	EP 1432696	A1	20040630	EP 2002-800383	20020930
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				US 2001-326957P	P 20011004
				WO 2002-US30955	W 20020930
	BR 2002013094	A	20041013	BR 2002-13094	20020930
				US 2001-326957P	P 20011004
				WO 2002-US30955	W 20020930
	CN 1561338	A	20050105	CN 2002-819334	20020930
				US 2001-326957P	P 20011004
	JP 2005505586	T	20050224	JP 2003-532487	20020930
				US 2001-326957P	P 20011004
				WO 2002-US30955	W 20020930
	US 20030158175	A1	20030821	US 2002-263890	20021002
	US 6706757	B2	20040316		
				US 2001-326957P	P 20011004
	MX 2004PA03087	A	20040906	MX 2004-PA3087	20040401
				US 2001-326957P	P 20011004
				WO 2002-US30955	W 20020930
OS	MARPAT 138:304161				
AB	Title compds. I [wherein Y = SO ₂ NR ₉ R ₁₀ or NR ₁₁ ZR ₁₂ ; Z = SO ₂ , CONH, or CSNH; R = halo, CN, OR13, CO ₂ R ₁₄ , CONR ₁₅ R ₁₆ , SO ₂ NR ₁₇ , or (un)substituted alkyl, alkenyl, alkynyl, cyclo(hetero)aryl, Ph, or heteroaryl; R ₁ , R ₂ , R ₅ , R ₆ , R ₇ , R ₈ , and R ₁₁ = independently H or (un)substituted alkyl; R ₃ and R ₄ = independently H or (un)substituted alkyl or (hetero)cycloalkyl; or NR ₃ R ₄ = (un)substituted heterocyclyl; m = 0-3; n = 1-4; x = 0-2; R ₉ and R ₁₀ = independently H or (un)substituted alkyl or (hetero)aryl; R ₁₂ and R ₁₇ = independently (un)substituted alkyl or (hetero)aryl; R ₁₃ = H, CO ₂ R ₁₈ , or (un)substituted alkyl, alkenyl, alkynyl, or (hetero)aryl; R ₁₄ and R ₁₈ = independently H or (un)substituted alkyl, alkenyl, alkynyl, cyclo(hetero)alkyl, or (hetero)aryl; R ₁₅ and R ₁₆ = independently H or (un)substituted alkyl; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as 5-hydroxytryptamine-6 (5-HT ₆) ligands. For example, cycloaddn. of N-(4-acetyl-3-hydroxyphenyl)acetamide with di-Et oxalate in the presence of NaOEt in EtOH provided Et 7-amino-4-oxo-4H-chromene-2-carboxylate (61%). Hydrogenation of the chroman (89%) with Pd/C, followed by reduction of the ester using LiBH ₄ gave 7-amino-2-(hydroxymethyl)chroman (90%). Addition of PhSO ₂ Cl in pyridine				

afforded the N,O-disubstituted derivative (92%). Reaction with 3-amino-1-propanol in pyridine and conversion to the salt provided II•hemifumarate. The latter exhibited binding to the 5-HT6 receptor with Ki of 5 nM in cultured HeLa cells expressing human cloned 5-HT6 receptors. Thus, I are useful for the treatment of CNS disorders, such as motor disorder, anxiety, cognitive disorder, schizophrenia, depression, Alzheimer's disease, Parkinson's disease, and attention deficit disorder (no data).

IT 507277-03-4P, 5-Chloro-3-methyl-N-[2-[[[(1R)-1-phenylethyl]amino]methyl]-3,4-dihydro-2H-chromen-7-yl]-1-benzothiophene-2-sulfonamide

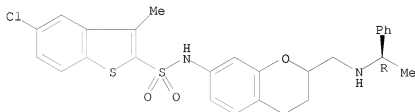
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(5-HT6 ligand; preparation of (aminoalkyl)chroman 5-HT6 ligands for treatment of CNS disorders)

RN 507277-03-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3,4-dihydro-2-[[[(1R)-1-phenylethyl]amino]methyl]-2H-1-benzopyran-7-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 109 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:221693 CAPLUS

DN 138:238197

TI Preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases

IN Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng, Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka; Miyazaki, Yasushi; Nakano, Masato; Rocher, Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva, Domingos J.; Tang, Jun

PA Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation

SO PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022852	A2	20030320	WO 2002-US28650	20020910
	WO 2003022852	A3	20031127		
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UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2001-318766P P 20010911
AU 2002333524 A1 20030324 AU 2002-333524 20020910
US 2001-318766P P 20010911
WO 2002-US28650 W 20020910
EP 1425284 A2 20040609 EP 2002-798181 20020910
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US 2001-318766P P 20010911
WO 2002-US28650 W 20020910
JP 2005508904 T 20050407 JP 2003-526926 20020910
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WO 2002-US28650 W 20020910
US 20050004142 A1 20050106 US 2004-489052 20040309
US 7427623 B2 20080923
US 2001-318766P P 20010911
WO 2002-US28650 W 20020910

OS MARPAT 138:238197
AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobulin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by .apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[[2-fluoro-5-(trifluoromethyl)phenyl]aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC50 = 0.0018 μ M in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with \geq 1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, -C(O)R4; D is H, halo, C1-C6 alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with \geq 1 R3, heterocyclyl, -RR3, -C(O)OR4, -C(O)NR5R6, or -C(O)R4. R is C1-C6 alkylene, C3-C7 cycloalkylene, C1-C6 alkenylene, or C1-C6 alkynylene; R1 is H, C1-C6 alkyl, C1-C6 alkoxy, -SR4, -S(O)2R4, -NR7R7, -NR'N R''R''', -N(H)RR3, -C(O)OR7, or -C(O)NR7R7. R2 is H, -OH, -NR7R7 or -NH; R3 is halo, C1-C6 alkyl, C1-C6 haloalkyl, C1-C6 alkoxy, C3-C7 cycloalkoxy, C1-C6 haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R4, -N(R8)HC(O)R4, -NHC(S)R4, -NR5R6, -NRNR5R6, -SR4, -S(O)2R4, -RC(O)OR4, -C(O)OR4, -C(O)R4, -C(O)NR5R6, -NHS(O)2R4, -N(S(O)2R4)S(O)2R4, -S(O)2NR5R6, or -NHC(:NH)R4. R4 is H, C1-C6 alkyl, aryl, heteroaryl, heterocyclyl, -RR3, -NR''R''', or -NR'NR''R'''; R5 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(O)OR'', -R'NHC(O)OR'', -R'NHC(O)NR''R''', or -R'C(O)OR''. R6 is H, C1-C6 alkyl, C3-C7 cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, heteroaryl, -C(O)OR'', or -R'C(O)NR''R'''; R7 is H, C1-C6 alkyl, aryl, or -C(O)OR'''; R8 is C1-C3 alkyl; R' is C1-C3 alkylene; R'' is heteroalkyl or NRR''R'''; R''' is H, C1-C6 alkyl, aryl, aralkyl, heteroaryl, or C3-C7 cycloalkyl; R'''' is H, C1-C6 alkyl, aryl, heteroaryl, or C3-C7 cycloalkyl. Although the methods of preparation are not claimed, several example preps. of I are included and characterization data is given for .apprx.480 examples of I.

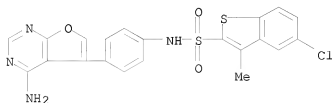
IT 501697-48-9P, 4-Amino-5-[4-[(5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl)amino]phenyl]furo[2,3-d]pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)

RN 501697-48-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-(4-aminofuro[2,3-d]pyrimidin-5-yl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



L6 ANSWER 110 OF 152 CAPLUS COPYRIGHT 2008 ACS ON STN

AN 2003:17797 CAPLUS

DN 138:73257

TI Preparation of imidazoles and related compounds as α 1A agonists

IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F., Jr.; Holladay, Mark W.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.

PA Abbott Laboratories, USA

SO U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 130,799, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
WO	2000007997	A1	20000217	WO 1999-US17739	19990806
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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
EP	1102754	A1	20010530	EP 1999-939019	19990806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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				US 1999-364901	A 19990729

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			JP 2000-563631	19990806
			US 1998-130799	A 19980807
			US 1999-364901	A 19990729
			WO 1999-US17739	W 19990806
TW 517050	B	20030111	TW 1999-88113524	19990914
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US 20030073850	A1	20030417	US 2000-506750	20000217
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PATENT FAMILY INFORMATION:

FAN 2000:117031

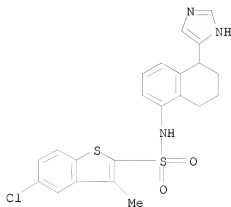
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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				US 1999-364901	A 19990729
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			US 1998-130799	B2 19980807	
CA 2338594	A1	20000217	CA 1999-2338594	19990806	
			US 1998-130799	A 19980807	
			US 1999-364901	A 19990729	
AU 9953386	A	20000228	WO 1999-US17739	W 19990806	
			AU 1999-53386	19990806	
			US 1998-130799	A 19980807	
			US 1999-364901	A 19990729	
			WO 1999-US17739	W 19990806	
EP 1102754	A1	20010530	EP 1999-939019	19990806	
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			WO 1999-US17739	W 19990806	
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			US 1999-364901	A 19990729	
			WO 1999-US17739	W 19990806	
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			US 1998-130799	A 19980807	
			WO 1999-US17739	W 19990806	

FAN 2001:617982

PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				US 2000-506750	A 20000217
US 20030073850	A1	20030417	US 2000-506750	20000217	
			US 1998-130799	B2 19980807	
			US 1999-364901	A2 19990729	

CA	2399147	A1	20010823	CA 2001-2399147	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
EP	1259491	A1	20021127	EP 2001-908800	20010201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
JP	2003523333	T	20030805	JP 2001-560187	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
MX	2002PA08001	A	20030128	MX 2002-PA8001	20020816
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
FAN	2003:300646				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20030073850	A1	20030417	US 2000-506750	20000217
				US 1998-130799	B2 19980807
				US 1999-364901	A2 19990729
US	6503935	B1	20030107	US 1999-364901	19990729
				US 1998-130799	B2 19980807
CA	2399147	A1	20010823	CA 2001-2399147	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
WO	2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				US 2000-506750	A 20000217
EP	1259491	A1	20021127	EP 2001-908800	20010201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
JP	2003523333	T	20030805	JP 2001-560187	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
MX	2002PA08001	A	20030128	MX 2002-PA8001	20020816
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
OS	MARPAT 138:73257				
AB	The title compds. [I; R1 = SO2R9, COR9 (R9 = alkenyl, alkyl, alkynyl, etc.); R2 = H, alkenyl, alkoxy, etc.; R3 = H, alkenyloxy, alkyl, etc.; R4 = H, alkyl, alkoxy, haloalkyl, etc.; R3 and R4 together with the carbon atoms to which they are attached form a 5-7 membered carbocyclic ring, 5-6 membered ring containing 1 heteroatom selected from O, NR11, SOn (R11 = H, alkenyl, alkyl, etc.; n = 0-2); R5 = imidazolyl, pyrazolyl, oxazolyl, etc.; R6 = H, alkoxy, alkyl, etc.; R7 = H, alkenyl, alkyl, etc.; R8 = H, alkyl; R3 and R8 together with the carbon atom to which they are attached form a 3-6 membered carbocyclic ring, C:CR12R15 (R12, R15 = H, alkoxy, alkyl, etc.)], useful in treating diseases prevented by or ameliorated with α 1 agonists, were prepared E.g., a detailed multi-step synthesis of II.HCl, was given. Biol. data for compds. I were presented.				
IT	258527-24-1P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of imidazoles and related compds. as α 1 agonists)				

RN 258527-24-1 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 111 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2002:964319 CAPLUS
 DN 138:39302
 TI Preparation of substituted sulfonamides as 5-HT6 receptor modulators for
 the treatment of CNS disorders, obesity and type II diabetes
 IN Beierlein, Katarina; Bremberg, Ulf; Caldirola, Patrizia; Jenmalm Jensen,
 Annika; Johansson, Gary; Mott, Andrew; Tedenborg, Lars; Thor, Markus
 PA Biovitrum AB, Swed.
 SO PCT Int. Appl., 131 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100822	A1	20021219	WO 2002-SE1126	20020611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
			SE 2001-2048	A 20010611
			SE 2001-2386	A 20010703
			SE 2001-3437	A 20011016
CA 2445653	A1	20021219	CA 2002-2445653	20020611
			SE 2001-2048	A 20010611
			SE 2001-2386	A 20010703
			SE 2001-3437	A 20011016
			WO 2002-SE1126	W 20020611
AU 2002309435	A1	20021223	AU 2002-309435	20020611
AU 2002309435	B2	20080814		
			SE 2001-2048	A 20010611

			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
US 20030158202	A1	20030821	US 2002-167141		20020611
US 7144883	B2	20061205			
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
EP 1412325	A1	20040428	EP 2002-778916		20020611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
BR 2002010291	A	20040713	BR 2002-10291		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
CN 1522245	A	20040818	CN 2002-810377		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
ZA 2003008097	A	20041018	ZA 2003-8097		20020611
			SE 2001-2048	A	20010611
JP 2004536080	T	20041202	JP 2003-503591		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
CN 1800185	A	20060712	CN 2005-10138144		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			CN 2002-810377	A3	20020611
NZ 529032	A	20070427	NZ 2002-529032		20020611
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
MX 2003PA11083	A	20040708	MX 2003-PA11083		20031202
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
IN 2003CN01957	A	20060106	IN 2003-CN1957		20031209
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			WO 2002-SE1126	W	20020611
US 20070066598	A1	20070322	US 2006-509914		20060825
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703
			SE 2001-3437	A	20011016
			US 2002-167141	A3	20020611
US 20070066599	A1	20070322	US 2006-509989		20060825
			SE 2001-2048	A	20010611
			SE 2001-2386	A	20010703

			SE 2001-3437	A	20011016
			US 2002-167141	A3	20020611
US	20070066600	A1	20070322	US 2006-510324	20060825
				SE 2001-2048	A 20010611
				SE 2001-2386	A 20010703
				SE 2001-3437	A 20011016
				US 2002-167141	A3 20020611
IN	2007CN03778	A	20071221	IN 2007-CN3778	20070830
				SE 2001-2048	A 20010611
				WO 2002-SE1126	W 20020611
				IN 2003-CN1957	A3 20031209
KR	2008080172	A	20080902	KR 2008-716920	20080711
				SE 2001-2048	A 20010611
				SE 2001-2386	A 20010703
				SE 2001-3437	A 20011016
				WO 2002-SE1126	W 20020611
				KR 2003-716203	A3 20031211

OS MARPAT 138:39302

AB The title compds. [I; ring B = II or III (wherein D = 5-membered heterocyclyl of heteroaryl; with the proviso that when D contains O, D is heteroaryl); W = N, CH (not more than three groups W are N in both rings A and B together); P = NR2SO2R1, SO2NR1R2; P and R3 are bound to the same ring and are disposed in meta- or para-positions relative to each other; R1 = alkyl, alkoxyalkyl, aryl, etc.; R2 = H, alkyl, alkoxy, etc.; or R1 and R2 are linked to form (CH2)4O; one of R3 = (un)substituted piperazino, diazepino, 4-piperidinyl, etc.; X, Y = H, halo, alkyl, etc.], potentially useful for the prophylaxis and treatment of medical conditions relating to obesity, type II diabetes and/or disorders of the central nervous system, were prepared. E.g., a multi-step synthesis of IV.HCl, starting from 1-chloro-4-nitronaphthalene and tert-Bu 1-piperazinecarboxylate, was given. The compds. I have a selective affinity to 5-HT6 receptors with Ki values between 0.5 nM and 5 μ M.

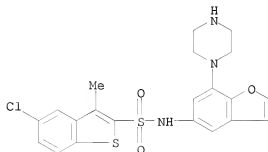
IT 478617-02-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as 5-HT6 receptor modulators for the treatment of CNS disorders, obesity and type II diabetes)

RN 478617-02-6 CAPLUS

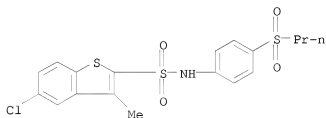
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[7-(1-piperazinyl)-5-benzofuranyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 112 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:925014 CAPLUS
DN 139:52462
TI Identification of a stable chymase inhibitor using a pharmacophore-Based
 database search
AU Koide, Yuuki; Tatsui, Akira; Hasegawa, Takeshi; Murakami, Akira; Satoh,
 Shoji; Yamada, Hideki; Kazayama, Shin-ichi; Takahashi, Atsuo
CS Drug Research Department, Tokyo Research Laboratories, TOA EIYO Ltd.,
 2-293-3 Amanuma, Saitama, 330-0834, Japan
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(1), 25-29
 CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB In general, serine protease chymase inhibitors readily decompose in plasma.
 We previously found that thiazolidine-2,4-dione and thiadiazole derivs.
 are also unstable. Using a pharmacophore-based database search, we
 identified a benzo[b]thiophen-2-sulfonamide derivative as a stable chymase
 inhibitor. Finding a lead compound with adequate activity and stability by
 a pharmacophore-based approach is more efficient than modifying an
 unstable compound to reduce its instability without simultaneously
 decreasing its inhibitory activity. Our pharmacophore model of chymase
 inhibitors suggests that the two hydrophobic interactions in the S1 and
 S1' regions and the two H-bonding interactions between them play important
 roles in chymase inhibitors.
IT 404964-12-1, MWP 00965
 RL: MSC (Miscellaneous); PAC (Pharmacological activity); PRP (Properties);
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (identification of stable chymase inhibitor using pharmacophore-based
 database search)
RN 404964-12-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-
 (propylsulfonyl)phenyl]- (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 113 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:868929 CAPLUS
DN 137:353045
TI Preparation of sulfonamides as antagonists of urotensin II
IN Dhanak, Dashyant; Gallagher, Timothy F.; Knight, Steven D.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002090353	A1	20021114	WO 2002-US14408	20020507
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2002256483	A1	20021118	US 2001-289306P	P 20010507
				US 2002-256483	20020507
				US 2001-289306P	P 20010507
				WO 2002-US14408	W 20020507
	EP 1385841	A1	20040204	EP 2002-725952	20020507
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				US 2001-289306P	P 20010507
				WO 2002-US14408	W 20020507
	JP 2004529170	T	20040924	JP 2002-587432	20020507
				US 2001-289306P	P 20010507
				WO 2002-US14408	W 20020507
	US 20040142948	A1	20040722	US 2003-477099	20031107
				WO 2002-US14408	W 20020507

OS MARPAT 137:353045

AB The title compds. [I; R1 = (un)substituted naphthyl, quinolinyl, benzothienyl, etc.; R2 = H, halo, CF3, etc.; R3, R4 = H, alkyl, CH2Ph; R9 = H, alkyl; X = O, S, CH2; n = 0-2], useful as antagonists of urotensin II, were prepared and formulated. E.g., a 6-step synthesis of (R)-II, starting from 2-chloro-5-nitroanisole, was given. Activity for the compds. I against h-U-II range from Ki = 10-10000 nM.

IT 474955-63-0P

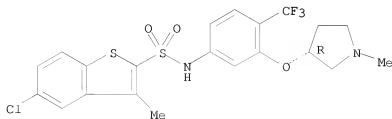
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as antagonists of urotensin II)

RN 474955-63-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-[(1R)-1-methyl-3-pyrrolidinyl]oxy]-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



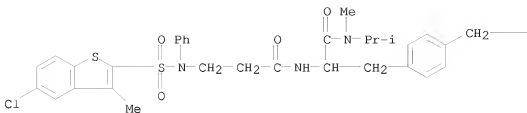
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 114 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2002:754370 CAPLUS
 DN 137:279466
 TI Preparation of N-(arylsulfonyl)- β -amino acids having a substituted
 aminomethyl group and their pharmaceutical compositions
 IN Ferrari, Bernard; Gougat, Jean; Muneaux, Yvette; Perreaut, Pierre; Sarran,
 Lionel
 PA Sanofi-Synthelabo, Fr.
 SO PCT Int. Appl., 195 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002076964	A1	20021003	WO 2002-FR1059	20020327
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	FR 2822827	A1	20021004	FR 2001-4315	20010328
	FR 2822877	B1	20030516	FR 2001-4315	20010328
	CA 2436225	A1	20021003	CA 2002-2436225	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
	AU 2002255077	A1	20021008	AU 2002-255077	20020327
	AU 2002255077	B2	20070816		
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
EE	200300417	A	20031215	EE 2003-417	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
EP	1373233	A1	20040102	EP 2002-724383	20020327
EP	1373233	B1	20070905		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				FR 2001-4315	A 20010328
BR	2002008489	A	20040330	WO 2002-FR1059	W 20020327
				BR 2002-8489	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
ZA	2003006037	A	20040805	ZA 2003-6037	20020327
				FR 2001-4315	A 20010328
JP	2004525936	T	20040826	JP 2002-576224	20020327
				FR 2001-4315	A 20010328
				WO 2002-FR1059	W 20020327
CN	1541211	A	20041027	CN 2002-807539	20020327
CN	1297546	C	20070131		
				FR 2001-4315	A 20010328
HU	2004001538	A2	20041129	HU 2004-1538	20020327
HU	2004001538	A3	20080528		

			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
TW 233923	B	20050611	TW 2002-91106017		20020327
			FR 2001-4315	A	20010328
NZ 527429	A	20050930	NZ 2002-527429		20020327
			FR 2001-4315	A	20010328
			WO 2002-FR1059	A	20020327
AT 372329	T	20070915	AT 2002-724383		20020327
			FR 2001-4315	A	20010328
ES 2291464	T3	20080301	ES 2002-724383		20020327
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US 20040116353	A1	20040617	US 2003-472674		20030918
US 7157454	B2	20070102			
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
NO 2003004267	A	20031128	NO 2003-4267		20030924
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
BG 108201	A	20040930	BG 2003-108201		20030925
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
MX 2003PA08756	A	20040218	MX 2003-PA8756		20030926
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
HK 1059931	A1	20080627	HK 2004-102735		20040419
			FR 2001-4315	A	20010328
			WO 2002-FR1059	W	20020327
OS	MARPAT 137:279466				
AB	<p>The invention relates to compds. R1SO2NR2CHR3CH2CONHCHR4CH2C6H4R5-p [R1 = phenylvinyl, tetrahydronaphthyl, (un)substituted Ph, naphthyl, or certain heterocyclic radicals; R2 = H, alkyl and R3 = (un)substituted Ph or heterocyclyl or R2 = (un)substituted Ph or heterocyclyl and R3 = H; R4 = (thio)carbamoyl or acyl groups, (un)substituted Ph or heterocyclyl; R5 = CH2NR11R12 or CH2N(O)NR11R12, where R11, R12 = H, (cyclo)alkyl, hydroxyalkyl, etc.] which have an affinity for bradykinin receptors, with a selectivity for B1 receptors, and can be used to prepare medicaments used to treat or prevent persistent or chronic inflammatory diseases and inflammation pathologies. Thus, N-[1-(4-aminomethylbenzyl)-2-oxo-2-pyrrolidinoethyl]-3-(2-naphthalenylsulfonylamino)-3-phenylpropionamide (isolated as HCl salt) was prepared by coupling of 2-amino-3-(4-cyanophenyl)-1-pyrrolidino-1-propanone trifluoroacetate with -3-(2-naphthalenylsulfonylamino)-3-phenylpropionic acid, followed by reduction of the cyano group by hydrogenation over Raney Ni. Synthesis of starting compds. is described.</p>				
IT	<p>464932-37-4P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-(arylsulfonyl)-β-amino acids as pharmaceuticals)</p>				
RN	464932-37-4 CAPIUS				
CN	<p>Phenylalaninamide, N-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-N-phenyl-β-alanyl-4-[(diethylamino)methyl]-N-methyl-N-(1-methylethyl)-(9CI) (CA INDEX NAME)</p>				

—NEt₂

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 115 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:521465 CAPLUS
DN 137:98994
TI Pharmaceuticals containing a combination of norepinephrine reuptake
inhibitors and neuroleptics
IN Wong, Erik Ho Fong; Gallen, Christopher C.; Svensson, Torgny
PA Pharmacia & Upjohn Company, USA; Pharmacia AB
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002053140	A2	20020711	WO 2001-US45871	20011227
	WO 2002053140	A3	20021024		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2431041	A1	20020711	US 2001-259286P	P 20010102
				CA 2001-2431041	20011227
				US 2001-259286P	P 20010102
				WO 2001-US45871	W 20011227
AU	2002232470	A1	20020716	US 2001-259286P	P 20010102
AU	2002232470	B2	20051103	WO 2001-259286P	W 20011227
				EP 2001-991997	20011227
EP	1353675	A2	20031022	US 2001-259286P	P 20010102
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				US 2001-259286P	P 20010102

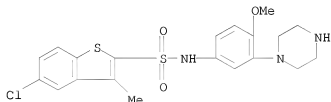
JP 2004517112	T	20040610	WO 2001-US45871	W	20011227
			JP 2002-554091		20011227
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NZ 526801	A	20050729	WO 2001-US45871	W	20011227
			NZ 2001-526801		20011227
US 20020156067	A1	20021024	US 2001-259286P	P	20010102
US 6964962	B2	20051115	WO 2001-US45871	W	20011227
			US 2001-35100		20011228
MX 2003PA06003	A	20050908	US 2001-259286P	P	20010102
			MX 2003-PA6003		20030702
			US 2001-259286P	P	20010102
			WO 2001-US45871	W	20011227
US 20060003992	A1	20060105	US 2005-219901		20050906
			US 2001-259286P	P	20010102
			US 2001-35100	A3	20011228

AB A composition comprising: (a) a pharmaceutically effective amount of one or
more norepinephrine reuptake inhibitors or a salt; and (b) 1 or more neuroleptics is provided. The composition is useful in treating disorders or diseases of the central nervous system, and particularly useful in treating schizophrenia. A pharmaceutical composition was prepared by combining reboxetine with a neuroleptic in an acceptable carrier. The composition contains 0.01-10 mg reboxetine and 25-300 mg clozapine.

IT 209481-20-9, SB-271046
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals containing combination of norepinephrine reuptake inhibitors and neuroleptics)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 116 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:486185 CAPLUS
DN 137:63256
TI Preparation of heterocyclyl benzamides as inhibitors of factor Xa and factor VIIa.
IN Nazare, Marc; Will, David William; Peyman, Anuschirwan; Matter, Hans; Zoller, Gerhard; Gerlach, Uwe
PA Aventis Pharma Deutschland GmbH, Germany
SO Eur. Pat. Appl., 101 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	EP 1217000	A1	20020626	EP 2000-128477	20001223
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	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA	2432572	A1	20020704	CA 2001-2432572	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
WO	2002051831	A1	20020704	WO 2001-EP14842	20011215
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,				
	HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,				
	LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,				
	PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				
	UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
	CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,				
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				EP 2000-128477	A 20001223
AU	2002219193	A1	20020708	AU 2002-219193	20011215
AU	2002219193	B2	20060608		
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
EP	1349847	A1	20031008	EP 2001-272016	20011215
EP	1349847	B1	20050420		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				EP 2000-128477	A 20001223
EE	200300306	A	20031015	WO 2001-EP14842	W 20011215
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				WO 2001-EP14842	W 20011215
BR	2001016473	A	20040113	BR 2001-16473	20011215
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				WO 2001-EP14842	W 20011215
JP	2004516320	T	20040603	JP 2002-552926	20011215
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				WO 2001-EP14842	W 20011215
HU	2004001053	A2	20040928	HU 2004-1053	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
NZ	526615	A	20041126	NZ 2001-526615	20011215
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				WO 2001-EP14842	W 20011215
AT	293617	T	20050515	AT 2001-272016	20011215
				EP 2000-128477	A 20001223
				WO 2001-EP14842	W 20011215
ES	2240339	T3	20051016	ES 2001-272016	20011215
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US	20020198195	A1	20021226	US 2001-23933	20011221
US	6953857	B2	20051011		
				EP 2000-128477	A 20001223
ZA	2003004094	A	20040423	ZA 2003-4094	20030527
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MX	2003PA05398	A	20030925	MX 2003-PA5398	20030616
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				WO 2001-EP14842	W 20011215
IN	2003CN00957	A	20050422	IN 2003-CN957	20030617
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				WO 2001-EP14842	W 20011215
NO	2003002820	A	20030821	NO 2003-2820	20030619

			EP 2000-128477	A	20001223
			WO 2001-EP14842	W	20011215
			US 2005-39107		20050119
US 20050165058	A1	20050728			
US 7067665	B2	20060627			

EP 2000-128477	A	20001223
US 2001-23933	A3	20011221

OS MARPAT 137:63256

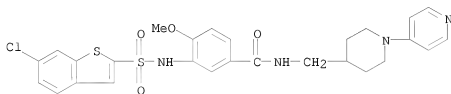
AB RQXQ1WUVGM [R = (substituted) aryl, heteroaryl; Q, Q1 = bond, CO, O, S, imino, carbonylimino, SO, SO2, (substituted) alkylene, etc.; X = bond, heteroaryl, (substituted) alkylene, heteroalkylene; W = (substituted) aryl, heteroaryl, mono-, polycyclic group; U, G = bond, (CH2)m, (CH2)mO(CH2)n, (CH2)mCO(CH2)n, (CH2)mS(CH2)n, etc.; m, n = 0-6; V = bond, (substituted) alkylene, aryl, heteroaryl, cyclic group; M = H, alkyl, (substituted) alkylaminocarbonyl, aryl, heteroaryl, cyclic group; with provisos], were prepared. Thus, 3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxybenzoic acid, N-NEM, 1-(pyridin-4-ylmethyl)piperazine, and TOTU were stirred in DMF to give 3-[2-(2,4-dichlorophenyl)ethoxy]-4-methoxyphenyl[(4-pyridin-4-ylmethyl)piperazin-1-yl]methanone. The latter inhibited factor Xa with Ki = 0.600 μ M.

IT 438570-96-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocycl benzamides as inhibitors of factor Xa and factor VIIa)

RN 438570-96-8 CAPLUS

CN Benzamide, 3-[[6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-4-methoxy-N-[[1-(4-pyridinyl)-4-piperidinyl)methyl]- (CA INDEX NAME)

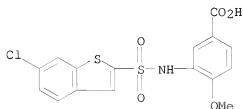


IT 438571-24-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocycl benzamides as inhibitors of factor Xa and factor VIIa)

RN 438571-24-5 CAPLUS

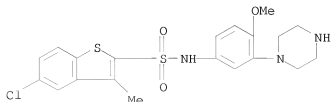
CN Benzoic acid, 3-[[6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-4-methoxy- (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 117 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2002:479060 CAPLUS
 DN 138:50
 TI Memories are made of this (perhaps): a review of serotonin 5-HT₆ receptor ligands and their biological functions
 AU Russell, Michael G. N.; Dias, Rebecca
 CS Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Essex, CM20 2QR, UK
 SO Current Topics in Medicinal Chemistry (Hilversum, Netherlands) (2002), 2(6), 643-654
 CODEN: CTMCCL; ISSN: 1568-0266
 PB Bentham Science Publishers Ltd.
 DT Journal; General Review
 LA English
 AB A review. The possible role of 5-HT₆ receptor antagonists in the treatment of learning and memory disorders has stimulated significant recent work in this area. The first selective antagonists of this receptor were identified by Roche (Ro 04-6790 and Ro 63-0563) and SmithKline Beecham (SB-271046), although they only had poor to modest brain penetration, resp. Recently, several structurally different series of selective antagonists have been reported. Glennon's group and Merck Sharp & Dohme have discovered N,N-dimethyl-1-benzenesulfonyl-5-methoxytryptamine as a reasonably selective, high affinity antagonist, while Allelix went on to find that a 6-bicyclopiperazinyl-1-naphthylsulfonylindole had improved affinity and selectivity. Roche have reported subsequently on more lipophilic analogs of Ro 04-6790 that appear to penetrate the brain better. Reversing the sulfonamide linkage of SB-271046 led to a new series of compds., producing SB-357134, which also had increased CNS penetration. A series of selective partial agonists containing a 4-piperazinylquinoline system has also been described. Recent studies in the Morris water maze with both Ro 04-6790 and SB-271046 have concluded that 5-HT₆ receptor antagonists improved retention performance, although these results are open to interpretation. Other behavioral studies have also implicated a role for 5-HT₆ in cognition enhancement and this has been supported by in vivo microdialysis studies that showed SB-271046 produced an increase in extracellular glutamate levels in the frontal cortex. However, we have been unable to replicate these effects with either SB-271046 or Ro 04-6790, and clearly further work is required before we can be certain of the functional role of this receptor.
 IT 209481-20-9, SB-271046
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (serotonin 5-HT₆ receptor ligands and their biol. functions)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

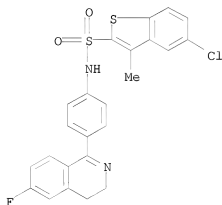


RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

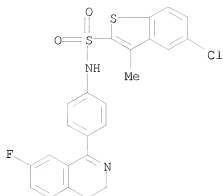
L6 ANSWER 118 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:391688 CAPLUS
DN 136:386032
TI Preparation of (dihydro)isoquinolines as phosphodiesterase inhibitors
IN Bundschuh, Daniela; Kley, Hans-Peter; Steinhilber, Wolfram; Grundler, Gerhard; Gutterer, Beate; Hatzelmann, Armin; Stadlwieser, Josef; Sterk, Geert Jan; Weinbrenner, Steffen
PA BYK Gulden Lomberg Chemische Fabrik GmbH, Germany
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002040450	A1	20020523	WO 2001-EP12918	20011108
	W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
	CA 2428527	A1	20020523	CA 2001-2428527	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	AU 2002029541	A	20020527	AU 2002-29541	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	EP 1337515	A1	20030827	EP 2001-990399	20011108
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				EP 2000-124774	A 20001114
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				WO 2001-EP12918	W 20011108
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				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	JP 2004513938	T	20040513	JP 2002-542778	20011108
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				DE 2001-10103547	A 20010126
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				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	NZ 525147	A	20041029	NZ 2001-525147	20011108
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
	AU 2002229541	B2	20070118	AU 2002-229541	20011108
				EP 2000-124774	A 20001114

			DE 2001-10103547	A	20010126
			WO 2001-EP12918	W	20011108
IN	2003MN00334	A	20050211	IN 2003-MN334	20030324
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
ZA	2003002759	A	20040423	ZA 2003-2759	20030409
				EP 2000-124774	A 20001114
MX	2003PA04262	A	20030922	MX 2003-PA4262	20030514
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
US	20040044212	A1	20040304	US 2003-381461	20030821
US	6818651	B2	20041116		
				EP 2000-124774	A 20001114
				DE 2001-10103547	A 20010126
				WO 2001-EP12918	W 20011108
OS	MARPAT 136:386032				
AB	The title compds. [I; R1 = H and R2 = F, Cl, Br, CN, CF3, OPh; or R1 = H, F, Cl, Br, CF3, CN and R2 = H; R3 and R4 both denote hydrogen or together represent a bond; Ar = II-IV (wherein R5 = H, OH, NO2, NH2, etc.; R6 = alkyl, naphthalenyl, (un)substituted Ph, etc.)] which are novel effective PDE7 inhibitors, were prepared Thus, amidation of				
	1-(4-amino-3-methoxyphenyl)-7-chloro-3,4-dihydroisoquinoline with				
	4-trifluoromethoxybenzenesulfonyl chloride in the presence of Na2CO3 in				
IT	dioxane afforded V which showed -logIC50 of 7.49 mol/L against PDE7.				
	426837-82-3P	426838-01-9P	426838-29-1P		
	426838-46-2P	426838-69-9P	426839-03-4P		
	426839-24-9P	426839-45-4P	426839-66-9P		
	426839-82-9P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU				
	(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES				
	(Uses)				
	(preparation of (dihydro)isoquinolines as phosphodiesterase inhibitors)				
RN	426837-82-3	CAPLUS			
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(6-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)				

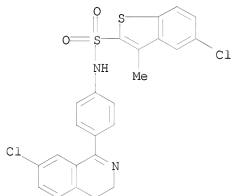


RN 426838-01-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(7-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



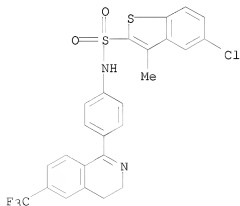
RN 426838-29-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(7-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



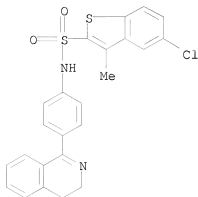
RN 426838-46-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[3,4-dihydro-6-(trifluoromethyl)-1-isoquinolinyl]phenyl]-3-methyl- (CA INDEX NAME)



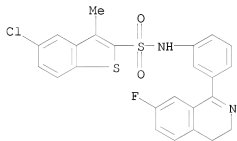
RN 426838-69-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



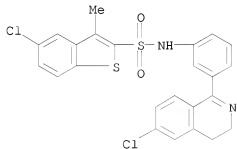
RN 426839-03-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(7-fluoro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



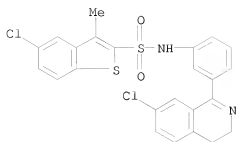
RN 426839-24-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(6-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



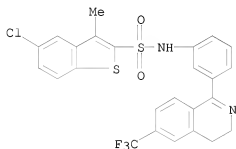
RN 426839-45-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(7-chloro-3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



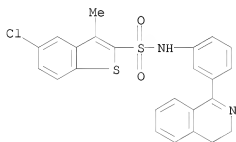
RN 426839-66-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(3,4-dihydro-6-(trifluoromethyl)-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 426839-82-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(3,4-dihydro-1-isoquinolinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 119 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

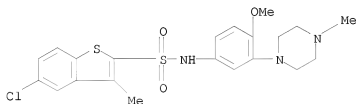
AN 2002:324921 CAPLUS

DN 137:247666

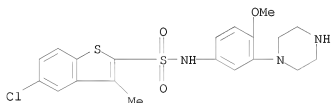
TI Bicyclic piperazinybenzenesulphonamides are potent and selective 5-HT6 receptor antagonists

AU Bromidge, Steven M.; Clarke, Stephen E.; King, Frank D.; Lovell, Peter J.; Newman, Helen; Riley, Graham; Routledge, Carol; Serafinowska, Halina T.; Smith, Douglas R.; Thomas, David R.

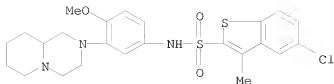
CS Department of Psychiatry, GlaxoSmithKline, Essex, Harlow, CM19 5AW, UK
 SO Bioorganic & Medicinal Chemistry Letters (2002), 12(10), 1357-1360
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 137:247666
 AB The synthesis of novel 3-(octahydropyrido[1,2-a]pyrazin-2-yl)- and 3-(hexahydropyrrolo[1,2-a]pyrazin-2-yl)phenyl-2-benzo[b]thiophene sulfonamide derivs. is described. The compds. show high affinity for the 5-HT₆ receptor, excellent selectivity against a range of other receptors, and good brain penetration.
 IT 209480-56-8 209481-20-9
 RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation of bicyclic piperazinybenzenesulfonamides as 5-HT₆ receptor antagonists)
 RN 209480-56-8 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



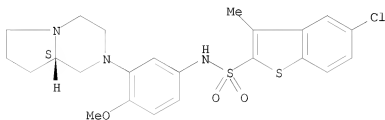
IT 239122-27-1P 239122-28-2P 239122-29-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of bicyclic piperazinybenzenesulfonamides as 5-HT₆ receptor antagonists)
 RN 239122-27-1 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)phenyl]-3-methyl- (CA INDEX NAME)



RN 239122-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

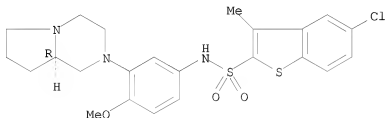
Absolute stereochemistry.



RN 239122-29-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 120 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:315827 CAPLUS

DN 137:41990

TI Selective enhancement of glutamatergic neurotransmission in the frontal cortex and dorsal hippocampus by antagonism of the 5-HT6 receptor

AU Dawson, L. A.; Nguyen, H. Q.; Li, P.

CS Neuroscience Research, Wyeth Ayerst, Princeton, NJ, USA

SO Monitoring Molecules in Neuroscience, Proceedings of the International Conference on In Vivo Methods, 9th, Dublin, Ireland, June 16-19, 2001 (2001), 318-319. Editor(s): O'Connor, William T. Publisher: University College Dublin, Dublin, Ire.

CODEN: 69CMPU; ISBN: 1-902277-47-3

DT Conference

LA English

AB The role of the 5-HT6 receptor in the in vivo modulation of multiple

neurotransmitters in those brain regions shown to have the highest receptor expression levels was studied to gain insight into the neurochem. mechanism responsible for the observed cognitive enhancement. A microdialysis probe guide cannula was implanted into either the striatum, frontal cortex, dorsal hippocampus, or nucleus accumbens. SB-271046 produced no change in basal extracellular levels of DA, NA, or 5-HT in the striatum, frontal cortex, dorsal hippocampus or nucleus accumbens. This compound also yielded no change in basal concns. of glutamate in the striatum and nucleus accumbens. SB-271046 produced considerable increases in extracellular glutamate levels in both frontal cortex and dorsal hippocampus with maximum values of 375.4 ± 82.3 and $217.8 \pm 34.8\%$ of preinjection levels, resp. The infusion of the voltage-dependent sodium channel blocker tetrodotoxin attenuated these effects but were unaffected by the muscarinic antagonist, atropine. The selective enhancement of excitatory neurotransmission by SB-271046, in those brain regions implicated in cognitive and memory function and provide mechanistic evidence in support of a possible therapeutic role for 5-HT₆ receptor antagonists in the treatment of cognitive and memory dysfunction was demonstrated.

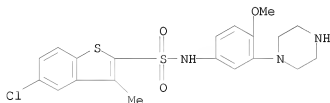
IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective enhancement of glutamatergic neurotransmission in frontal cortex and dorsal hippocampus by antagonism of 5-HT₆ receptor)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 121 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:275953 CAPLUS

DN 136:309851

TI Preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide.

IN Lardy, Claude; Nioche, Jean-Yves; Caputo, Lidia; Decerpit, Jacques; Ortholand, Jean-Yves; Festal, Didier; Guerrier, Daniel

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002028820	A1	20020411	WO 2001-EP10761	20010918
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,			

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

FR 2815030	A1	20020412	FR 2000-12749	A	20001005
CA 2424684	A1	20020411	FR 2000-12749		20001005
			CA 2001-2424684		20010918
			FR 2000-12749	A	20001005
AU 2001089891	A	20020415	WO 2001-EP10761	W	20010918
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			FR 2000-12749	A	20001005
BR 2001014252	A	20030701	WO 2001-EP10761	W	20010918
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			FR 2000-12749	A	20001005
EP 1322598	A1	20030702	WO 2001-EP10761	W	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			EP 2001-969732		20010918
			FR 2000-12749	A	20001005
HU 2003002771	A2	20031229	WO 2001-EP10761	W	20010918
			HU 2003-2771		20010918
			FR 2000-12749	A	20001005
JP 2004521866	T	20040722	WO 2001-EP10761	W	20010918
			JP 2002-532407		20010918
			FR 2000-12749	A	20001005
US 20040063783	A1	20040401	WO 2001-EP10761	W	20010918
			US 2003-398238		20030403
NO 2003001533	A	20030404	WO 2001-EP10761	W	20010918
			NO 2003-1533		20030404
			FR 2000-12749	A	20001005
MX 2003PA02999	A	20030714	WO 2001-EP10761	W	20010918
			MX 2003-PA2999		20030404
			FR 2000-12749	A	20001005
ZA 2003003369	A	20040730	WO 2001-EP10761	W	20010918
			ZA 2003-3369		20030430
			FR 2000-12749	A	20001005
IN 2003KN00563	A	20050121	IN 2003-KN563		20030502
			FR 2000-12749	A	20001005

OS MARPAT 136:309851

AB Title compds. [I; X, Ra = H, (unsatd.) aliphatic, AY; A = CO, SO2, CONRa, CONRaSO2; T = H, halo, NO2, cyano, (unsatd.) (halogenated) aliphatic optionally interrupted by O and/or S; Y = organic substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepared Thus, a mixture of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxycarbonyldiphenylamine, and Et3N was stirred in CH2Cl2 to give 100% 4-nicotinoylamino derivative which was N-protected with CF3CO2H to give 95.2% 4-methoxy-4'-nicotinoylamino-diphenylamine. The latter in HOAc was treated dropwise with aqueous NaNO2 to give 88% N-nitroso-4-methoxy-4'-nicotinoylamino-diphenylamine. Tested II inhibited oxidation of human low mol. weight lipoproteins by Cu2+ with IC50 = 1.7-13.4 µM.

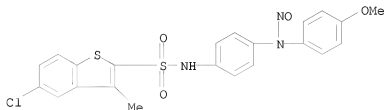
IT 409353-03-3P 409353-10-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide)

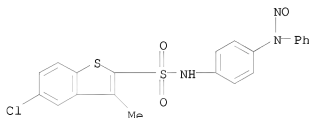
RN 409353-03-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(4-methoxyphenyl)nitrosoamino]phenyl]-3-methyl- (CA INDEX NAME)



RN 409353-10-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(nitrosophenylamino)phenyl]- (CA INDEX NAME)



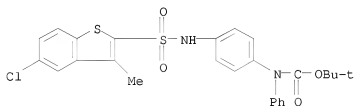
IT 409356-89-4P 409357-09-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide)

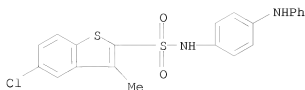
RN 409356-89-4 CAPLUS

CN Carbamic acid, [4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonylamino]phenyl]phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 409357-09-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(phenylamino)phenyl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 122 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:220571 CAPLUS
DN 136:263085
TI Preparation of N-phenylbenzothiophenesulfonamide derivatives as selective
chymase inhibitors
IN Satoh, Shoji; Tatsui, Akira; Hasegawa, Takeshi; Yamada, Hideki; Kazayama,
Shin-ichi; Morita, Takahiro; Masaki, Hidekazu; Takahashi, Atsuo
PA Toa Eiyo Ltd., Japan
SO PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022595	A1	20020321	WO 2001-JP8061	20010917
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
	AU 2001088053	A	20020326	AU 2001-88053	20010917
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
				WO 2001-JP8061	W 20010917
	CA 2422807	A1	20030318	CA 2001-2422807	20010917
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
				WO 2001-JP8061	W 20010917
	EP 1325920	A1	20030709	EP 2001-967708	20010917
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	JP 3847711	B2	20061122	JP 2002-526848	20010917
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420

US 20030229126	A1	20031211	WO 2001-JP8061	W	20010917
US 7071220	B2	20060704	US 2003-388378		20030313
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
			WO 2001-JP8061	A2	20010917
			JP 2002-72305	A	20020315
			JP 2002-72306	A	20020315
			JP 2002-72307	A	20020315
US 20060116408	A1	20060601	US 2006-329505		20060110
US 7399781	B2	20080715			
			JP 2000-282046	A	20000918
			JP 2001-122972	A	20010420
			WO 2001-JP8061	A2	20010917
			JP 2002-72305	A	20020315
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			JP 2002-72307	A	20020315
			US 2003-388378	A3	20030313
PATENT FAMILY INFORMATION:					
FAN	2003:750639				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2003267870	A	20030925	JP 2002-72305	20020315
	US 20030229126	A1	20031211	US 2003-388378	20030313
	US 7071220	B2	20060704		
				JP 2000-282046	A 20000918
				JP 2001-122972	A 20010420
				WO 2001-JP8061	A2 20010917
				JP 2002-72305	A 20020315
				JP 2002-72306	A 20020315
				JP 2002-72307	A 20020315
	US 20060116408	A1	20060601	US 2006-329505	20060110
	US 7399781	B2	20080715		
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				JP 2001-122972	A 20010420
				WO 2001-JP8061	A2 20010917
				JP 2002-72305	A 20020315
				JP 2002-72306	A 20020315
				JP 2002-72307	A 20020315
				US 2003-388378	A3 20030313
FAN	2003:757696				
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PI	WO 2003078419	A1	20030925	WO 2003-JP3023	20030313
	W: CA, CN, JP				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	CA 2479353	A1	20030925	JP 2002-72307	A 20020315
				CA 2003-2479353	20030313
				JP 2002-72307	A 20020315
				WO 2003-JP3023	W 20030313
	EP 1486494	A1	20041215	EP 2003-712691	20030313
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				JP 2002-72307	A 20020315
				WO 2003-JP3023	W 20030313
FAN	2003:918694				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	JP 2003335670	A	20031125	JP 2003-70126 JP 2002-72306	20030314 A 20020315
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OS MARPAT 136:263085

AB Novel N-substituted benzothiophenesulfonamide derivs. represented by the general formula [I; X = H, halo, lower alkyl; Y = lower alkyl; R1, R2 = H, lower alkoxy, carbonyl, lower alkylsulfonyl, benzoyl, C1-4 acyl, lower alkoxy, lower alkoxy, carbonylmethylthioacetyl, NO2, CONHR4 [wherein R4 = H, lower alkoxy, carbonylmethyl, carboxymethyl, CH(CH2OH)CO2R5 (wherein R5 = H, lower alkyl)], Q, Q1, Q2, Q3 (wherein A = O, S, NH; the dotted line represents a single or double bond); R3 = H, lower alkoxy, lower alkyl or salts thereof are prepared. These compds. are useful as preventives and remedies for cardiocirculatory diseases caused by hyperprodn. of angiotensin II or endothelin I based on chymase activity which have an effect of selectively inhibiting chymase. In particular they are useful for the prevention and/or treatment of myocardial infarction, restenosis after percutaneous transluminal coronary angioplasty (PTCA), or thickening of inner coat (endosprium) after bypass graft. Thus,

N-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoyl]-L-serine Me ester was stirred with Burgess reagent in THF at 60° for 2 h to give

2-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]-4,5-dihydrooxazole-4-carboxylic acid Me ester which was treated with bromotrichloromethane and DBU in CH2Cl2 at -20° for 5 min and 0° for 3.5 h to give

2-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid Me ester. Alkali hydrolysis of the latter ester with a mixture of 10% aqueous NaOH, MeOH, and

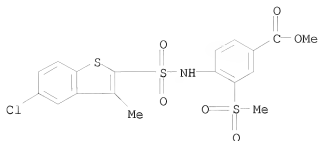
THF at room temperature for 17 h followed by distillation of the solvent and acidification with 1 M aqueous HCl gave 2-[4-[(5-fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid (II). II showed IC50 of 2, >10,000, and >10,000 nmol/L against chymase, chymotrypsin, and cathepsin G, resp.

IT 404963-75-3P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-90-2P, 4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-94-6P, (2S)-2-[[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoyl]amino]-3-hydroxypropanoic acid methyl ester 404963-95-7P, (S)-2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]-4,5-dihydrooxazole-4-carboxylic acid methyl ester 404963-96-8P, 2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid methyl ester 404964-20-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase inhibitors and preventives and remedies for cardiocirculatory diseases)

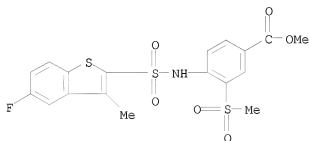
RN 404963-75-3 CAPLUS

CN Benzoic acid, 4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-90-2 CAPLUS

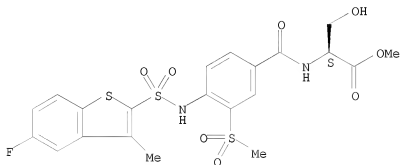
CN Benzoic acid, 4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



RN 404963-94-6 CAPLUS

CN L-Serine, N-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

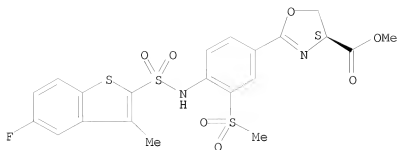
Absolute stereochemistry.



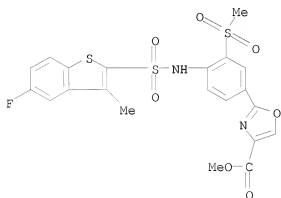
RN 404963-95-7 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester, (4S)- (CA INDEX NAME)

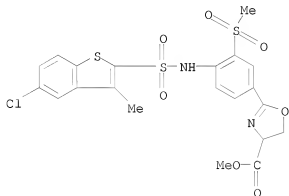
Absolute stereochemistry.



RN 404963-96-8 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



RN 404964-20-1 CAPLUS
 CN 4-Oxazolecarboxylic acid, 2-[4-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-4,5-dihydro-, methyl ester (CA INDEX NAME)



IT 404963-76-4P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-yl)sulfonyl]amino]-3-(methanesulfonyl)benzoic acid ethyl ester

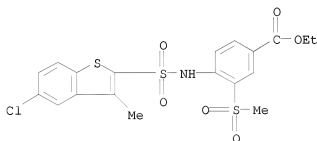
404963-77-5P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid tert-butyl ester
 404963-78-6P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(ethanesulfonyl)benzoic acid methyl ester
 404963-79-7P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-5-(methanesulfonyl)-2-methylbenzoic acid methyl ester
 404963-80-0P, 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]isophthalic acid dimethyl ester 404963-81-1P,
 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-methoxybenzoic acid methyl ester 404963-82-2P,
 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-nitrobenzoic acid methyl ester 404963-83-3P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(2,4-di(methanesulfonyl)phenyl)amide 404963-84-4P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-acetyl-2-nitrophenyl)amide 404963-85-5P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-acetyl-2-(methanesulfonyl)phenyl)amide 404963-86-6P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-benzoyl-2-(methanesulfonyl)phenyl)amide 404963-87-7P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-hydroxymethyl-2-(methanesulfonyl)phenyl)amide 404963-88-8P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-benzoylphenyl)amide 404963-89-9P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(2-(methanesulfonyl)phenyl)amide 404963-91-3P,
 4-[(3,5-Dimethylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-92-4P,
 5-Fluoro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-acetyl-2-(methanesulfonyl)phenyl)amide 404963-93-5P,
 4-[(3-Methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid methyl ester 404963-97-9P,
 2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid 404963-98-0P,
 2-[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid methyl ester 404963-99-1P,
 2-[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid 404964-00-7P,
 2-[4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)phenyl]oxazole-4-carboxylic acid sodium salt 404964-01-8P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-nitrophenyl)amide 404964-02-9P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-cyanophenyl)amide 404964-03-0P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-acetylphenyl)amide 404964-04-1P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-carbamoylphenyl)amide 404964-05-2P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-[2-[(methoxycarbonyl)methylthio]acetyl]phenyl)amide 404964-06-3P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(2-methoxy-4-nitrophenyl)amide 404964-07-4P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(2-nitro-4-cyanophenyl)amide 404964-08-5P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(2,4-dinitrophenyl)amide 404964-09-6P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(2-nitro-4-methoxyphenyl)amide 404964-10-9P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid N-(4-(N-[(ethoxycarbonyl)methyl]carbamoyl)-2-methoxyphenyl)amide

404964-11-0P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4,5-dimethoxy-2-(methoxycarbonyl)phenyl)amide 404964-12-1P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-(propanesulfonyl)phenyl)amide 404964-13-2P,
 3-Methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-(propanesulfonyl)phenyl)amide 404964-14-3P,
 3,5-Dimethylbenzo[b]thiophene-2-sulfonic acid
 N-(4-(propanesulfonyl)phenyl)amide 404964-15-4P,
 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-(isopropoxycarbonyl)-2-(methanesulfonyl)phenyl)amide
 404964-16-5P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 N-(4-(N-[(ethoxycarbonyl)methyl]carbamoyl)-2-(methanesulfonyl)phenyl)amide
 404964-17-6P 404964-18-7P 404964-19-8P
 404964-21-2P 404964-22-3P 404964-23-4P,
 2-[[4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-
 methoxybenzoyl]amino]acetic acid 404964-24-5P,
 4-[(5-Chloro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-
 (methanesulfonyl)benzoic acid methyl ester sodium salt
 404964-25-6P 404964-26-7P 404964-27-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase
 inhibitors and preventives and remedies for cardiocirculatory diseases)

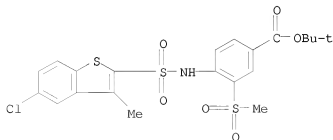
RN 404963-76-4 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-
 (methylsulfonyl)-, ethyl ester (CA INDEX NAME)



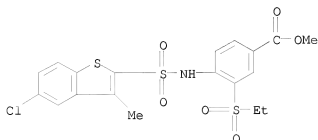
RN 404963-77-5 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-
 (methylsulfonyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



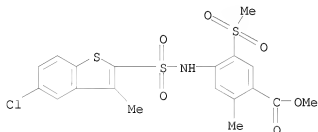
RN 404963-78-6 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(ethylsulfonyl)-, methyl ester (CA INDEX NAME)



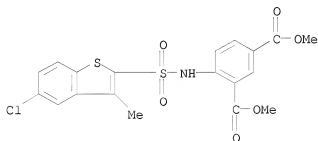
RN 404963-79-7 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methyl-5-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



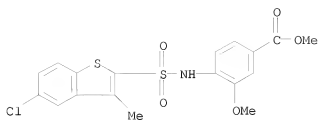
RN 404963-80-0 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, 1,3-dimethyl ester (CA INDEX NAME)



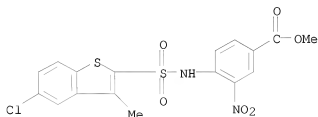
RN 404963-81-1 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxy-, methyl ester (CA INDEX NAME)



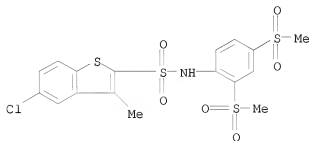
RN 404963-82-2 CAPLUS

CN Benzoic acid, 4-[[5-chloro-3-methylbenzo[b]thien-2-yl]sulfonylamino]-3-nitro-, methyl ester (CA INDEX NAME)



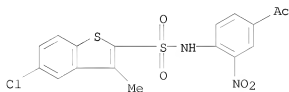
RN 404963-83-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[2,4-bis(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



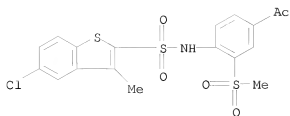
RN 404963-84-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetyl-2-nitrophenyl)-5-chloro-3-methyl- (CA INDEX NAME)



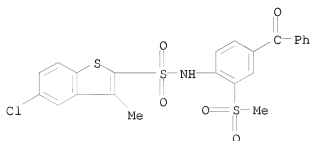
RN 404963-85-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



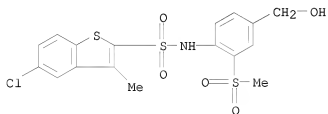
RN 404963-86-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-benzoyl-2-(methylsulfonyl)phenyl]-5-chloro-3-methyl- (CA INDEX NAME)



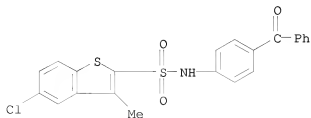
RN 404963-87-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(hydroxymethyl)-2-(methylsulfonyl)phenyl]-3-methyl- (CA INDEX NAME)



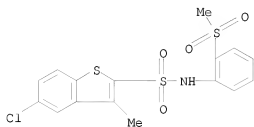
RN 404963-88-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(4-benzoylphenyl)-5-chloro-3-methyl- (CA INDEX NAME)



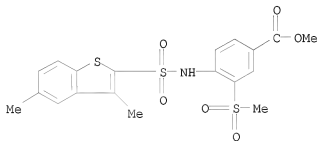
RN 404963-89-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-(methylsulfonyl)phenyl]- (CA INDEX NAME)



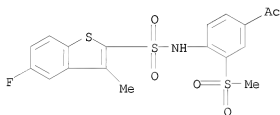
RN 404963-91-3 CAPLUS

CN Benzoic acid, 4-[[[3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



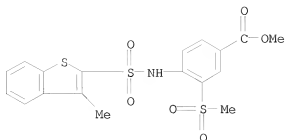
RN 404963-92-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-acetyl-2-(methylsulfonyl)phenyl]-5-fluoro-3-methyl- (CA INDEX NAME)



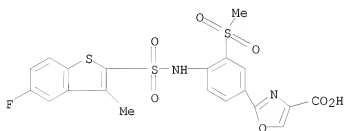
RN 404963-93-5 CAPLUS

CN Benzoic acid, 4-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester (CA INDEX NAME)



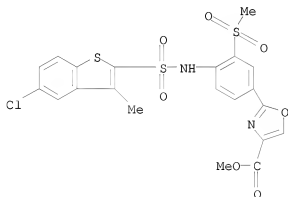
RN 404963-97-9 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-(methylsulfonyl)phenyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



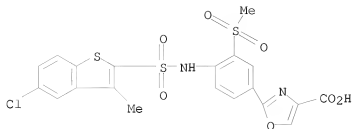
RN 404963-98-0 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-(methylsulfonyl)phenyl]amino]-3-(methylsulfonyl)phenyl]-, methyl ester (CA INDEX NAME)



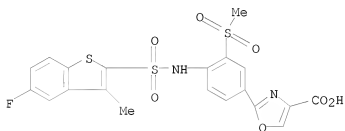
RN 404963-99-1 CAPLUS

CN 4-Oxazolecarboxylic acid, 2-[4-[[5-(methylsulfonyl)phenyl]amino]-3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



RN 404964-00-7 CAPLUS

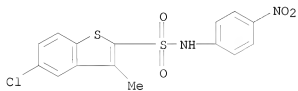
CN 4-Oxazolecarboxylic acid, 2-[4-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)phenyl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

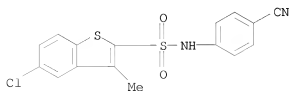
RN 404964-01-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-(4-nitrophenyl)- (CA INDEX NAME)

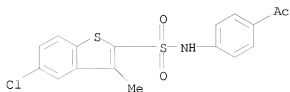


RN 404964-02-9 CAPLUS

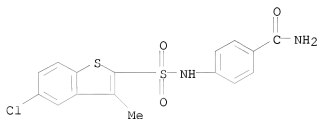
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyanophenyl)-3-methyl- (CA INDEX NAME)



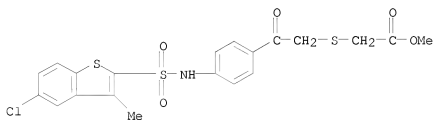
RN 404964-03-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-(4-acetylphenyl)-5-chloro-3-methyl-
 (CA INDEX NAME)



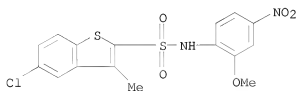
RN 404964-04-1 CAPLUS
 CN Benzamide, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]- (CA
 INDEX NAME)



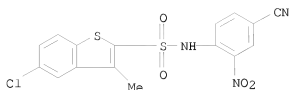
RN 404964-05-2 CAPLUS
 CN Acetic acid, 2-[[[2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]phenyl]-2-oxoethyl]thio]-, methyl ester (CA INDEX NAME)



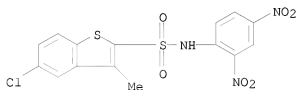
RN 404964-06-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-methoxy-4-nitrophenyl)-3-methyl- (CA INDEX NAME)



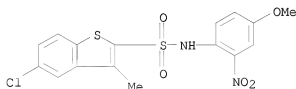
RN 404964-07-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-cyano-2-nitrophenyl)-3-methyl- (CA INDEX NAME)



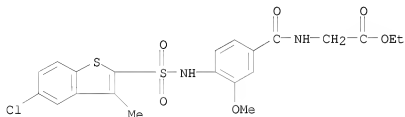
RN 404964-08-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2,4-dinitrophenyl)-3-methyl-
 (CA INDEX NAME)



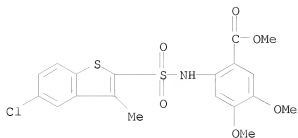
RN 404964-09-6 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(4-methoxy-2-nitrophenyl)-3-methyl-
 (CA INDEX NAME)



RN 404964-10-9 CAPLUS
 CN Glycine, N-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]-, ethyl ester (CA INDEX NAME)

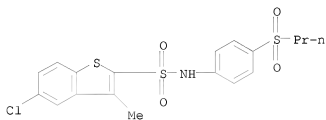


RN 404964-11-0 CAPLUS
 CN Benzoic acid, 2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-4,5-dimethoxy-, methyl ester (CA INDEX NAME)



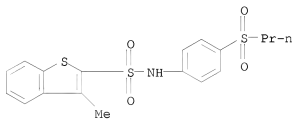
RN 404964-12-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



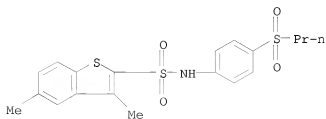
RN 404964-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



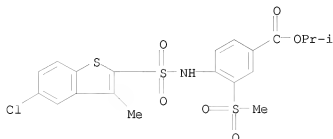
RN 404964-14-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dimethyl-N-[4-(propylsulfonyl)phenyl]- (CA INDEX NAME)



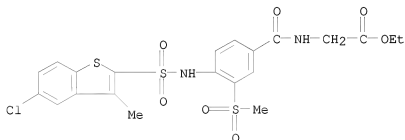
RN 404964-15-4 CAPLUS

CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, 1-methylethyl ester (CA INDEX NAME)



RN 404964-16-5 CAPLUS

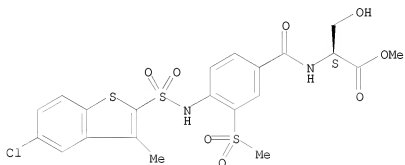
CN Glycine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, ethyl ester (CA INDEX NAME)



RN 404964-17-6 CAPLUS

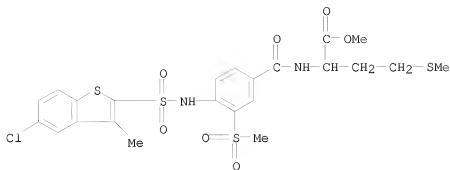
CN L-Serine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



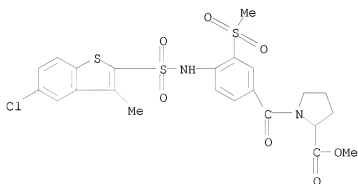
RN 404964-18-7 CAPLUS

CN Methionine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)



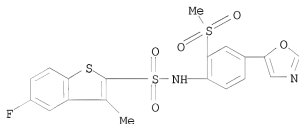
RN 404964-19-8 CAPLUS

CN Proline, 1-[4-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, methyl ester (CA INDEX NAME)



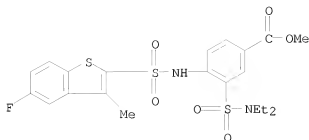
RN 404964-21-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-fluoro-3-methyl-N-[2-(methylsulfonyl)-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)



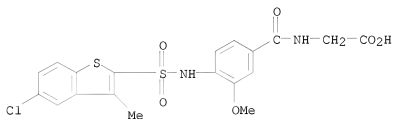
RN 404964-22-3 CAPLUS

CN Benzoic acid, 3-[(diethylamino)sulfonyl]-4-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-, methyl ester (CA INDEX NAME)



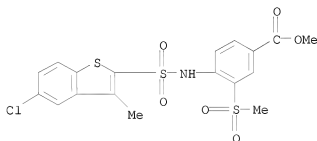
RN 404964-23-4 CAPLUS

CN Glycine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-methoxybenzoyl]- (CA INDEX NAME)



RN 404964-24-5 CAPLUS

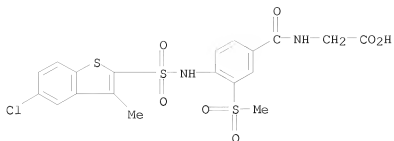
CN Benzoic acid, 4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)-, methyl ester, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 404964-25-6 CAPLUS

CN Glycine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

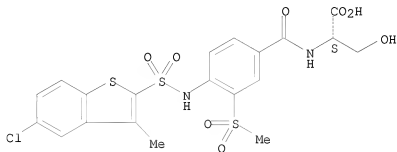


● Na

RN 404964-26-7 CAPLUS

CN L-Serine, N-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)

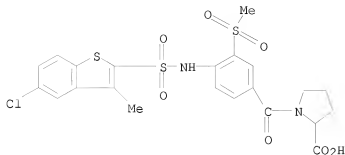
Absolute stereochemistry.



● Na

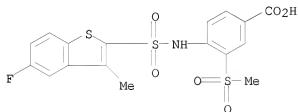
RN 404964-27-8 CAPLUS

CN Proline, 1-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)benzoyl]-, monosodium salt (9CI) (CA INDEX NAME)



● Na

IT 404964-36-9P, 4-[(5-Fluoro-3-methylbenzo[b]thiophen-2-ylsulfonyl)amino]-3-(methanesulfonyl)benzoic acid
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of phenylbenzothiophenesulfonamide derivs. as selective chymase inhibitors and preventives and remedies for cardiocirculatory diseases)
 RN 404964-36-9 CAPLUS
 CN Benzoic acid, 4-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-3-(methylsulfonyl)- (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 123 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2002:184280 CAPLUS
 DN 137:195432
 TI Effects of the 5-HT6 receptor antagonist, SB-271046, in animal models for schizophrenia
 AU Pouzet, B.; Didriksen, M.; Arnt, J.
 CS Psychopharmacology, Psychosis, H. Lundbeck A/S, Valby, DK-2500, Den.
 SO Pharmacology, Biochemistry and Behavior (2002), 71(4), 635-643
 CODEN: PBBHAU; ISSN: 0091-3057
 PB Elsevier Science Inc.
 DT Journal
 LA English
 AB The 5-HT6 receptor is targeted by several new antipsychotics such as clozapine, olanzapine, and sertindole. We studied the effect of SB-271046 [5-chloro-N-(4-methoxy-3-piperazin-1-yl-phenyl)-3-methyl-2-benzothiophenesulfonamide], a specific 5-HT6 receptor antagonist, in three models for the pos. symptoms of schizophrenia-d-amphetamine-induced

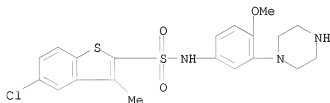
hyperactivity, and d-amphetamine- or phencyclidine (PCP)-disrupted prepulse inhibition (PPI). We also tested this compound in a model for the neg. symptoms of schizophrenia, PCP-disrupted social interaction (SIT) in rats. Induction of side effects by this compound was evaluated by testing its potency to reduce spontaneous motility, and to induce catalepsy in rats. The effect of SB-271046 was compared to clozapine in all models tested. This study showed that SB-271046 had no beneficial effect in PCP-disrupted SIT. However, SB-271046 dose-dependently normalized d-amphetamine-disrupted PPI, but did not reverse PCP-disrupted PPI. In addition, SB-271046 did not antagonize d-amphetamine-induced hyperactivity. Thus, this specific 5-HT₆ receptor antagonist was associated with a clear pos. outcome in only one model for the pos. symptoms of schizophrenia, and had no beneficial effect in the model for neg. symptoms. Consequently, it is clear that SB-271046 is not expected to have an antipsychotic efficacy, at least when given as monotherapy.

IT 209481-20-9, SB-271046

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (effects of 5-HT₆ receptor antagonist, SB-271046, in animal models for schizophrenia)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 124 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:89999 CAPLUS

DN 136:129079

TI Aryl sulfonamides as serotonin antagonists for the treatment of obesity

IN Caldirola, Patrizia; Jossan, Sukhwinder; Sakariassen, Kjell S.;

Svartengren, Jan

PA Biovitrum AB, Swed.

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

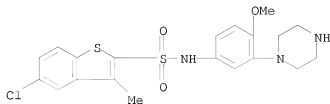
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002008179	A1	20020131	WO 2001-SE1652	20010719
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			

	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2411195	A1	20020131	SE 2000-2739	A	20000721
			CA 2001-2411195		20010719
			SE 2000-2739	A	20000721
AU 2001071225	A	20020205	WO 2001-SE1652	W	20010719
			AU 2001-71225		20010719
EP 1301475	A1	20030416	SE 2000-2739	A	20000721
EP 1301475	B1	20061122	WO 2001-SE1652	W	20010719
			EP 2001-950200		20010719
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			SE 2000-2739	A	20000721
JP 2004504377	T	20040212	WO 2001-SE1652	W	20010719
			JP 2002-514088		20010719
			SE 2000-2739	A	20000721
NZ 523158	A	20040430	WO 2001-SE1652	W	20010719
			NZ 2001-523158		20010719
			SE 2000-2739	A	20000721
AU 2001271225	B2	20060907	WO 2001-SE1652	W	20010719
			AU 2001-271225		20010719
			SE 2000-2739	A	20000721
AT 346040	T	20061215	WO 2001-SE1652	W	20010719
			AT 2001-950200		20010719
ES 2277930	T3	20070801	SE 2000-2739	A	20000721
			ES 2001-950200		20010719
CN 100339073	C	20070926	SE 2000-2739	A	20000721
			CN 2001-813150		20010719
US 20020058655	A1	20020516	SE 2000-2739	A	20000721
US 6399617	B2	20020604	US 2001-908798		20010720
			SE 2000-2739	A	20000721
IN 2003CN00062	A	20050408	US 2000-222284P	P	20000801
			IN 2003-CN62		20030110
			SE 2000-2739	A	20000721
KR 828977	B1	20080513	WO 2001-SE1652	W	20010719
			KR 2003-700687		20030116
			SE 2000-2739	A	20000721
NO 2003000297	A	20030120	WO 2001-SE1652	W	20010719
			NO 2003-297		20030120
			SE 2000-2739	A	20000721
HK 1057886	A1	20080509	WO 2001-SE1652	W	20010719
			HK 2004-100767		20040206
			SE 2000-2739	A	20000721
KR 2008016725	A	20080221	WO 2001-SE1652	W	20010719
			KR 2008-700262		20080104
			SE 2000-2739	A	20000721
			WO 2001-SE1652	W	20010719
			KR 2003-700687	A3	20030116
OS	MARPAT 136:129079				
AB	A method is provided for the treatment or prophylaxis of obesity, comprising administering to a patient in need of such treatment a therapeutically effective amount of an aryl sulfonamide compound (Markush included). Compds. of the invention include 5-chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-2-benzothiophenesulfonamide.				
IT	209481-20-9 209481-24-3				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				

(aryl sulfonamides as serotonin antagonists for treatment of obesity)

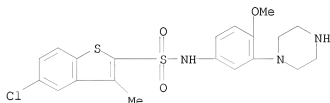
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-24-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 125 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2002:72047 CAPLUS

DN 136:134676

TI Preparation of cyclic amine phenyl β 3 adrenergic receptor agonists
for treatment of metabolic disorders related to insulin resistance or
hyperglycemia

IN Hu, Baihua; Sum, Fuk-Wah; Malamas, Michael Sotirios

PA American Home Products Corporation, USA

SO PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DT Patent

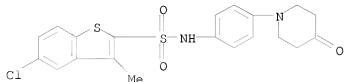
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002006232	A1	20020124	WO 2001-US22387	20010716
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,			

	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020028835	A1	20020307	US 2000-218627P	P	20000717
US 6525202	B2	20030225	US 2001-903754		20010712
CA 2416245	A1	20020124	US 2000-218627P	P	20000717
			CA 2001-2416245		20010716
			US 2000-218627P	P	20000717
EP 1301482	A1	20030416	WO 2001-US22387	W	20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			EP 2001-984234		20010716
			US 2000-218627P	P	20000717
BR 2001012522	A	20030624	WO 2001-US22387	W	20010716
			BR 2001-12522		20010716
			US 2000-218627P	P	20000717
JP 2004504299	T	20040212	WO 2001-US22387	W	20010716
			JP 2002-512136		20010716
			US 2000-218627P	P	20000717
US 20030144326	A1	20030731	WO 2001-US22387	W	20010716
US 7022716	B2	20060404	US 2002-330576		20021227
MX 2003PA00518	A	20030514	US 2000-218627P	P	20000717
			US 2001-903754	A3	20010712
			MX 2003-PA518		20030117
			US 2000-218627P	P	20000717
			WO 2001-US22387	W	20010716
OS	MARPAT 136:134676				
AB	<p>Title compds. I [wherein A = (hetero)aryl or heterocyclyl; X = OCH₂, SCH₂, or a bond; T1 = (CH₂)_m; T2 = (CH₂)_n; m = 1-3; n = 1-3; T = a bond, (un)substituted alkyl or alkenyl, alkynyl, alkylthio, alkylamino, alkoxy(alkyl), alkylthioalkyl, acyl, or alkenylcarbonyl; R1, R2, and R3 = independently H, (cyclo)alkyl, OH, halo, CF₃, alkoxy, benzyloxy, allyloxy, propargyloxy, acyloxy, CN, NO₂, CONH₂, (di)alkylamino, formamido, ureido, acylamino, alkylsulfonylamino, arylsulfonylamino, dialkylphosphorylamino, dihydroxyphosphorylamino, alkoxy carbonyl, or (un)substituted aryl; R4 = H, alkyl, halo, OH, alkoxy, alkylthio, (alkyl)amino, carboxy, acyl, arylcarbonyl, alkoxy carbonyl, CONH₂, alkylaminocarbonyl, alkylsulfonyl, or arylsulfonylamino; R5 = (un)substituted (di)oxoimidazolidinyl, (di)oxoaxazolidinyl, (di)oxothiazolidinyl, dioxoaxadiazolidinyl, tetrazolyl, oxopyrrolinyl, alkoxy carbonyl, aminocarbonyl, acyl, ureido, etc.; or a pharmaceutically acceptable salt thereof] were prepared by standard and combinatorial synthetic methods as β3 adrenergic receptor agonists. For example, acetic acid was added to a mixture of N-[5-[(1R)-2-amino-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide (preparation given), 2-[4-(4-oxo-1-piperidinyl)benzyl]-1,2,4-oxadiazolidine-3,5-dione, and DMF. Sodium triacetoxymethylborohydride was added and the mixture stirred at room temperature for 24 h to give (R)-I (71%). The latter bound to the β3 adrenergic receptor with EC₅₀ of 20 μM, exhibited a maximal response activity equivalent to isoproterenol, and increased thermogenesis in β3 transgenic mice by 30 \pm 8% compared to an increase of 16 \pm 4% in β3 knockout mice. Thus, I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, frequent urination, and are particularly useful in the treatment or inhibition of II diabetes.</p>				

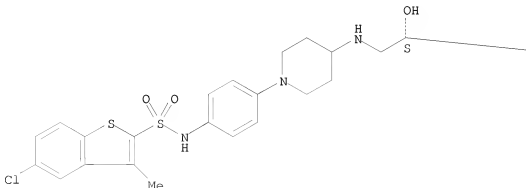
IT 391906-93-7P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid
 [4-(4-oxopiperidin-1-yl)phenyl]amide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of cyclic amine Ph β_3 adrenergic receptor
 agonists for treatment of metabolic disorders related to insulin
 resistance or hyperglycemia)
 RN 391906-93-7 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-oxo-1-
 piperidinyl)phenyl]- (CA INDEX NAME)

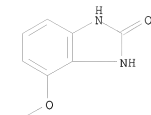


IT 391907-82-7P, 5-Chloro-N-[4-[4-[(2S)-2-hydroxy-3-[(2-oxo-2,3-
 dihydro-1H-benzimidazol-4-yl)oxy]propyl]amino]-1-piperidinyl]phenyl]-3-
 methyl-1-benzothiophene-2-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (β_3 agonist; preparation of cyclic amine Ph β_3 adrenergic receptor
 agonists for treatment of metabolic disorders related to insulin
 resistance or hyperglycemia)
 RN 391907-82-7 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[4-[(2S)-3-[(2,3-dihydro-2-
 oxo-1H-benzimidazol-4-yl)oxy]-2-hydroxypropyl]amino]-1-piperidinyl]phenyl]-
 3-methyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 126 OF 152 CAPLUS COPYRIGHT 2008 ACS ON STN

AN 2002:66218 CAPLUS

DN 137:57416

TI 5-HT6 receptor antagonism potentiates the behavioral and neurochemical effects of amphetamine but not cocaine

AU Frantz, K. J.; Hansson, K. J.; Stouffer, D. G.; Parsons, L. H.

CS Department of Neuropharmacology CVN7, The Scripps Research Institute, La Jolla, CA, 92037, USA

SO Neuropharmacology (2002), 42(2), 170-180

CODEN: NEPHBW; ISSN: 0028-3908

PB Elsevier Science Ltd.

DT Journal

LA English

AB The localization of serotonin 5-HT6 receptors in limbic and motor brain regions, and the high affinity of these receptors for several antipsychotic agents, suggest that they may be involved in motor activity, reward-related behaviors, and psychotic disorders. The present study characterized the effects of a novel 5-HT6 receptor antagonist, SB 258510A, on psychostimulant-induced motor activity, self-administration, and increases in extracellular dopamine in the nucleus accumbens and frontal cortex of male Wistar rats. The locomotor-activating effects of amphetamine (1 mg/kg) were dose-dependently enhanced by pretreatment with SB 258510A (3, 10 mg/kg). Similarly, amphetamine self-administration was dose-dependently altered by SB 258510A in a manner indicative of enhanced reinforcing effects of amphetamine on both fixed and progressive ratio schedules of reinforcement. SB 258510A treatment had no effect on either cocaine-induced locomotor activity or cocaine self-administration. Dual-probe in vivo microdialysis revealed that pretreatment with 3 mg/kg SB 258510A potentiated an amphetamine-induced increase in extracellular dopamine more robustly in the frontal cortex than in the nucleus accumbens. These data indicate that activation of 5-HT6 receptors may regulate behaviors related to amphetamine but not cocaine, and point to the frontal cortex as a possible site of action for these effects.

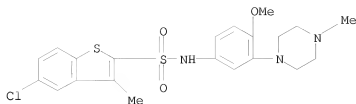
IT 220431-95-8, SB 258510A

RL: PAC (Pharmacological activity); BIOL (Biological study)

(5-HT6 receptor antagonism potentiates behavioral and neurochem. effects of amphetamine but not cocaine)

RN 220431-95-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 127 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2002:10452 CAPLUS
DN 136:69820
TI Preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators
IN Mcgee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi
PA Tularik Inc., USA; Japan Tobacco, Inc.
SO PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000633	A1	20020103	WO 2001-US20756	20010627
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2412723	A1	20020103	US 2000-214810P CA 2001-2412723 US 2000-214810P WO 2001-US20756	P 20000628 20010627 P 20000628 W 20010627
	US 20020169185	A1	20021114	US 2001-894980	20010627
	US 6583157	B2	20030624	US 1998-73042P US 2000-214810P	P 19980129 P 20000628
	EP 1296967	A1	20030402	EP 2001-950669	20010627
	EP 1296967	B1	20060531		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001012115	A	20030429	US 2000-214810P WO 2001-US20756 BR 2001-12115 US 2000-214810P WO 2001-US20756	P 20000628 W 20010627 20010627 P 20000628 W 20010627
	HU 2003001482	A2	20030929	HU 2003-1482	20010627

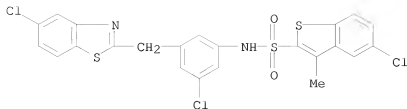
HU 2003001482	A3	20051228	US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
JP 2004501905	T	20040122	JP 2002-505381		20010627
			US 2000-214810P	P	20000628
NZ 523229	A	20041029	WO 2001-US20756	W	20010627
			NZ 2001-523229		20010627
			US 2000-214810P	P	20000628
CN 1243741	C	20060301	WO 2001-US20756	W	20010627
			CN 2001-812017		20010627
AU 2001271637	B2	20060504	US 2000-214810P	P	20000628
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			US 2000-214810P	P	20000628
AT 327984	T	20060615	WO 2001-US20756	W	20010627
			AT 2001-950669		20010627
PT 1296967	T	20061031	US 2000-214810P	P	20000628
			PT 2001-950669		20010627
ES 2265435	T3	20070216	US 2000-214810P	P	20000628
			ES 2001-950669		20010627
US 20030171399	A1	20030911	US 2000-214810P	P	20000628
			US 2002-278851		20021021
			US 2000-214810P	P	20000628
			US 2001-894980	A1	20010627
MX 2002PA12708	A	20030922	MX 2002-PA12708		20021218
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
ZA 2002010283	A	20050721	ZA 2002-10283		20021219
			US 2000-214810P	P	20000628
NO 2002006156	A	20030225	NO 2002-6156		20021220
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
KR 771286	B1	20071029	KR 2002-717927		20021228
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
IN 2002MN01890	A	20050204	IN 2002-MN1890		20021230
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
HK 1052351	A1	20061103	HK 2003-104574		20030626
			US 2000-214810P	P	20000628
			WO 2001-US20756	W	20010627
US 20040176409	A1	20040909	US 2003-719997		20031120
			US 2000-214810P	P	20000628
			US 2001-894980	A1	20010627
			US 2002-278851	B1	20021021

PATENT FAMILY INFORMATION:

FAN 1999:495273

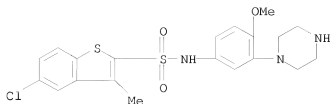
PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
PI	WO 9938845	A1	19990805	WO 1999-US1147	19990120
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, US 1998-73042P			P	19980129
	CA 2318731	A1	19990805	CA 1999-2318731	19990120

				US 1998-73042P	P	19980129
				WO 1999-US1147	W	19990120
AU 9921176	A	19990816		AU 1999-21176		19990120
AU 759255	B2	20030410				
				US 1998-73042P	P	19980129
				WO 1999-US1147	W	19990120
EP 1053227	A1	20001122		EP 1999-901492		19990120
				R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI		
				US 1998-73042P	P	19980129
				WO 1999-US1147	W	19990120
US 6200995	B1	20010313		US 1999-234327		19990120
				US 1998-73042P	P	19980129
JP 2002501945	T	20020122		JP 2000-530082		19990120
				US 1998-73042P	P	19980129
US 20010027200	A1	20011004		WO 1999-US1147	W	19990120
US 6620827	B2	20030916		US 2000-741415		20001219
				US 1998-73042P	P	19980129
				US 1999-234327	A1	19990120
US 20020169185	A1	20021114		US 2001-894980		20010627
US 6583157	B2	20030624				
				US 1998-73042P	P	19980129
				US 2000-214810P	P	20000628
US 20030088103	A1	20030508		US 2002-123298		20020415
US 7439242	B2	20081021				
				US 1998-73042P	P	19980129
				US 1999-234327	A1	19990120
				US 2000-741415	A1	20001219
OS	MARPAT 136:69820					
AB	The title compds. [I; Ar1 = (un)substituted 2-benzothiazolyl or quinolinyl; X = O, CO, CHR10, NR11, S(O)k; Y = NR12SO2; R1 = H, halo, alkyl, etc.; R2 = (un)substituted aryl; R3 = halo, alkoxy; R10 = H, CN, alkyl; R11 = H, alkyl; R12 = H, alkyl; k = 0-2], useful in the treatment or prevention of a condition or disorder mediated by PPARY such as diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis, were prepared Thus, reacting 3,5-dichloro-4-(quinolin-3-ylsulfanyl)aniline (preparation given) with 2-chlorobenzenesulfonyl chloride in the presence of pyridine and catalytic amount of DMAP in THF/CH2Cl2 afforded 78% II which showed IC50 of < 1 µM against PPARY ligand binding.					
IT	385431-23-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators)					
RN	385431-23-2 CAPLUS					
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-chloro-5-[(5-chloro-2-benzothiazolyl)methyl]phenyl]-3-methyl- (CA INDEX NAME)					



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 128 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:924588 CAPLUS
DN 136:194128
TI 5-HT6 receptor antagonists enhance retention of a water maze task in the rat
AU Rogers, D. C.; Hagan, J. J.
CS Neuroscience Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK
SO Psychopharmacology (Berlin, Germany) (2001), 158(2), 114-119
CODEN: PSCHDL; ISSN: 0033-3158
PB Springer-Verlag
DT Journal
LA English
AB 5-HT6 receptors are predominantly located in the brain and may be involved in cognitive processes. The aim of this study was to assess the effects of two potent and selective 5-HT6 receptor antagonists, SB-271046-A and SB-357134-A, on learning and memory in the rat. Spatial learning and memory was assessed by testing the effects of SB-271046-A and SB-357134-A on acquisition and retention of a water maze task. In the water maze, administration of SB-271046-A or SB-357134-A (3 or 10 mg/kg) had no effect on learning per se. At 10 mg/kg, however, both compds. produced a significant improvement in retention of a previously learned platform position when tested 7 days after training. By contrast, the acetylcholinesterase inhibitor, Aricept (donepezil, 0.1, 0.3 mg/kg PO) had no effect in this task. This study demonstrates that systemic administration of SB-271046-A and SB-357134-A produces improvements in retention of a water maze task in the rat. These data indicate that 5-HT6 receptor antagonism may be involved in cognitive function.
IT 209481-24-3, SB 271046-A
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT6 receptor antagonists enhance retention of a water maze task in rat)
RN 209481-24-3 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 129 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:774032 CAPLUS
DN 137:73040

TI The 5-HT6 receptor antagonist SB-271046 selectively enhances excitatory neurotransmission in the rat frontal cortex and hippocampus

AU Dawson, Lee A.; Nguyen, Huy Q.; Li, Ping

CS Neuroscience Research, Wyeth Ayerst, Princeton, NJ, USA

SO Neuropsychopharmacology (2001), 25(5), 662-668

CODEN: NEROEW; ISSN: 0893-133X

PB Elsevier Science Inc.

DT Journal

LA English

AB Preclin. evidence has suggested a possible role for the 5-HT6 receptor in the treatment of cognitive dysfunction. However, currently there is little neurochem. evidence suggesting the mechanism(s) which may be involved. Using the selective 5-HT6 antagonist SB-271046 and in vivo microdialysis, we have evaluated the effects of this compound on the modulation of basal neurotransmitter release within multiple brain regions of the freely moving rat. SB-271046 produced no change in basal levels of dopamine (DA), norepinephrine (NE) or 5-HT in the striatum, frontal cortex, dorsal hippocampus or nucleus accumbens. Similarly, this compound had no effect on excitatory neurotransmission in the striatum or nucleus accumbens. Conversely, SB-271046 produced 3- and 2-fold increases in extracellular glutamate levels in both frontal cortex and dorsal hippocampus, resp. These effects were completely attenuated by infusion of tetrodotoxin but unaffected by the muscarinic antagonist, atropine. Here we demonstrate for the first time the selective enhancement of excitatory neurotransmission by SB-271046 in those brain regions implicated in cognitive and memory function, and provide mechanistic evidence in support of a possible therapeutic role for 5-HT6 receptor antagonists in the treatment of cognitive and memory dysfunction.

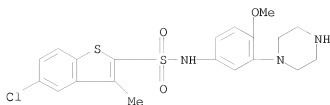
IT 209481-20-9, SB-271046

RL: BUU (Biological use, unclassified); PAC (Pharmacological activity); BIOL (Biological study); USES (Uses)

(5-HT6 receptor antagonist SB-271046 selectively enhances excitatory neurotransmission in the rat frontal cortex and hippocampus)

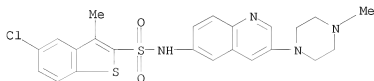
RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

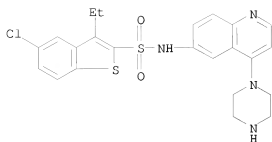


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 130 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:746608 CAPLUS
DN 136:112207
TI Novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for the 5-HT6 receptor
AU Bromidge, S. M.; Griffith, K.; Heightman, T. D.; Jennings, A.; King, F. D.; Moss, S. F.; Newman, H.; Riley, G.; Routledge, C.; Serafinowska, H. T.; Thomas, D. R.
CS Discovery Research Europe, GlaxoSmithKline, Discovery Chemistry, Harlow, Essex, CM19 5AW, UK
SO Bioorganic & Medicinal Chemistry Letters (2001), 11(21), 2843-2846
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 136:112207
AB The discovery of (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides and their binding affinities for a selection of 5-HT and dopamine subreceptors is described. Many compds. show high affinity ($pK_i > 8$) for the 5-HT6 receptor and >100-fold selectivity against a range of other receptors. Structure-activity relationships of these compds. are discussed.
IT 389622-71-3P 389622-80-4P 389622-81-5P
389622-82-6P 389622-87-1P 389622-88-2P
389622-89-3P 389622-90-6P 389637-13-2P, SB
331711
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for 5-HT6 receptor)
RN 389622-71-3 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-(4-methyl-1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)

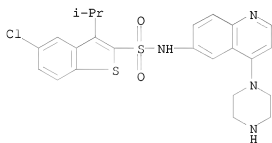


RN 389622-80-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-ethyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



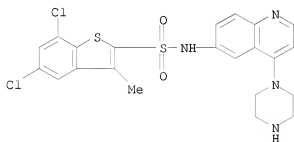
RN 389622-81-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-(1-methylethyl)-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 389622-82-6 CAPLUS

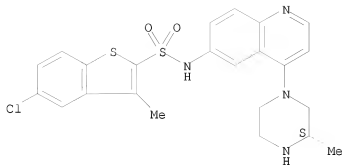
CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 389622-87-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

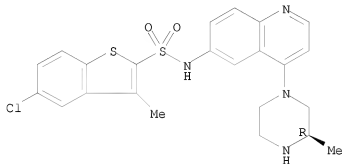
Absolute stereochemistry.



RN 389622-88-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-methyl-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

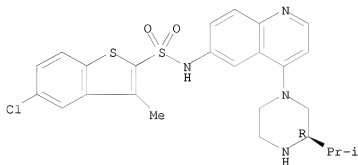
Absolute stereochemistry.



RN 389622-89-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-(1-methylethyl)-1-piperazinyl]-6-quinolinyl]- (CA INDEX NAME)

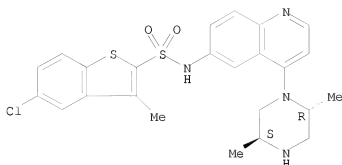
Absolute stereochemistry.



RN 389622-90-6 CAPLUS

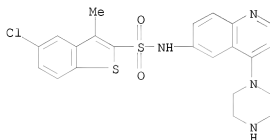
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(2R,5S)-2,5-dimethyl-1-piperazinyl]-6-quinolinyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 389637-13-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



IT 389622-92-8 389622-94-0 389622-95-1

389622-97-3 389622-99-5

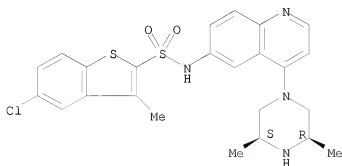
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(novel (4-piperazin-1-ylquinolin-6-yl) arylsulfonamides with high affinity and selectivity for 5-HT₆ receptor)

RN 389622-92-8 CAPLUS

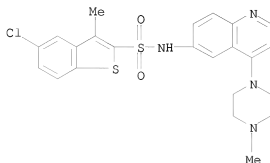
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(3R,5S)-3,5-dimethyl-1-piperazinyl]-6-quinolinyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 389622-94-0 CAPLUS

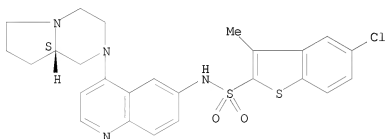
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 389622-95-1 CAPLUS

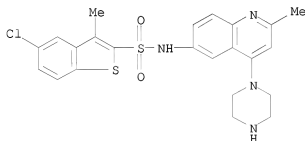
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-6-quinolinyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



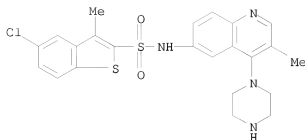
RN 389622-97-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RN 389622-99-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]- (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 131 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:731863 CAPLUS

DN 136:31298

TI N-Arylsulfonylindole derivatives as serotonin 5-HT6 receptor ligands

AU Russell, Michael G. N.; Baker, Robert J.; Barden, Laura; Beer, Margaret S.; Bristow, Linda; Broughton, Howard B.; Knowles, Michael; McAllister, George; Patel, Smita; Castro, Jose L.

CS Neuroscience Research Centre, Merck Sharp & Dohme Research Laboratories, Harlow Essex, CM20 2QR, UK

SO Journal of Medicinal Chemistry (2001), 44(23), 3881-3895

CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

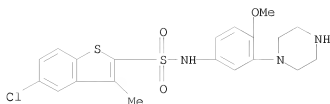
AB A series of N1-arylsulfonyltryptamines were found to be potent ligands of the human serotonin 5-HT6 receptor with the 5-methoxy-1-benzenesulfonyl analog (19) having the highest affinity. Addnl., it was discovered that a group such as 3-(3-methoxybenzyl)-1,2,4-oxadiazol-5-yl in the 2-position of the indole ring (43) can replace the arylsulfonyl substituent in the 1-position with no loss of affinity. This suggested that the binding conformation of the aminoethyl side chain at this receptor was toward the 4-position of the indole ring and was supported by the fact that the 4-(aminoethyl)indoles (45) also displayed high affinity, as did the conformationally rigid 1,3,4,5-tetrahydrobenz[c,d]indole (49). Mol. modeling showed that 19, 43, and 45 all had low-energy conformers that overlaid well onto 49. Both 19 and 49 had good selectivity over other serotonin receptors tested, with 49 also showing excellent selectivity over all dopamine receptors. In a functional adenylate cyclase stimulation assay, 19 and 49 had no agonist activity, whereas 45 behaved as a partial agonist. Finally, it was shown that 19 had good activity in the 5-HT2A centrally mediated mescaline-induced head twitch assay, which implies that it is brain-penetrant.

IT 209481-20-9, SB-271046

RL: PAC (Pharmacological activity); BIOL (Biological study)
(N-arylsulfonylindole derivs. as serotonin 5-HT6 receptor ligands)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 132 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:617982 CAPLUS
DN 135:180767
TI Preparation of 4-imidazole derivatives of benzyl and restricted benzyl
sulfonamides, sulfamides, ureas, carbamates, and amides as α 1
adrenoceptor agonists
IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F.; Khilevich,
Albert; Kolas, Teodoro J.; Rohde, Jeffrey J.; Carroll, William A.; Searle,
Xenia B.; Yang, Fan
PA Abbott Laboratories, USA
SO PCT Int. Appl., 226 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	US 20030073850	A1	20030417	US 2000-506750	A 20000217
				US 2000-506750	20000217
				US 1998-130799	B2 19980807
				US 1999-364901	A2 19990729
	CA 2399147	A1	20010823	CA 2001-2399147	20010201
				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201
	EP 1259491	A1	20021127	EP 2001-908800	20010201
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				WO 2001-US3466	W 20010201
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				US 2000-506750	A 20000217
				WO 2001-US3466	W 20010201

PATENT FAMILY INFORMATION:

FAN 2000:117031

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 200007997	A1	20000217	WO 1999-US17739	19990806
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,				

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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
US 6503935	B1	20030107		US 1999-364901	19990729
				US 1998-130799	B2 19980807
CA 2338594	A1	20000217		CA 1999-2338594	19990806
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
AU 9953386	A	20000228		AU 1999-53386	19990806
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
EP 1102754	A1	20010530		EP 1999-939019	19990806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1998-130799	A 19980807
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JP 2002522423	T	20020723		JP 2000-563631	19990806
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				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
MX 2001PA01412	A	20000821		MX 2001-PA1412	20010207
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FAN	2003:17797				
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PI	US 6503935	B1	20030107	US 1999-364901	19990729
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				US 1999-364901	A 19990729
				WO 1999-US17739	W 19990806
WO 2000007997	A1	20000217		WO 1999-US17739	19990806
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RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1998-130799	A 19980807
				US 1999-364901	A 19990729
AU 9953386	A	20000228		AU 1999-53386	19990806
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				WO 1999-US17739	W 19990806
EP 1102754	A1	20010530		EP 1999-939019	19990806
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1998-130799	A 19980807

				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
JP	2002522423	T	20020723	JP 2000-563631		19990806
				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
TW	517050	B	20030111	TW 1999-88113524		19990914
				US 1998-130799	A	19980807
US	20030073850	A1	20030417	US 2000-506750		20000217
				US 1998-130799	B2	19980807
				US 1999-364901	A2	19990729

FAN	2003:300646					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 20030073850	A1	20030417	US 2000-506750		20000217
				US 1998-130799	B2	19980807
				US 1999-364901	A2	19990729
US	6503935	B1	20030107	US 1999-364901		19990729
				US 1998-130799	B2	19980807
CA	2399147	A1	20010823	CA 2001-2399147		20010201
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
WO	2001060802	A1	20010823	WO 2001-US3466		20010201
	W: CA, JP, MX					
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
EP	1259491	A1	20021127	US 2000-506750	A	20000217
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR			EP 2001-908800		20010201
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
JP	2003523333	T	20030805	JP 2001-560187		20010201
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
MX	2002PA08001	A	20030128	MX 2002-PA8001		20020816
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201

OS MARPAT 135:180767

AB The title compds. (I) [wherein R1 = SO2R9 or COR10; R2 = H, (halo)alkyl, aryl(alkyl), or cycloalkyl(alkyl); R3-R6 = independently H, alkoxy, alkenyl, (halo)alkyl, cycloalkyl, halo, or OH; or R6 and R7 together with the C to which they are attached form a 5-7 membered carbocycle or 5-6 membered (un)substituted heterocycle; or R7 and R8 together = CR12R13; R8 = absent or H; R9 = (aryl)alkenyl, (aryl)alkyl, (aryl)alkynyl, cycloalkyl(alkyl), haloalkyl, heterocycle, or (un)substituted amine; R10 = (aryl)alkyl, alkenyl, (halo)alkoxy, aryl(oxy), cycloalkyl(alkyl), cycloalkyloxy, haloalkyl, or (un)substituted amine, azetidyl, piperazinyl, piperidinyl, pyrrolidinyl, morpholinyl, etc.; R12 and R13 = independently H, (aryl)alkyl, alkoxy, aryl, or cycloalkyl(alkyl); or R12 and R13 together with the C to which they are attached form a 3-7 membered carbocycle; R14 = H or alkyl] were prepared as α 1A adrenoceptor agonists for the treatment of urinary incontinence or retrograde ejaculation. For example, 4-iodo-1-trityl-1H-imidazole was treated sequentially with EtMgBr, 5-nitrotetralone, and NH4Cl in CH2Cl2 to give 4-(5-nitro-3,4-dihydro-1-naphthalenyl)-1H-imidazole. N-BOC protection, reduction using Pd/C in AcOEt, treatment with EtSO2Cl in the presence of TFA, and conversion to the salt afforded II-maleate. In radioligand binding assays, II-maleate showed good selectivity for binding to the

α 1A adrenoceptor subtype vs. the α 1B and α 1D subtypes with K_i values of 176 nM, 4620 nM and 1590 nM, resp. In addition, II-maleate was efficacious in constricting the urethra with an IUP ED50 (the mean dose causing a maximum increase in intraurethral pressure of 5 mm Hg) of 10.7 mmol/kg in anesthetized dogs.

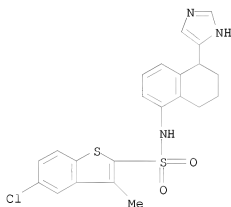
IT 258527-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazole derivs. of benzyl and restricted benzyl sulfonamides, sulfamides, ureas, carbamates, and amides as α 1A adrenoceptor agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 133 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:472482 CAPLUS

DN 135:56097

TI Sulfonamide derivative urotensin-II receptor antagonists, preparation, pharmaceutical compositions, and therapeutic use

IN Dhanak, Dashyant; Knight, Steven D.

PA Smithkline Beecham Corporation, USA

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001045694	A1	20010628	WO 2000-US34574	20001219
W:	AE, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		US 1999-172807P	P 19991221

CA 2394603	A1	20010628	CA 2000-2394603	20001219
			US 1999-172807P	P 19991221
			WO 2000-US34574	W 20001219
EP 1248607	A1	20021016	EP 2000-988185	20001219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 1999-172807P	P 19991221
			WO 2000-US34574	W 20001219
JP 2003518057	T	20030603	JP 2001-546633	20001219
			US 1999-172807P	P 19991221
			WO 2000-US34574	W 20001219
US 20030100580	A1	20030529	US 2002-149794	20020613
			WO 2000-US34574	W 20001219

OS MARPAT 135:56097

AB Sulfonamide derivs., pharmaceutical compns. containing them, and their use as antagonists of urotensin II are disclosed.

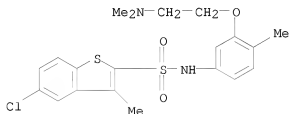
IT 345893-28-9P 345893-35-8P 345893-39-2P 345893-41-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(sulfonamide derivative urotensin-II receptor antagonists, pharmaceutical compns., and therapeutic use)

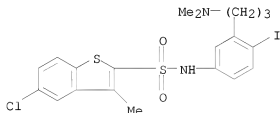
RN 345893-28-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethoxy]-4-methylphenyl]-3-methyl- (CA INDEX NAME)



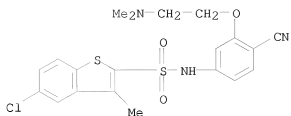
RN 345893-35-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[3-(dimethylamino)propyl]-4-iodophenyl]-3-methyl- (CA INDEX NAME)



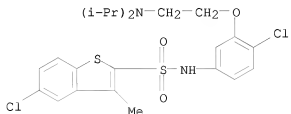
RN 345893-39-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-cyano-3-[2-(dimethylamino)ethoxy]phenyl]-3-methyl- (CA INDEX NAME)



RN 345893-41-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[3-[2-[bis(1-methylethyl)amino]ethoxy]-4-chlorophenyl]-5-chloro-3-methyl- (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 134 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2001:396861 CAPLUS

DN 135:5455

TI Preparation of hydroxamic acids as inhibitors of histone deacetylase

IN Delorme, Daniel; Ruel, Rejean; Lavoie, Rico; Thibault, Carl; Abou-khalil, Elie

PA Methylgene, Inc., Can.

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001038322	A1	20010531	WO 2000-IB1881	20001122
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2391952	A1	20010531	US 1999-167035P CA 2000-2391952 US 1999-167035P WO 2000-IB1881	P 19991123 20001122 P 19991123 W 20001122
	EP 1233958	A1	20020828	EP 2000-981535	20001122
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

			US 1999-167035P	P	19991123
			WO 2000-IB1881	W	20001122
US 6541661	B1	20030401	US 2000-718265		20001122
			US 1999-167035P	P	19991123
JP 2003514904	T	20030422	JP 2001-540085		20001122
			US 1999-167035P	P	19991123
			WO 2000-IB1881	W	20001122
AU 783504	B2	20051103	AU 2001-18768		20001122
			US 1999-167035P	P	19991123
			WO 2000-IB1881	W	20001122
EP 1748046	A2	20070131	EP 2006-11600		20001122
EP 1748046	A3	20070822			
	R:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI			
			US 1999-167035P	P	19991123
			EP 2000-981535	A3	20001122
MX 2002PA05196	A	20030922	MX 2002-PA5196		20020523
			US 1999-167035P	P	19991123
			WO 2000-IB1881	W	20001122
US 39850	E1	20070918	US 2004-880444		20040629
			US 1999-167035P	P	19991123
			US 2000-718265	E	20001122
AU 2006200456	A1	20060302	AU 2006-200456		20060202
			AU 2001-18768	A3	20001122
KR 2007053362	A	20070523	KR 2007-709772		20070427
			US 1999-167035P	P	19991123
			WO 2000-IB1881	W	20001122
			KR 2002-706560	A3	20020522

OS MARPAT 135:5455

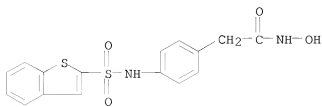
AB The title compds. CyL1ArY1CONHZ [Cy = (un)substituted cycloalkyl, aryl, heteroaryl, etc.; L1 = (CH2)mW (wherein m = 0-4; W = CONH, SO2NH, NHCO, NHSO2, NHCONH); Ar = (un)substituted arylene which may be fused to an aryl, heteroaryl, etc.; Y1 = a bond, alkylene; Z = aniliny, pyridyl, thiadiazoly, OM (M = H, a pharmaceutically acceptable cation)], useful for inhibiting histone deacetylase enzymic activity, were prepared E.g., a multi-step synthesis of the title compound I which showed IC50 of 7 µM against histone deacetylase in nuclear exts. from H446 cells (pooled HDACs), was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

IT 342372-00-3P 342372-07-0P 342372-08-1P
342372-41-2P

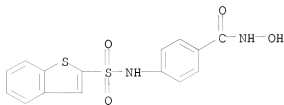
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxamic acids as inhibitors of histone deacetylase)

RN 342372-00-3 CAPLUS

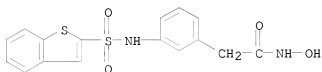
CN Benzeneacetamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



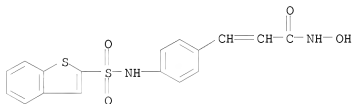
RN 342372-07-0 CAPLUS
 CN Benzamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



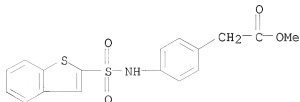
RN 342372-08-1 CAPLUS
 CN Benzeneacetamide, 3-[(benzo[b]thien-2-ylsulfonyl)amino]-N-hydroxy- (CA INDEX NAME)



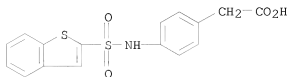
RN 342372-41-2 CAPLUS
 CN 2-Propenamide, 3-[4-[(benzo[b]thien-2-ylsulfonyl)amino]phenyl]-N-hydroxy- (CA INDEX NAME)



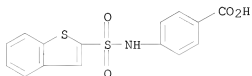
IT 342373-19-7P 342373-20-0P 342373-22-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of hydroxamic acids as inhibitors of histone deacetylase)
 RN 342373-19-7 CAPLUS
 CN Benzeneacetic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]-, methyl ester (CA INDEX NAME)



RN 342373-20-0 CAPLUS
 CN Benzenesulfonamide, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)



RN 342373-22-2 CAPLUS
 CN Benzoic acid, 4-[(benzo[b]thien-2-ylsulfonyl)amino]- (CA INDEX NAME)



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 135 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2001:338517 CAPLUS
 DN 134:353316
 TI Preparation of N-(piperazinylquinolyl)aranesulfonamides and analogs as
 5-HT6 receptor antagonists
 IN Bromidge, Steven Mark; Serafinowska, Halina Teresa
 PA Smithkline Beecham P.L.C., UK
 SO PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

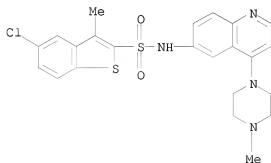
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032646	A2	20010510	WO 2000-EP10911	20001102
	WO 2001032646	A3	20011227		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				GB 1999-26302	A 19991105
EP	1228066	A2	20020807	EP 2000-974509	20001102
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
				GB 1999-26302	A 19991105
				WO 2000-EP10911	W 20001102
JP	2003513085	T	20030408	JP 2001-534797	20001102
				GB 1999-26302	A 19991105

OS MARPAT 134:353316
 AB R1Z1SO2NR2ZR4 [I; R1 = (un)substituted (hetero)aryl; R2 = H or alkyl; R4 = Z2R5; R5 = heterocyclyl; Z = e.g., (un)substituted quinoline-6,n-diyl; Z1 = bonds or alk(en)ylene; Z2 = bond, CH2, O, (alkyl)imino; n = 2-4] were prepared. Thus, 4-(4-methylpiperazin-1-yl)quinoline-6-amine was amidated by 5-chloro-3-methylbenzofuran-2-sulfonyl chloride (preparation each given) to give title compound II. Data for biol. activity of I were given.

IT 338796-52-4P 338796-58-0P 338796-59-1P
 338796-60-4P 338796-63-7P 338796-68-2P
 338796-74-0P 338796-77-3P 338796-78-4P
 338796-82-0P 338796-85-3P 338796-86-4P
 338796-89-7P 338796-91-1P 338796-92-2P
 338796-93-3P 338796-94-4P 338796-95-5P

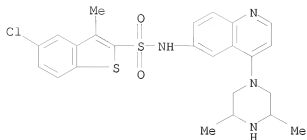
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(piperazinylquinolyl)aranesulfonamides and analogs as 5-HT6 receptor antagonists)

RN 338796-52-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinoliny]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

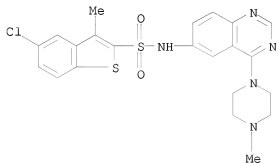
RN 338796-58-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(3,5-dimethyl-1-piperazinyl)-6-quinoliny]-3-methyl-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-59-1 CAPLUS

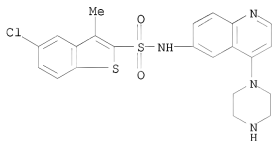
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(4-methyl-1-piperazinyl)-6-quinazolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-60-4 CAPLUS

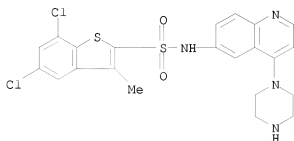
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-piperazinyl)-6-quinoliny]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-63-7 CAPLUS

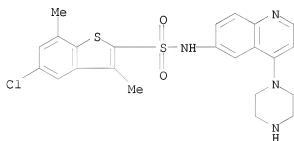
CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-68-2 CAPLUS

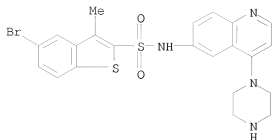
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3,7-dimethyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-74-0 CAPLUS

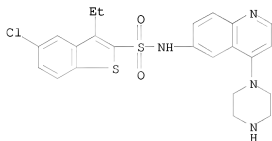
CN Benzo[b]thiophene-2-sulfonamide, 5-bromo-3-methyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-77-3 CAPLUS

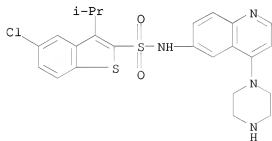
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-ethyl-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-78-4 CAPLUS

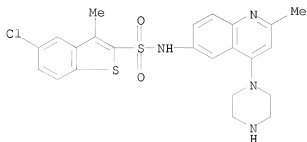
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-(1-methylethyl)-N-[4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-82-0 CAPLUS

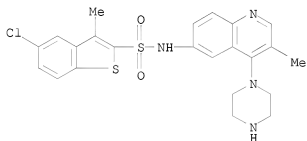
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[2-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-85-3 CAPLUS

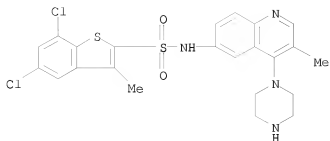
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 338796-86-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5,7-dichloro-3-methyl-N-[3-methyl-4-(1-piperazinyl)-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

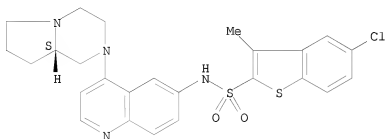


● x HCl

RN 338796-89-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-6-quinolinyl]-3-methyl-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

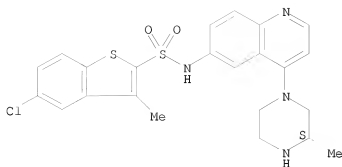


● x HCl

RN 338796-91-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3S)-3-methyl-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

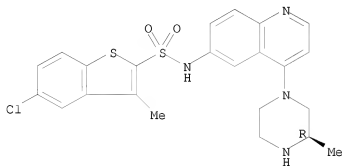


●x HCl

RN 338796-92-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-methyl-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

Absolute stereochemistry.

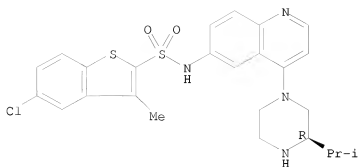


●x HCl

RN 338796-93-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-[(3R)-3-(1-methylethyl)-1-piperazinyl]-6-quinolinyl]-, hydrochloride (1:?) (CA INDEX NAME)

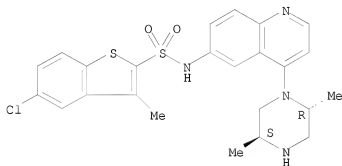
Absolute stereochemistry.



● x HCl

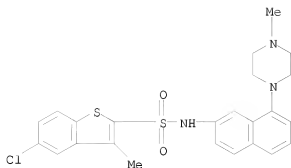
RN 338796-94-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-[(2R,5S)-2,5-dimethyl-1-piperazinyl]-6-quinoliny]-3-methyl-, hydrochloride (1:?), rel- (CA INDEX NAME)

Relative stereochemistry.



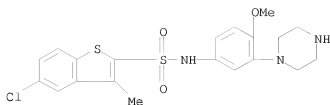
● x HCl

RN 338796-95-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[8-(4-methyl-1-piperazinyl)-2-naphthalenyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

L6 ANSWER 136 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2001:65662 CAPLUS
 DN 135:101734
 TI SB-271046 SmithKline Beecham
 AU Miguel-Hidalgo, Jose Javier
 CS Department of Psychiatry and Human Behavior, University of Mississippi
 Medical Center, Jackson, MS, 39216-4505, USA
 SO Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2001), 2(1),
 118-122
 CODEN: COIDAZ
 PB PharmaPress Ltd.
 DT Journal; General Review
 LA English
 AB A review, with 29 refs. SmithKline Beecham is developing the 5-HT₆
 antagonist, SB-271046, as a potential cognition enhancer. By Dec. 1999,
 phase I trials had commenced. This drug was originally being developed
 primarily for the treatment of schizophrenia, however, cognitive
 disorders, including but not limited to Alzheimer's disease, have been the
 main target since 1998. SB-271046 is a potent, selective 5-HT₆ antagonist
 with a pK_i value of 8.9. Data recently presented at the Society for
 Neuroscience annual meeting in Nov. 2000 demonstrated that administration
 of SB-271046 resulted in a significant increase in glutamate and aspartate
 levels in the frontal cortex, without affecting noradrenaline, dopamine or
 5-HT levels. This was stated to suggest that 5-HT₆ antagonists might
 therefore be useful for treating cognitive dysfunction. The drug has also
 been radiolabeled in order to provide an assay for estimating in vivo 5-HT₆
 receptor occupancy.
 IT 209481-20-9, SB-271046
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)
 (SB-271046 as cognition enhancer and pharmacol. thereof)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 137 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:31512 CAPLUS
DN 134:95480
TI Sulfonamidomethyl phosphonate inhibitors of β -lactamase
IN Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail
PA Methylgene Inc., Can.
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001002411	A1	20010111	WO 2000-US18344	20000705
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2377762	A1	20010111	US 1999-142362P	P 19990706
CA 2377762	C	20080930	CA 2000-2377762	20000705
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			WO 2000-US18344	W 20000705
EP 1194436	A1	20020410	EP 2000-943381	20000705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1999-142362P	P 19990706
			WO 2000-US18344	W 20000705
JP 2003503505	T	20030128	JP 2001-507847	20000705
			US 1999-142362P	P 19990706
			WO 2000-US18344	W 20000705
AU 770599	B2	20040226	AU 2000-57858	20000705
			US 1999-142362P	P 19990706
			WO 2000-US18344	W 20000705
AT 311397	T	20051215	AT 2000-943381	20000705
			US 1999-142362P	P 19990706
			WO 2000-US18344	W 20000705
ES 2250150	T3	20060416	ES 2000-943381	20000705
			US 1999-142362P	P 19990706
MX 2002PA00246	A	20030820	MX 2002-PA246	20020107
			US 1999-142362P	P 19990706
			WO 2000-US18344	W 20000705

PATENT FAMILY INFORMATION:

FAN 2004:120574

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
	US 6472406	B1	20021029	US 2000-610456	20000705
				US 1999-142362P	P 19990706
	US 20040059115	A1	20040325	US 2002-266213	20021008
	US 7030103	B2	20060418		
				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
	US 20040082546	A1	20040429	US 2003-411484	20030408
	US 6921756	B2	20050726		
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				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A2 20021122
				US 2003-411484	A1 20030408
WO	2004048393	A2	20040610	WO 2003-US36929	20031119
WO	2004048393	A3	20040819		
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-302124	A1 20021122
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AU	2003295638	A1	20040618	AU 2003-295638	20031119
				US 2002-302124	A 20021122
				US 2003-411484	A 20030408
				WO 2003-US36929	W 20031119
US	20050043276	A1	20050224	US 2004-884435	20040702
	US 7259172	B2	20070821		
				US 1999-142362P	P 19990706
				US 2000-610456	A2 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
	US 20060105999	A1	20060518	US 2005-535391	20050518
				US 2002-302124	A2 20021122
				US 2003-411484	A2 20030408
				WO 2003-US36929	W 20031119
	US 20070293675	A1	20071220	US 2007-830305	20070730
				US 1999-142362P	P 19990706
				US 2000-610456	A1 20000705
				US 2002-266213	A2 20021008
				US 2002-302124	A3 20021122
				US 2004-884435	A3 20040702

FAN 2004:353142

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	US 20040082546	A1	20040429	US 2003-411484	20030408

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			US 2000-610456	A2	20000705
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			US 2002-302124	A2	20021122
US 6472406	B1	20021029	US 2000-610456		20000705
			US 1999-142362P	P	19990706
US 20040059115	A1	20040325	US 2002-266213		20021008
US 7030103	B2	20060418			
			US 1999-142362P	P	19990706
			US 2000-610456	A1	20000705
			US 2002-302124	A2	20021122
US 20040029836	A1	20040212			
US 6884791	B2	20050426			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
WO 2004048393	A2	20040610	WO 2003-US36929		20031119
WO 2004048393	A3	20040819			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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			US 2002-302124	A1	20021122
			US 2003-411484	A1	20030408
AU 2003295638	A1	20040618	AU 2003-295638		20031119
			US 2002-302124	A	20021122
			US 2003-411484	A	20030408
			WO 2003-US36929	W	20031119
US 20060105999	A1	20060518	US 2005-535391		20050518
			US 2002-302124	A2	20021122
			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
FAN 2006:464674					
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
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PI US 20060105999	A1	20060518	US 2005-535391		20050518
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			US 2003-411484	A2	20030408
			WO 2003-US36929	W	20031119
US 20040029836	A1	20040212	US 2002-302124		20021122
US 6884791	B2	20050426			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
US 20040082546	A1	20040429	US 2003-411484		20030408
US 6921756	B2	20050726			
			US 1999-142362P	P	19990706
			US 2000-610456	A2	20000705
			US 2002-266213	A2	20021008
			US 2002-302124	A2	20021122
WO 2004048393	A2	20040610	WO 2003-US36929		20031119
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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
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 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2002-302124 A1 20021122
 US 2003-411484 A1 20030408

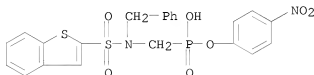
OS MARPAT 134:95480

AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β -lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic β -lactamase inhibitors presently available and which do not require a β -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. is also described.

IT 318463-03-5P 318463-04-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (sulfonamidomethyl phosphonate β -lactamase inhibitor preparation and antibacterial use)

RN 318463-03-5 CAPLUS

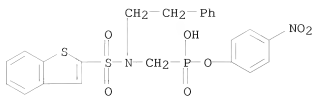
CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

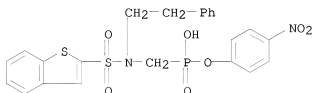
RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)

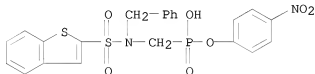


● NH₃

IT 318460-62-7 318460-64-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sulfonamidomethyl phosphonate β -lactamase inhibitor preparation and antibacterial use)
 RN 318460-62-7 CAPLUS
 CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



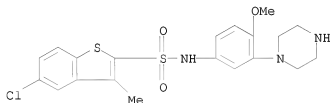
RN 318460-64-9 CAPLUS
 CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 138 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2000:872660 CAPLUS
 DN 134:216801
 TI Phenyl benzenesulfonamides are novel and selective 5-HT₆ antagonists: identification of N-(2,5-dibromo-3-fluorophenyl)-4-methoxy-3-piperazin-1-ylbenzenesulfonamide (SB-357134)
 AU Bromidge, S. M.; Clarke, S. E.; Gager, T.; Griffith, K.; Jeffrey, P.; Jennings, A. J.; Joiner, G. F.; King, F. D.; Lovell, P. J.; Moss, S. F.; Newman, H.; Riley, G.; Rogers, D.; Routledge, C.; Serafinowska, H.; Smith,

D. R.
 CS Discovery Chemistry Europe, SmithKline Beecham Pharmaceuticals, Discovery
 Research, Harlow, Essex, CM19 5AW, UK
 SO Bioorganic & Medicinal Chemistry Letters (2000), Volume Date 2001, 11(1),
 55-58
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB Substituted N-phenyl-4-methoxy-3-piperazin-1-ylbenzenesulfonamides and
 conformationally restricted analogs have been identified as high affinity
 and selective 5-HT6 antagonists. Compds. from this series had a range of
 pharmacokinetic profiles in rat and in general there was a correlation
 between clearance and CNS penetration. Based on its overall biol. profile
 SB-357134 was selected for further pre-clin. evaluation.
 IT 209481-20-9, SB 271046
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological
 process); BSU (Biological study, unclassified); BIOL (Biological study);
 PROC (Process)
 (Ph benzenesulfonamides as 5-HT6 antagonists)
 RN 209481-20-9 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-
 piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 139 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2000:578304 CAPLUS
 DN 133:247136
 TI Characterization of SB-271046: a potent, selective and orally active 5-HT6
 receptor antagonist
 AU Routledge, Carol; Bromidge, Steven M.; Moss, Stephen F.; Price, Gary W.;
 Hirst, Warren; Newman, Helen; Riley, Graham; Gager, Tracey; Stean, Tania;
 Upton, Neil; Clarke, Stephen E.; Brown, Anthony M.; Middlemiss, Derek N.
 CS Department of Neuroscience Research, SmithKline Beecham Pharmaceuticals,
 Essex, CM19 5AW, UK
 SO British Journal of Pharmacology (2000), 130(7), 1606-1612
 CODEN: BJPCBM; ISSN: 0007-1188
 PB Nature Publishing Group
 DT Journal
 LA English
 AB 1 SB-271046, potently displaced [3H]-LSD and [125I]-SB-258585 from human
 5-HT6 receptors recombinantly expressed in HeLa cells in vitro (pKi 8.92
 and 9.09 resp.). SB-271046 also displaced [125I]-SB-258585 from human
 caudate putamen and rat and pig striatum membranes (pKi 8.81, 9.02 and
 8.55 resp.). 2 SB-271046 was over 200 fold selective for the 5-HT6
 receptor vs 55 other receptors, binding sites and ion channels. 3 In
 functional studies on human 5-HT6 receptors SB-271046 competitively

antagonized 5-HT-induced stimulation of adenylyl cyclase activity with a pA2 of 8.71. 4 SB-271046 produced an increase in seizure threshold over a wide-dose range in the rat maximal electroshock seizure threshold (MEST) test, with a min. ED of ≤ 0.1 mg kg⁻¹ p.o. and maximum effect at 4 h post-dose. The level of anticonvulsant activity achieved correlated well with the blood concns. of SB-271046 (EC50 of 0.16 μ M) and brain concns. of 0.01 - 0.04 μ M at Cmax. 5 These data, together with the observed anticonvulsant activity of other selective 5-HT6 receptor antagonists, SB-258510 (10 mg kg⁻¹, 2-6 h pre-test) and Ro 04-6790 (1-30 mg kg⁻¹, 1 h pre-test), in the rat MEST test, suggest that the anticonvulsant properties of SB-271046 are likely to be mediated by 5-HT6 receptors. 6 Overall, these studies demonstrate that SB-271046 is a potent and selective 5-HT6 receptor antagonist and is orally active in the rat MEST test. SB-271046 represents a valuable tool for evaluating the in vivo central function of 5-HT6 receptors.

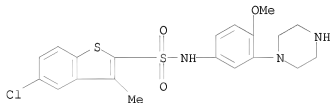
IT 209481-20-9, SB-271046

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(characterization of SB-271046: a potent, selective and orally active 5-HT6 receptor antagonist)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 140 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:578303 CAPLUS

DN 133:261808

TI Characterization of [125I]-SB-258585 binding to human recombinant and native 5-HT6 receptors in rat, pig and human brain tissue

AU Hirst, Warren D.; Minton, Jayne A. L.; Bromidge, Steven M.; Moss, Stephen F.; Latter, Alison J.; Riley, Graham; Routledge, Carol; Middlemiss, Derek N.; Price, Gary W.

CS Department of Neuroscience Research, SmithKline Beecham Pharmaceuticals, Essex, CM19 5AW, UK

SO British Journal of Pharmacology (2000), 130(7), 1597-1605

CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB 1 SB-258585 (4-Iodo-N-[4-methoxy-3-(4-methyl-piperazin-1-yl)-phenyl]-benzenesulfonamide) is a high affinity ligand at 5-HT6 receptors. It displays over 100 fold selectivity for the 5-HT6 receptor over all other 5-HT receptors tested so far. SB-258585 has been radiolabeled, to high specific activity, for its characterization as a 5-HT6 receptor selective radioligand. 2 [125I]-SB-258585 bound, with high

affinity, to a single population of receptors in a cell line expressing human recombinant 5-HT₆ receptors. Kinetic and saturation binding expts. gave pK_D values of 9.01 ± 0.09 and 9.09 ± 0.02, resp. 3 In membranes derived from rat or pig striatum and human caudate putamen, [125I]-SB-258585 labeled a single site with high levels (>60%) of specific binding. Saturation anal. revealed pK_D values of 8.56 ± 0.07 for rat, 8.60 ± 0.10 for pig and 8.90 ± 0.02 for human. B_{max} values for the tissues ranged from 173 ± 23 and 181 ± 25 fmol mg⁻¹ protein in rat and pig striatum, resp., to 215 ± 41 fmol mg⁻¹ protein in human caudate putamen. 4 The pK_i rank order of potency for a number of compds., determined

in

competition binding assays with [125I]-SB-258585, at human caudate putamen membranes was: SB-271046 > SB-258585 > SB-214111 > methiothepin > clozapine > 5-Me-OT > 5-HT > Ro 04-6790 > mianserin > ritanserin = amitriptyline > 5-CT > mesulergine. Similar profiles were obtained from pig and rat striatal membranes and recombinant 5-HT₆ receptors; data from the latter correlated well with [3H]-LSD binding. 5 Thus, [125I]-SB-258585 is a high affinity, selective radioligand which can be used to label both recombinant and native 5-HT₆ receptors and will facilitate further characterization of this receptor subtype in animal and human tissues.

IT

209481-20-9, SB-271046

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)

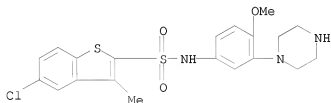
(characterization of [125I]-SB-258585 binding to human recombinant and native 5-HT₆ receptors in rat, pig and human brain tissue)

RN

209481-20-9 CAPLUS

CN

Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 44

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6

ANSWER 141 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN

2000:508647 CAPLUS

DN

133:275842

TI

6-bicyclopiperazinyl-1-arylsulfonylindoles and
6-bicyclopiperidinyl-1-arylsulfonylindoles derivatives as novel, potent,
and selective 5-HT₆ receptor antagonists

AU

Isaac, M.; Slassi, A.; Xin, T.; MacLean, N.; Wilson, J.; McCallum, K.;
Wang, H.; Demchshyn, L.

CS

NPS Allelix Corp., Mississauga, ON, L4V 1V7, Can.

SO

Bioorganic & Medicinal Chemistry Letters (2000), 10(15), 1719-1721
CODEN: BMCLE8; ISSN: 0960-894X

PB

Elsevier Science Ltd.

DT

Journal

LA

English

AB

A novel series of 6-bicyclopiperazinyl-1-arylsulfonylindoles and

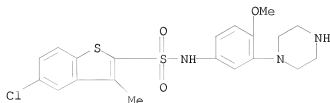
6-bicyclopiperidiny-1-arylsulfonylindoles derivs. was synthesized and found to be potent and selective 5-HT₆ receptor antagonists.

IT 209481-20-9

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(novel 5-HT₆ receptor antagonists design)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 142 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2000:358778 CAPLUS

DN 133:114963

TI In vivo effects of the 5-HT₆ antagonist SB-271046 on striatal and frontal cortex extracellular concentrations of noradrenaline, dopamine, 5-HT, glutamate and aspartate

AU Dawson, L. A.; Nguyen, H. Q.; Li, P.

CS Neuroscience Discovery Research, Wyeth Research, Princeton, NJ, 08543, USA

SO British Journal of Pharmacology (2000), 130(1), 23-26

CODEN: BJPCBM; ISSN: 0007-1188

PB Nature Publishing Group

DT Journal

LA English

AB Although the 5-HT₆ receptor subtype was identified some 5 yr ago, very little is known about its function within the brain. Here we demonstrate, for the first time, the neurochem. effects of a selective 5-HT₆ receptor ligand. Using in vivo microdialysis in the freely moving rat, we evaluated the effects of the selective 5-HT₆ receptor antagonist SB-271046 by simultaneous measurement of 5-hydroxytryptamine (5-HT), dopamine (DA), noradrenaline (NA), glutamate and aspartate from the striatum and frontal cortex. SB-271046 did not alter basal levels of 5-HT, DA and NA in either brain region. Similarly, there was no change basal levels of either of the excitatory amino acids within the striatum. In contrast, administration of SB-271046 (10 mg kg⁻¹ s.c.) produced a significant (P<0.05), tetrodotoxin-dependent, increase in extracellular levels of both glutamate and aspartate within the frontal cortex, reaching maximum values of 375.4±82.3 and 215.3±62.1% of preinjection values, resp.

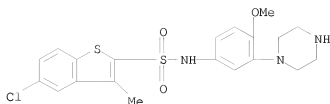
IT 209481-20-9, SB 271046

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(5-HT₆ antagonist SB-271046 effect on striatum and frontal cortex neurotransmitters: relevance to cognitive dysfunction treatment)

RN 209481-20-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)

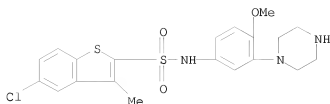


RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 143 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:161385 CAPLUS
DN 132:199081
TI 5-HT6 receptor antagonists for the treatment of Parkinson disease
IN Routledge, Carol
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012623	A2	20000309	WO 1999-EP6219	19990825
	WO 2000012623	A3	20000824		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9959706	A1	20000321	GB 1998-18914 AU 1999-59706 GB 1998-18914 WO 1999-EP6219	A 19980828 19990825 A 19980828 W 19990825

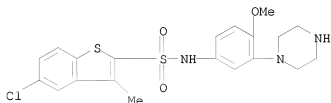
OS MARPAT 132:199081
AB The use of 5-HT6 receptor antagonists for the treatment of Parkinson disease is described.. An example of the antagonist is a benzo[b]thiophene-2-sulfonamide containing a piperazine ring. dissolved in a suitable pharmaceutical carrier.
IT 209481-20-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT6 receptor antagonists for treatment of Parkinson disease)
RN 209481-20-9 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



L6 ANSWER 144 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2000:161118 CAPLUS
 DN 132:203153
 TI Use of 5-HT6 antagonists for the treatment of attention deficit
 hyperactivity disorder
 IN Reavill, Charles Alan; Routledge, Carol
 PA Smithkline Beecham P.L.C., UK
 SO PCT Int. Appl., 16 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012073	A1	20000309	WO 1999-EP6218	19990825
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9956246	A1	20000321	GB 1998-18916 AU 1999-56246 GB 1998-18916 WO 1999-EP6218	A 19980828 19990825 A 19980828 W 19990825
	EP 1107745	A1	20010620	EP 1999-942912	19990825
	EP 1107745	B1	20041013		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002523447	T	20020730	GB 1998-18916 WO 1999-EP6218 JP 2000-567191 GB 1998-18916 WO 1999-EP6218	A 19980828 W 19990825 19990825 A 19980828 W 19990825
	AT 279181	T	20041015	AT 1999-942912 GB 1998-18916 WO 1999-EP6218	19990825 A 19980828 W 19990825
	ES 2230884	T3	20050501	ES 1999-942912 GB 1998-18916	19990825 A 19980828
	US 6380199	B1	20020430	US 2001-763742 GB 1998-18916 WO 1999-EP6218	20010417 A 19980828 W 19990825
	US 20020094979	A1	20020718	US 2002-99199	20020313
	US 6627661	B2	20030930	GB 1998-18916	A 19980828

OS MARPAT 132:203153
AB 5-HT6 receptor antagonists containing arylsulfamide or arylaminosulfonyl groups are used in the manufacture of a medicament for the treatment of attention deficit hyperactivity disorder.
IT 209481-20-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT6 antagonists for treatment of attention deficit hyperactivity disorder)
RN 209481-20-9 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 145 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:117031 CAPLUS
DN 132:166236
TI Preparation of imidazoles and related compounds as α 1A agonists
IN Altenbach, Robert J.; Meyer, Michael D.; Kerwin, James F., Jr.; Holladay, Mark W.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey; Carroll, William A.
PA Abbott Laboratories, USA
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000007997	A1	20000217	WO 1999-US17739	19990806
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			US 1998-130799	A 19980807
			US 1999-364901	A 19990729
US 6503935	B1	20030107	US 1999-364901	19990729
			US 1998-130799	B2 19980807
CA 2338594	A1	20000217	CA 1999-2338594	19990806
			US 1998-130799	A 19980807

			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
AU 9953386	A	20000228	AU 1999-53386		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
EP 1102754	A1	20010530	EP 1999-939019		19990806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
JP 2002522423	T	20020723	JP 2000-563631		19990806
			US 1998-130799	A	19980807
			US 1999-364901	A	19990729
			WO 1999-US17739	W	19990806
MX 2001PA01412	A	20000821	MX 2001-PA1412		20010207
			US 1998-130799	A	19980807
			WO 1999-US17739	W	19990806

PATENT FAMILY INFORMATION:

FAN 2001:617982

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060802	A1	20010823	WO 2001-US3466	20010201
	W: CA, JP, MX RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	US 20030073850	A1	20030417	US 2000-506750 US 2000-506750 US 1998-130799 US 1999-364901	A 20000217 A 20000217 B2 19980807 A2 19990729
	CA 2399147	A1	20010823	CA 2001-2399147 US 2000-506750 WO 2001-US3466	20010201 A 20000217 W 20010201
	EP 1259491	A1	20021127	EP 2001-908800	20010201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
				US 2000-506750 WO 2001-US3466	A 20000217 W 20010201
	JP 2003523333	T	20030805	JP 2001-560187 US 2000-506750 WO 2001-US3466	20010201 A 20000217 W 20010201
	MX 2002PA08001	A	20030128	MX 2002-PA8001 US 2000-506750 WO 2001-US3466	20020816 A 20000217 W 20010201

FAN 2003:17797

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6503935	B1	20030107	US 1999-364901 US 1998-130799	19990729 B2 19980807
	CA 2338594	A1	20000217	CA 1999-2338594 US 1998-130799 US 1999-364901 WO 1999-US17739	19990806 A 19980807 A 19990729 W 19990806
	WO 2000007997	A1	20000217	WO 1999-US17739	19990806
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,				

				TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW		
				RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
AU	9953386	A	20000228	AU 1999-53386		19990806
				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
EP	1102754	A1	20010530	EP 1999-939019		19990806
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
JP	2002522423	T	20020723	JP 2000-563631		19990806
				US 1998-130799	A	19980807
				US 1999-364901	A	19990729
				WO 1999-US17739	W	19990806
TW	517050	B	20030111	TW 1999-88113524		19990914
				US 1998-130799	A	19980807
US	20030073850	A1	20030417	US 2000-506750		20000217
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				US 1999-364901	A2	19990729
FAN	2003:300646					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 20030073850	A1	20030417	US 2000-506750		20000217
				US 1998-130799	B2	19980807
				US 1999-364901	A2	19990729
US	6503935	B1	20030107	US 1999-364901		19990729
				US 1998-130799	B2	19980807
CA	2399147	A1	20010823	CA 2001-2399147		20010201
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
WO	2001060802	A1	20010823	WO 2001-US3466		20010201
	W: CA, JP, MX					
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
				US 2000-506750	A	20000217
EP	1259491	A1	20021127	EP 2001-908800		20010201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
JP	2003523333	T	20030805	JP 2001-560187		20010201
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
MX	2002PA08001	A	20030128	MX 2002-PA8001		20020816
				US 2000-506750	A	20000217
				WO 2001-US3466	W	20010201
OS	MARPAT 132:166236					
AB	The title compds. [I; R1 = SO2R9, COR9; R9 = alkenyl, alkyl, alkynyl, etc.; R2 = H, alkenyl, alkoxy, etc.; R3 = H, alkenyloxy, alkyl, etc.; R4 = H, alkyl, alkoxy, haloalkyl, etc.; R3 and R4 together with the carbon atoms to which they are attached form a 5-7 membered carbocyclic ring, 5-6 membered ring containing 1 heteroatom selected from O, NR11, SOn; R11 = H, alkenyl, alkyl, etc.; n = 0-2; R5 = imidazolyl, pyrazolyl, oxazolyl, etc.;					

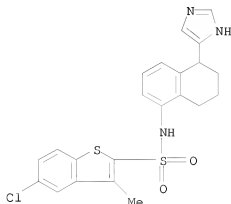
R6 = H, alkoxy, alkyl, etc.; R7 = H, alkenyl, alkyl, etc.; R8 = H, alkyl; R3 and R8 together with the carbon atom to which they are attached form a 3-6 membered carbocyclic ring, C:CR12R15; R12, R15 = H, alkoxy, alkyl, etc.), useful in treating diseases prevented by or ameliorated with α 1A agonists, were prepared E.g., a detailed multi-step synthesis of 11.HCl, was given. Biol. data for compds. I were presented.

IT 258527-24-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoles and related compds. as α 1A agonists)

RN 258527-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[5,6,7,8-tetrahydro-5-(1H-imidazol-5-yl)-1-naphthalenyl]- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 146 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1999:549274 CAPLUS

DN 131:170364

TI Preparation of sulfonanilide 5-HT6 receptor antagonists

IN Bromidge, Steven Mark; Serafinowska, Halina Teresa

PA Smithkline Beecham PLC, UK

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9942465	A2	19990826	WO 1999-EP1013	19990212
	WO 9942465	A3	19990930		
	W: CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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OS MARPAT 131:170364

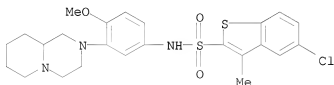
AB RZ12Z3R4 [R = (un)substituted phenylene, -heterocyclylene, etc.; R4 = (un)substituted N-attached diazabicycloalkyl; Z1 = bond or alk(en)ylene; Z2 = SO2NH or NHSO2; Z3 = (un)substituted 1,3-phenylene] were prepared as 5-HT6 receptor antagonists (no data). Thus, 2-methoxy-5-nitroaniline was N-alkylated by 2-bromomethylpiperidine and the product N-alkylated by BrCH2CO2Et to give, after cyclization and 2 reduction steps, 4-methoxy-3-octahydropyrido[1,2-a]pyrazin-2-ylaniline which was amidated by 5-chloro-3-methylbenzo[b]thiophene-2-sulfonyl chloride to give title compound I.

IT 239122-27-1P 239122-28-2P 239122-29-3P
239122-30-6P 239122-31-7P 239122-32-8P
239122-33-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonanilide 5-HT6 receptor antagonists)

RN 239122-27-1 CAPLUS

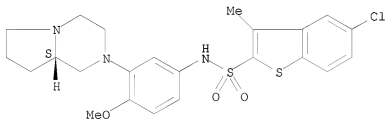
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(octahydro-2H-pyrido[1,2-a]pyrazin-2-yl)phenyl]-3-methyl- (CA INDEX NAME)



RN 239122-28-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aS)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

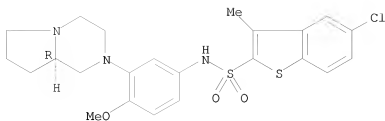
Absolute stereochemistry.



RN 239122-29-3 CAPLUS

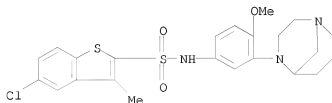
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[(8aR)-hexahydropyrrolo[1,2-a]pyrazin-2(1H)-yl]-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



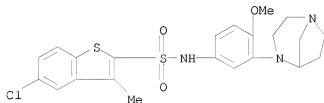
RN 239122-30-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.3.1]non-4-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)



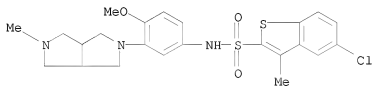
RN 239122-31-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(1,4-diazabicyclo[3.2.1]oct-4-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)



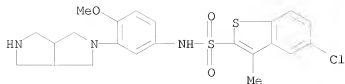
RN 239122-32-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(hexahydro-5-methylpyrrolo[3,4-c]pyrrol-2(1H)-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

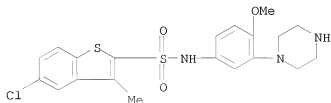


RN 239122-33-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-(hexahydropyrrolo[3,4-c]pyrrol-2(1H)-yl)-4-methoxyphenyl]-3-methyl- (CA INDEX NAME)

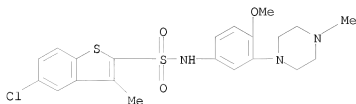


L6 ANSWER 147 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 1999:8652 CAPLUS
 DN 130:168329
 TI 5-Chloro-N-(4-methoxy-3-piperazin-1-ylphenyl)-3-methyl-2-benzothiophenesulfonamide (SB-271046): A Potent, Selective, and Orally Bioavailable 5-HT₆ Receptor Antagonist
 AU Bromidge, Steven M.; Brown, Anthony M.; Clarke, Stephen E.; Dodgson, Kathy; Gager, Tracey; Grassam, Helen L.; Jeffrey, Phil M.; Joiner, Graham F.; King, Frank D.; Middlemiss, Derek N.; Moss, Stephen F.; Newman, Helen; Riley, Graham; Routledge, Carol; Wyman, Paul
 CS Departments of Medicinal Chemistry Neuroscience Research and Drug Metabolism and Pharmacokinetics, SmithKline Beecham Pharmaceuticals Discovery Research, Harlow Essex, CM19 5AW, UK
 SO Journal of Medicinal Chemistry (1999), 42(2), 202-205
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB 1-(4-Arylsulfonyl-2-methoxyphenyl)-4-methylpiperazines were prepared by arylsulfonylation of the amine and tested for 5-HT₆ receptor antagonist activity. 5-Chloro-N-[4-methoxy-3-(4-methylpiperazin-1-yl)phenyl]-3-methyl-2-benzothiophenesulfonamide which was the most potent antagonist was demethylated in vivo. The title compound was, therefore, also prepared and found to be a high-affinity, selective, orally active 5-HT₆ receptor antagonist.
 IT 209481-24-3P 220431-95-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of arylsulfonylaminophenylpiperazines as 5-HT₆ receptor antagonists)
 RN 209481-24-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



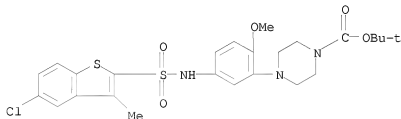
● HCl

RN 220431-95-8 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 209481-82-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of arylsulfonaminophenylpiperazines as 5-HT6 receptor antagonists)
 RN 209481-82-3 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[5-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 148 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 1998:424243 CAPLUS
 DN 129:81756
 OREF 129:16885a,16888a
 TI Preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents
 IN Bromidge, Steven Mark; King, Francis David; Wyman, Paul Andrian
 PA Smithkline Beecham PLC, UK; Bromidge, Steven Mark; King, Francis David; Wyman, Paul Andrian
 SO PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

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			GB 1997-22757	A	19971027
			US 1999-331378	B1	19990618
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OS MARPAT 129:81756

AB The title compds. [I; P = Ph, naphthyl, a bicyclic heterocyclic ring, a 5-7 membered heterocyclic ring containing 1-4 heteroatoms selected from O, N or S; A = a single bond, Cl-6 alkylene, Cl-6 alkenylene; R1 = halo, Cl-6 alkyl, C3-6 cycloalkyl, etc.; n = 0-6; R2 = H, Cl-6 alkyl, aryl Cl-6 alkyl; R3 = R5; R3R5 = (CH2)20, (CH2)30; R3R2 = (CH2)2, (CH2)3; R4 = X(CH2)pR6 (wherein X = a single bond, CH2, O, NH, NC1-6 alkyl; p = 0-6; R6 = (un)substituted 5-7 membered heterocyclic ring containing 1-3 heteroatoms selected from N, S or O, NR7R8; R7, R8 = H, Cl-6 alkyl, aryl Cl-6 alkyl; R5 = H, halo, Cl-6 alkyl, having CNS activity (selective 5-HT6 receptor antagonistic activity) and therefore useful in the treatment of schizophrenia, Alzheimer's disease and/or depression, were prepared. Thus, reaction of thiophene-2-sulfonyl chloride with 4-methoxy-3-(4-methylpiperazin-1-yl)aniline in Me2CO afforded 84% the title compound II. Some of compds. I showed particularly good selective 5-HT6 receptor antagonistic activity, e.g. compound III showed pKi of > 8.0 at human cloned 5-HT6 receptor.

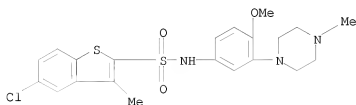
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 209481-69-6P 209481-79-8P 209481-80-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents)

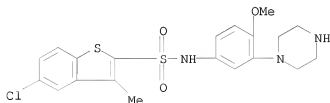
RN 209480-56-8 CAPLUS

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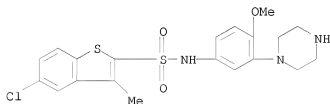
RN 209481-20-9 CAPLUS

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RN 209481-24-3 CAPLUS

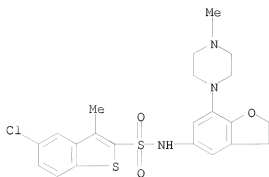
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-piperazinyl)phenyl]-3-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

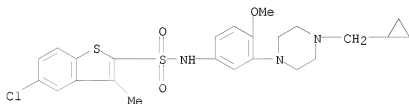
RN 209481-41-4 CAPLUS

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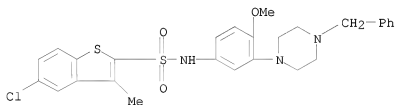
RN 209481-49-2 CAPLUS

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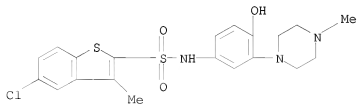
RN 209481-50-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[4-(phenylmethyl)-1-piperazinyl]phenyl]-3-methyl- (CA INDEX NAME)



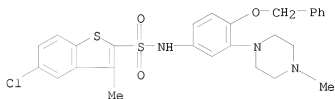
RN 209481-51-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-hydroxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



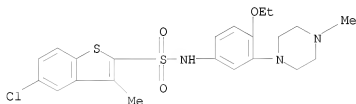
RN 209481-52-7 CAPLUS

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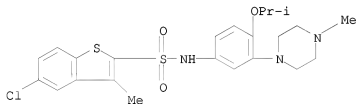
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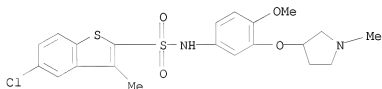
RN 209481-54-9 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-(1-methylethoxy)-3-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)



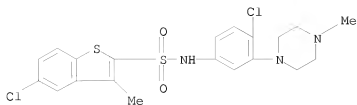
RN 209481-55-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[(1-methyl-3-pyrrolidinyl)oxy]phenyl]-3-methyl- (CA INDEX NAME)



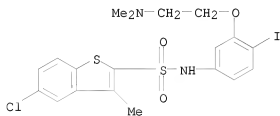
RN 209481-57-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-chloro-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



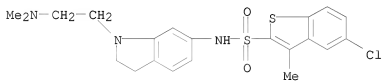
RN 209481-59-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-[2-(dimethylamino)ethoxy]-4-iodophenyl]-3-methyl- (CA INDEX NAME)



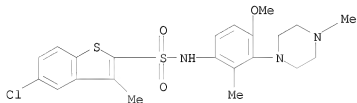
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CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[1-[2-(dimethylamino)ethyl]-2,3-dihydro-1H-indol-6-yl]-3-methyl- (CA INDEX NAME)



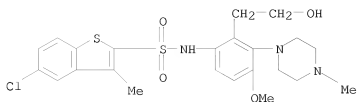
RN 209481-63-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-2-methyl-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



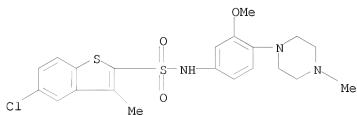
RN 209481-64-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[2-(2-hydroxyethyl)-4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



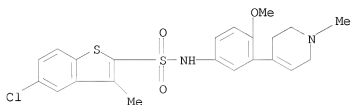
RN 209481-66-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[3-methoxy-4-(4-methyl-1-piperazinyl)phenyl]-3-methyl- (CA INDEX NAME)



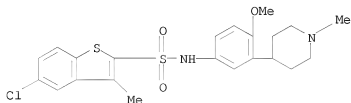
RN 209481-68-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)phenyl]-3-methyl- (CA INDEX NAME)



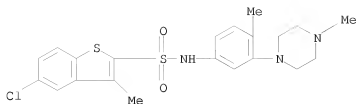
RN 209481-69-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-(1-methyl-4-piperidinyl)phenyl]-3-methyl- (CA INDEX NAME)



RN 209481-79-8 CAPLUS

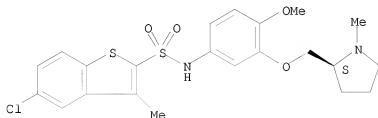
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[4-methyl-3-(4-methyl-1-piperazinyl)phenyl]- (CA INDEX NAME)



RN 209481-80-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-methoxy-3-[[2S]-1-methyl-2-pyrrolidinyl)methoxy]phenyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



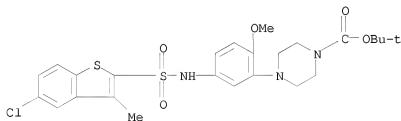
IT 209481-82-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-(piperazinylphenyl) arylsulfonamides as CNS agents)

RN 209481-82-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[5-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonylamino]-2-methoxyphenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 149 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:134849 CAPLUS

DN 126:157509

OREF 126:30463a,30466a

TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors

IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 272 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640679	A1	19961219	WO 1996-US9816	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5612353	A	19970318	US 1995-481024	19950607
	CA 2223403	A1	19961219	CA 1996-2223403	19960607
	CA 2223403	C	20020423		
	AU 9661669	A	19961230	AU 1996-61669	19960607
	AU 714319	B2	20000106		
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
EP	853618	A1	19980722	EP 1996-919298	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI				
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
CN	1190395	A	19980812	CN 1996-194489	19960607
				US 1995-481024	A 19950607
JP	11507368	T	19990629	JP 1996-502029	19960607
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
BR	9608405	A	19990824	BR 1996-8405	19960607
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
AP	799	A	20000119	AP 1997-1144	19960607
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
NO	9705762	A	19980206	NO 1997-5762	19971208
NO	310457	B1	20010709		
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
BG	63628	B1	20020731	BG 1998-102162	19980106
				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
US	6034093	A	20000307	US 1998-130336	19980806
				US 1995-481024	A2 19950607
				WO 1996-US9816	A2 19960607
				US 1996-761414	A2 19961206
				US 1997-976034	A2 19971121
				WO 1997-US22414	A2 19971201

PATENT FAMILY INFORMATION:

FAN 1998:191217

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5731315	A	19980324	US 1996-761414	19961206
				US 1995-481024	A2 19950607
	US 5612353	A	19970318	US 1995-481024	19950607

CA 2223403	A1	19961219	CA 1996-2223403	19960607
CA 2223403	C	20020423		
			US 1995-481024	A 19950607
CN 1190395	A	19980812	CN 1996-194489	19960607
			US 1995-481024	A 19950607
HU 9801882	A2	19981228	HU 1998-1882	19960607
HU 9801882	A3	19990128		
			US 1995-481024	A 19950607
			US 1996-761414	A 19961206
CA 2245699	A1	19980611	CA 1997-2245699	19971201
			US 1996-761414	A 19961206
WO 9824784	A1	19980611	WO 1997-US22414	19971201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
			US 1996-761414	A2 19961206
AU 9860121	A	19980629	AU 1998-60121	19971201
AU 727810	B2	20001221		
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
EP 894088	A1	19990203	EP 1997-954779	19971201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
CN 1213370	A	19990407	CN 1997-192888	19971201
CN 1093856	C	20021106		
			US 1996-761414	A 19961206
BR 9707489	A	19990727	BR 1997-7489	19971201
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
AP 800	A	20000119	AP 1998-1305	19971201
W: GH, KE, LS, MW, SD, SZ, UG, ZW				
			US 1996-761414	A 19961206
JP 2000505815	T	20000516	JP 1998-525861	19971201
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			WO 1997-US22414	W 19971201
HU 9903336	A2	20001228	HU 1999-3336	19971201
HU 9903336	A3	20010730		
			US 1996-761414	A 19961206
ZA 9710968	A	19980722	ZA 1997-10968	19971205
			US 1996-761414	A 19961206
NO 9803603	A	19981005	NO 1998-3603	19980805
			US 1996-761414	A 19961206
			WO 1997-US22414	W 19971201
US 6034093	A	20000307	US 1998-130336	19980806
			US 1995-481024	A2 19950607
			WO 1996-US9816	A2 19960607
			US 1996-761414	A2 19961206
			US 1997-976034	A2 19971121
			WO 1997-US22414	A2 19971201
CN 1418882	A	20030521	CN 2002-103157	20020201
			US 1996-761414	A 19961206

FAN 2000:157715

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6034093	A	20000307	US 1998-130336 US 1995-481024 WO 1996-US9816 US 1996-761414 US 1997-976034 US 1997-US22414	19980806 A2 19950607 A2 19960607 A2 19961206 A2 19971121 A2 19971201
	US 5612353	A	19970318	US 1995-481024	19950607
	WO 9640679	A1	19961219	WO 1996-US9816	19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	US 5731315	A	19980324	US 1995-481024 US 1996-761414 US 1995-481024	A 19950607 19961206 A2 19950607
	US 5958918	A	19990928	US 1997-976034 US 1995-481024 WO 1996-US1816	19971121 A2 19950607 A1 19960607
	WO 9824784	A1	19980611	WO 1997-US22414	19971201
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
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				US 1996-761414	A2 19961206
OS	MARPAT 126:157509				
AB	About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.				
IT	186549-38-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)				
RN	186549-38-2 CAPLUS				
CN	2-Thiophenecarboximidamide, 4-[[[(3S)-3-[[[(5-chloro-3-methylbenzo[b]thien-2-				

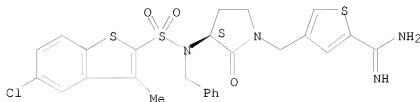
yl)sulfonyl](phenylmethyl)amino]-2-oxo-1-pyrrolidinyl)methyl]-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 186549-37-1

CMF C26 H25 Cl N4 O3 S3

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 186552-21-6P

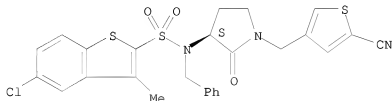
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or
sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide
comps. as Factor Xa inhibitors)

RN 186552-21-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3S)-1-[(5-cyano-3-
thienyl)methyl]-2-oxo-3-pyrrolidinyl]-3-methyl-N-(phenylmethyl)- (CA
INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 150 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1995:929484 CAPLUS

DN 124:116877

OREF 124:21764h,21765a

TI Substituted phenylsulfonamides as selective $\beta 3$ agonists for the treatment of diabetes and obesity

IN Fisher, Michael H.; Mathvink, Robert J.; Ok, Hyun O.; Parmee, Emma R.; Weber, Ann E.

PA Merck and Co., Inc., USA

SO U.S., 35 pp. Cont.-in-part of U.S. Ser. No. 15, 869, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5451677	A	19950919	US 1993-168105	19931215
	WO 9418161	A1	19940818	US 1993-15689	B2 19930209
	W: BB, BG, BR, BY, CN, CZ, FI, HU, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ			WO 1994-US766	19940119
	RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	CA 2114712	A1	19940810	CA 1994-2114712	19940201
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	IL 108507	A	19980924	IL 1994-108507	19940201
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	EP 611003	A1	19940817	EP 1994-200303	19940203
	EP 611003	B1	19970618		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	AT 154594	T	19970715	AT 1994-200303	19940203
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	ES 2104259	T3	19971001	ES 1994-200303	19940203
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	ZA 9400846	A	19940905	ZA 1994-846	19940208
				US 1993-15689	A 19930209
	AU 9454986	A	19950629	AU 1994-54986	19940208
	AU 670477	B2	19960718		
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	JP 07010827	A	19950113	JP 1994-15323	19940209
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215

PATENT FAMILY INFORMATION:

FAN 1994:700591

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 611003	A1	19940817	EP 1994-200303	19940203
	EP 611003	B1	19970618		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	US 5451677	A	19950919	US 1993-168105	19931215
				US 1993-15689	B2 19930209

OS CASREACT 124:116877; MARPAT 124:116877

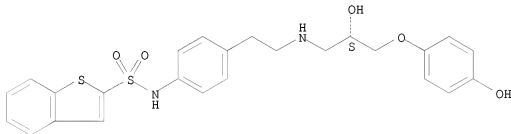
AB Substituted phenylsulfonamides I where n is 0 to 7; m is 0 or 1; p is 0 to 3; A is Ph, naphthyl, a 5 or 6-membered heterocyclic ring with from 1 to 4 heteroatoms selected from oxygen, sulfur or nitrogen, a benzene ring fused to a C3-8 cycloalkyl ring, a benzene ring fused to a 5 or 6-membered heterocyclic ring with from 1 to 3 heteroatoms selected from oxygen, sulfur or nitrogen or a 5 or 6-membered heterocyclic ring with from 1 to 3 heteroatoms selected from oxygen, sulfur or nitrogen; R1 = e.g., OH, oxo, halo, cyano nitro; R2 and R3 are independently, e.g., H, C1-6-alkyl; X = CH2, CH2CH2, CH2CH; R4 and R5 are independently H, C1-6-alkyl, halo; R6 = H or C1-6-alkyl; R7 = C3-8-cycloalkyl or [B(R1)n] where B is, e.g., Ph, naphthyl, a 5 or 6-membered heterocyclic ring with from 1 to 4 heteroatoms selected from oxygen, sulfur or nitrogen; are selective β_3 adrenergic receptor agonists with very little β_1 and β_2 adrenergic receptor activity and as such the compds. are capable of increasing lipolysis and energy expenditure in cells (no data). The compds. thus have potent activity in the treatment of Type II diabetes and obesity. The compds. can also be used to lower triglyceride levels and cholesterol levels or raise high d. lipoprotein levels or to decrease gut motility. In addition, the compds. can be used to reduced neurogenic inflammation or as antidepressant agents. The compds. are prepared by coupling an aminoalkylphenylsulfonamide with an appropriately substituted alkyl epoxide. Compns. and methods for the use of the compds. in the treatment of diabetes and obesity and for lowering triglyceride levels and cholesterol levels or raising high d. lipoprotein levels or for increasing gut motility are also disclosed. Thus, e.g., ring-cleavage reaction of N-[4-(2-aminoethyl)phenyl]benzenesulfonamide (preparation given) with (S)-2-1[(4-phenylmethoxy)phenyloxymethyl]oxirane (preparation given) followed by hydrogenolysis afforded sulfonamide II (48% yield of intermediate benzyl ether, 60% yield of II).

IT 159183-24-1P 159183-43-4P 159183-85-4P
159184-06-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(substituted phenylsulfonamides as selective β_3 agonists for treatment of diabetes and obesity)

RN 159183-24-1 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[(2-hydroxy-3-(4-hydroxyphenoxy)propyl)amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

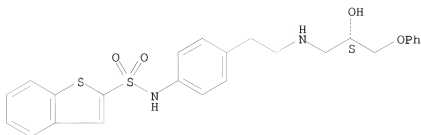
Absolute stereochemistry.



RN 159183-43-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[(2-hydroxy-3-phenoxypropyl)amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

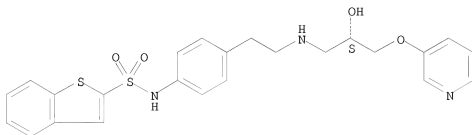
Absolute stereochemistry.



RN 159183-85-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(3-pyridinyloxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

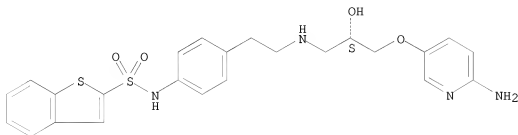
Absolute stereochemistry.



RN 159184-06-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[3-[(6-amino-3-pyridinyloxy)-2-hydroxypropyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 151 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1994:700591 CAPLUS

DN 121:300591

OREF 121:55021a,55024a

TI Preparation of substituted phenylsulfonamides as selective $\beta 3$ adrenergic agonists for treatment of diabetes and obesity.

IN Fisher, Michael H.; Parmee, Emma R.; Mathvink, Robert J.; Weber, Ann E.; Ok, Hyun O.

PA Merck and Co., Inc., USA
 SO Eur. Pat. Appl., 62 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 611003	A1	19940817	EP 1994-200303	19940203
	EP 611003	B1	19970618		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	US 5451677	A	19950919	US 1993-168105	19931215
				US 1993-15689	B2 19930209

PATENT FAMILY INFORMATION:

FAN 1995:929484

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5451677	A	19950919	US 1993-168105	19931215
				US 1993-15689	B2 19930209
	WO 9418161	A1	19940818	WO 1994-US766	19940119
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	RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	CA 2114712	A1	19940810	CA 1994-2114712	19940201
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	IL 108507	A	19980924	IL 1994-108507	19940201
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	AT 154594	T	19970715	AT 1994-200303	19940203
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	ES 2104259	T3	19971001	ES 1994-200303	19940203
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	ZA 9400846	A	19940905	ZA 1994-846	19940208
				US 1993-15689	A 19930209
	AU 9454986	A	19950629	AU 1994-54986	19940208
	AU 670477	B2	19960718		
				US 1993-15689	A 19930209
				US 1993-168105	A 19931215
	JP 07010827	A	19950113	JP 1994-15323	19940209
				US 1993-15689	A 19930209
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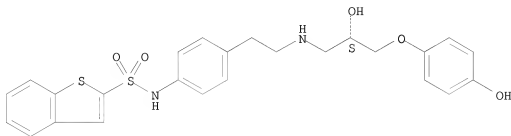
OS MARPAT 121:300591

AB Title compds. I (n = 0-7; m = 0,1; r = 0-3; A = Ph, naphthyl, 5-6-membered heterocyclyl, a benzene ring fused to C3-8 cycloalkyl, a benzene ring fused to 5-6-membered heterocyclyl; R1 = HO, O, halo, NC, O2N, (substituted)amino, (substituted) HS, F3C, (substituted) C1-6 alkyl, C1-6 alkoxy, etc.; R2, R3 = H, (substituted) C1-6 alkyl, C1-6 alkoxy, halo; X =

CH₂, CH₂CH₂, CH:CH, CH₂O;; R₄, R₅ = H, C1-6 alkyl, halo, (substituted)amino, (substituted)HO, etc.; R₆ = H, C1-6 alkyl; R₇ = C1-6 alkyl, C3-8 cycloalkyl, Ph, etc.) useful for treatment of diabetes and obesity (no data), are prepared N-[4-(2-aminoethyl)phenyl]benzenesulfonamide (preparation given) in MeOH was treated with (S)-2-[[4-(phenylmethoxy)phenoxy]methyl]oxirane (preparation given) to give the protected benzenesulfonamide derivative which in THF was treated with Pd(OH)₂/C to give (S)-I (m = 1, r = 0, R₁nA = 4-(HO)C₆H₄, R₂-6 = H, R₇ = Ph, X = H₂C). I are also claimed for lowering of triglyceride levels and/or cholesterol levels and/or raise high d. lipoprotein levels, decreasing gut motility, reducing neurogenic inflammation, reducing depression, or treating gastrointestinal disorders.

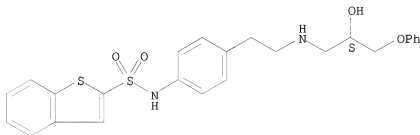
IT 159183-24-1P 159183-43-4P 159183-85-4P
159184-06-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted phenylsulfonamides as selective β₃ adrenergic agonists for treatment of diabetes and obesity)
RN 159183-24-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



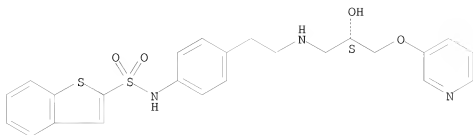
RN 159183-43-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-phenoxypropyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159183-85-4 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[2-hydroxy-3-(3-pyridinyloxy)propyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

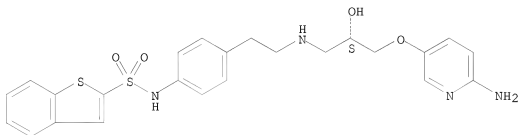
Absolute stereochemistry.



RN 159184-06-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[4-[2-[[3-[(6-amino-3-pyridinyl)oxy]-2-hydroxypropyl]amino]ethyl]phenyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 152 OF 152 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1962:2297 CAPLUS

DN 56:2297

OREF 56:439b-1

TI Sulfonation of thionaphthene and methylthionaphthenes

AU Pailer, M.; Romberger, Elfriede

CS Univ. Vienna

SO Monatshefte fuer Chemie (1961), 92, 677-83

CODEN: MOCMB7; ISSN: 0026-9247

DT Journal

LA Unavailable

AB The sulfonation of thionaphthene (I), and the 2-Me (II), 3-Me (III), 5-Me (IV), and 2,3-di-Me derivs. (V) of I, the preparation of the corresponding sulfonyl chlorides, sulfonamides, and sulfonanilides, the determination of the position of the SO3H group on the I ring system, and the removal of the SO3H group were described. I (1 g.), 1 g. Ac2O, and 0.8 g. 66° Be.

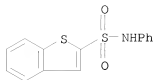
H2SO4 mixed at 5-20° with stirring, stirred 1 h. at 20°, diluted with ice and H2O to about 20 cc., and extracted with Et2O, and the extract

washed and distilled gave some unchanged I and then the 3-Ac derivative of I,

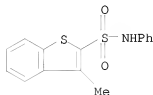
b7 156-62°, m. 64-5.5° (petr. ether); the aqueous phase concentrated in vacuo to 5 cc., treated with 2 g. KCl as a hot-saturated sq. solution, cooled, and filtered gave 88% 2(or 3)-SO3K derivative (VI) of I. II gave similarly about 10% 3-Ac derivative of II, b10 145-60°, m. 71-2°, and the K salt of the 3-SO3H derivative (VII) of II. III yielded similarly (2 h.)

about 10% 2-Ac derivative of III, b14 164-70°, m. 77-8°, and the 2-SO3K derivative (VIII) of III. IV yielded in the same manner during 2 h. about 10% 3(or 2)-Ac derivative of IV, b9 155-70°, m. 109-11° (petr. ether), and the K salt of the 3(or 2)-SO3H derivative (IX) of IV. V gave similarly only the K salt of the 5(or 6)-SO3H derivative (X) of V. VI (37 g.) and 42.7 g. PC15, stirred without heating and evaporated and the residue diluted with ice and extracted with Et2O gave 84% 3(or 2)-SO2Cl derivative (XI) of I, b0.05 110°, m. 88-90°. Similarly prepared were the following compds. (b.p. or sublimation temperature/mm., m.p., and % yield given): 3-SO2Cl derivative of II, 9-105°/0.05 (sublimed), 117-18°, 75; 2-SO2Cl derivative of III, 140°/0.01 (sublimed), 137-9°, 82; 3(or 2)-SO2Cl derivative of IV, 110-20°/0.05 (b.p.), 96-7°, 79; 5(or 6)-SO2Cl derivative of V, 125°/0.05 (sublimed), 130-2°, 85. The appropriate sulfonyl chloride (0.1 g.) and 8 cc. concentrated NH4OH heated 2 h. on the water bath, kept overnight, and extracted with Et2O gave the corresponding sulfonamide; in this manner, the following compds. were prepared (sublimation temperature or b.p./mm., m.p., and % yield given): 3-SO2NH2 derivative of I, 135-45°/0.001, 159-61°, 78; 3-SO2NH2 derivative of II, 160-4°/0.1, 149-51°, 88; 2-SO2NH2 derivative of III, 150-65°/0.07, 202-4°, 86; 3(or 2)-SO2NH2 derivative of IV, 130-40°/0.04, 173-5°, 99; 5(or 6)-SO2NH2 derivative of V, 170-80°/0.1, 228-30°, 71. The appropriate sulfonyl chloride (0.1 g.) in 2 cc. C6H6 kept 2-3 h. at 20° with 5 cc. PhNH2, diluted with Et2O, washed, dried, and distilled gave the corresponding sulfonanilide; in this manner the anilides of the following sulfonic acids were prepared (acid, b.p. of sulfanilide, m.p., and % yield given): 3(or 2)-SO3H derivative (XII) of I, 160-5°/0.01, 130-2°, 80; VII, 192-7°/0.1, 158-60°, 68; VIII, 165-75°/0.07, 153-5°, 75; IX, 175-80°/0.05, 158-60°, 96; X, 194-200°/0.1, 169-71°, 70. The appropriate sulfonyl chloride (0.2 g.) and 5 cc. H2O refluxed 12-14 h., washed with Et2O, and evaporated, and the residue dried by azeotropic distillation with C6H6 gave the corresponding free sulfonic acid; the hydrolysis solution from the chlorides treated with CaCO3 and the precipitate recrystd. from HCONMe2, MeOH, or EtOH gave the Ca salt of the corresponding sulfonic acid. The appropriate Ca salt (1 g.) and 30 cc. 85% H3PO4 heated with stirring to 160°, treated at 100-20° with steam, and the distillate (about 2 l.) extracted with Et2O gave the corresponding thionaphthene; the following results were obtained in this manner with the Ca salts of the sulfonic acids indicated (sulfonic acid, product, and % yield given): XII, I, 93; VII, II, 80; VIII, III, 89; IX, IV, 86; X, V, 66. VI gave similarly 94% I.

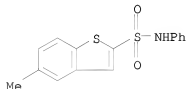
IT 92163-58-1P, Benzo[b]thiophene-2-sulfonanilide(?)
93900-20-0P, Benzo[b]thiophene-2-sulfonanilide(?), 3-methyl-
93900-21-1P, Benzo[b]thiophene-2-sulfonanilide(?), 5-methyl-(?)
RL: PREP (Preparation)
(preparation of)
RN 92163-58-1 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, N-phenyl- (CA INDEX NAME)



RN 93900-20-0 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 3-methyl-N-phenyl- (CA INDEX NAME)



RN 93900-21-1 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-methyl-N-phenyl- (CA INDEX NAME)



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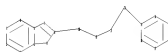
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ring nodes :
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ring bonds :
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17-18
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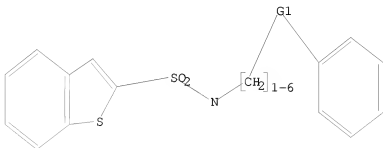
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11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 21:CLASS

L7 STRUCTURE UPLOADED

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L7 HAS NO ANSWERS

L7 STR



G1 O,S

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100.0% PROCESSED 86 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1164 TO 2276

PROJECTED ANSWERS: 4 TO 200

L8 4 SEA SSS SAM L7

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ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full

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FULL SCREEN SEARCH COMPLETED - 1557 TO ITERATE

100.0% PROCESSED 1557 ITERATIONS

77 ANSWERS

SEARCH TIME: 00.00.01

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 FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

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=> s 19

L10 1 L9

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L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:718289 CAPLUS

DN 141:243332

TI Preparation of sulfonamide derivatives, in particular
 N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR agonists

IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones, Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh, Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong; Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu, Guoxin

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 435 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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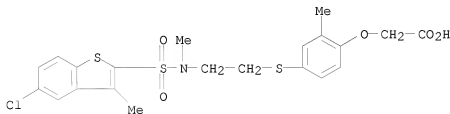
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CN	1751037	A	20060322	CN 2004-80004250	20040210
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ES	2297382	T3	20080501	ES 2004-709806	20040210
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US	20060217433	A1	20060928	US 2005-542579	20050715
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				WO 2004-US2015	W 20040210
OS	MARPAT 141:243332				
AB	Title compds. I [wherein A = II, III; D = (CH ₂) ₀ ; B = R1b-[C]q-R1a; E = O, S, NH and derivs.; W = -Y-(CR ₄ R ₅)-Q, H, cyclo/halo/alkyl, acyl; Q = CO ₂ H and derivs.; CO ₂ NH ₂ , sulfonamide, etc.; X = a bond, C, O, S, S(O)p; Z = (un)substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R1, R2 = independently H, wherein when Z = Ph or naphthyl and R2 = H, R1 is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R1 and R2 form a 5- to 8-membered heterocycle; R1a, R1b = independently H, alkyl, or R1 and R1a, R1and R1b, R2 and R1b, or R1a and R1b form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R1a and or R1b is not H; R2a = H, halo, (un)substituted alkyl and wherein R2 and R2a together being a 3- to 8-membered ringR3 = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl)heterocyclyl, etc.; R4, R5 = independently H, halo, alkyl, alkoxy, aryloxy, NH ₂ and derivs., SH and derivs., or R4CR ₅ = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.				
	A multistep synthesis is given for sulfonamide IV. I displayed IC ₅₀ and EC ₅₀ in the range of about 1 nM to about 5 μM for binding to PPAR				

alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 752132-74-4P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](methyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetic acid
752135-07-2P, 3-[4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-methylphenyl]propionic acid
752135-66-3P, Ethyl 2-[4-[[2-[[[(3-Bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetate
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

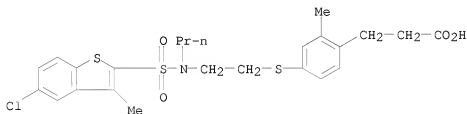
RN 752132-74-4 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



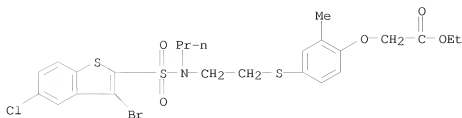
RN 752135-07-2 CAPLUS

CN Benzenepropanoic acid, 4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl- (CA INDEX NAME)



RN 752135-66-3 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[(3-bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



IT 752131-91-2P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-33-5P, [2-Methyl-4-[[2-[[[(3-methyl-5-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid 752132-72-2P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-76-6P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-methylbutyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-78-8P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3,3-dimethylbutyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-80-2P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopropylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-82-4P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](1-ethylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-84-6P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclobutylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-86-8P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopentylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-88-0P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopropyl(methyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-90-4P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]pentylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-92-6P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](butyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752132-95-9P, 4-[[2-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-dimethylaminoethyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid trifluoroacetate 752132-98-2P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752133-11-2P, 4-[[4-[[[(5-Chloro-3-methylbenzo[b]thien-2-

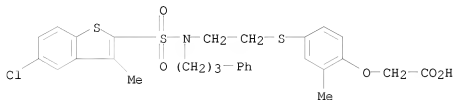
yl)sulfonyl]propylamino]butyl)sulfanyl]-2-(methyl)phenoxyacetic acid
 752133-13-4P, 4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-(methyl)phenoxyacetic acid
 752133-16-7P, 3-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]propionic acid
 752133-29-2P, 3-[4-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]propionic acid
 752133-32-7P, 4-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-(methyl)phenoxyacetic acid
 752133-34-9P, 3-[4-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]phenyl]-2-methoxypropionic acid
 752133-39-4P, 1-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]sulfanyl]acetic acid
 752133-83-8P, [2-Chloro-4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid
 752133-85-0P, 4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(ethyl)phenoxyacetic acid
 752134-97-7P, 3-[4-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-methylphenyl]propionic acid
 752135-35-6P, 4-[2-[[6-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-39-0P, 4-[2-[[7-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-44-7P, 4-[2-[[4-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-47-0P, 4-[2-[[3-Methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-49-2P, 4-[2-[[5-Chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-58-3P, 4-[2-[[5-Chloro-3-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-69-6P, 4-[2-[[3-Bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-70-9P, 1-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-propylphenoxy]acetic acid
 752135-72-1P, 1-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid
 752135-74-3P, 1-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-trifluoromethylphenoxy]acetic acid
 752135-84-5P, [3-Chloro-4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenyl]acetic acid
 752135-95-8P, 4-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752135-96-9P, 4-[2-[[6-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid
 752136-85-9P 752137-35-2P 752137-52-3P, 3-[4-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-[[[isopropoxycarbonyl]amino]methyl]phenyl]propionic acid
 752137-58-9P, 2-[5-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid
 752137-64-7P, 2-[5-[2-[[3-Methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid
 752137-65-8P, 2-[5-[2-[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]-2-methylpropionic acid
 752137-69-2P, 2-Methyl-2-[5-[2-[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]propionic acid
 752137-72-7P, 2-[5-[2-[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]indol-1-yl]-2-methylpropionic acid

752137-91-0P, 2-[[4-[2-[[[3-Ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-3-propylphenyl]oxy]-2-methylpropionic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

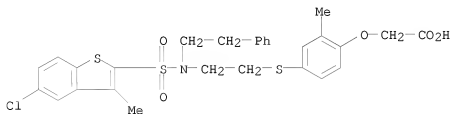
RN 752131-91-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



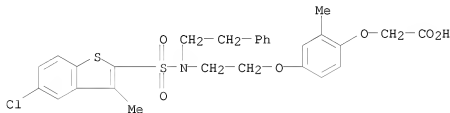
RN 752131-94-5 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



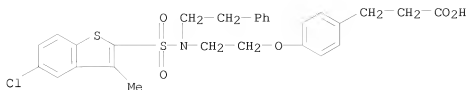
RN 752131-96-7 CAPLUS

CN Acetic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



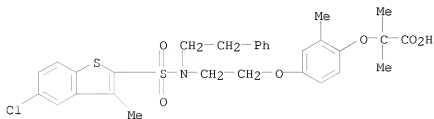
RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]- (CA INDEX NAME)



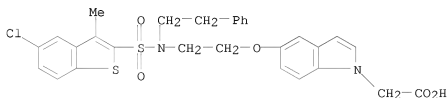
RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



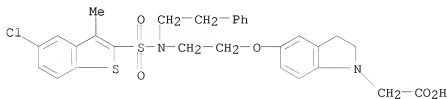
RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)



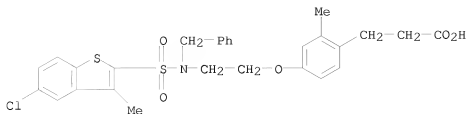
RN 752132-00-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)

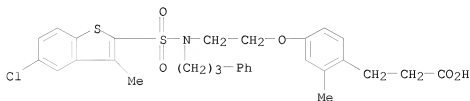


RN 752132-03-9 CAPLUS

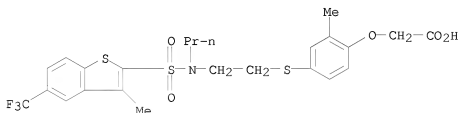
CN Benzenepropanoic acid, 4-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



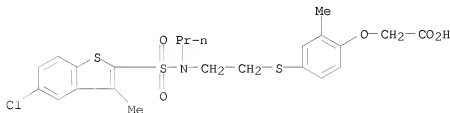
RN 752132-04-0 CAPLUS
 CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



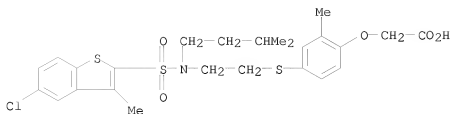
RN 752132-33-5 CAPLUS
 CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methyl-5-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



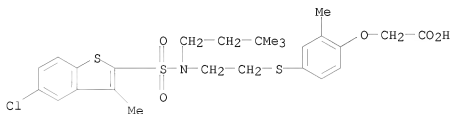
RN 752132-72-2 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



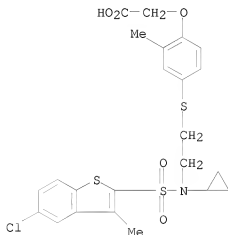
RN 752132-76-6 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-methylbutyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



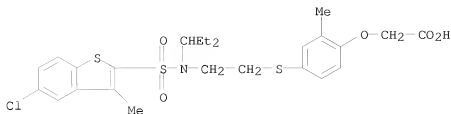
RN 752132-78-8 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3,3-dimethylbutyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



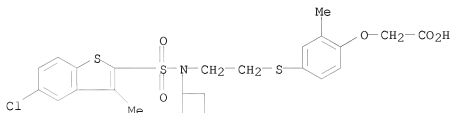
RN 752132-80-2 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](cyclopropylamino)ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



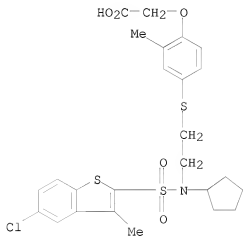
RN 752132-82-4 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](1-ethylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



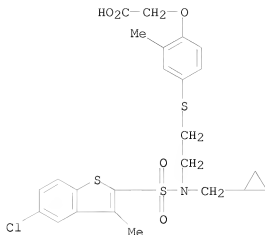
RN 752132-84-6 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclobutylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752132-86-8 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]cyclopentylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

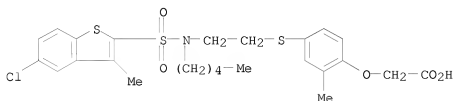


RN 752132-88-0 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](cyclopropylmethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



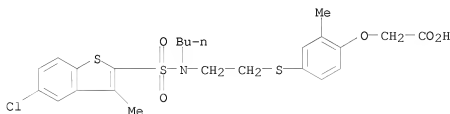
RN 752132-90-4 CAPLUS

CN Acetic acid, 2-[4-[[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]pentylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752132-92-6 CAPLUS

CN Acetic acid, 2-[4-[[[2-[butyl[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



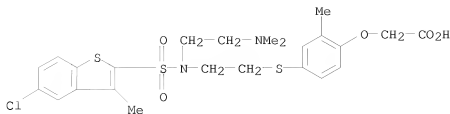
RN 752132-95-9 CAPLUS

CN Acetic acid, 2-[4-[[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl][2-(dimethylamino)ethyl]amino]ethyl]thio]-2-methylphenoxy]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 752132-94-8

CMF C24 H29 Cl N2 O5 S3



CM 2

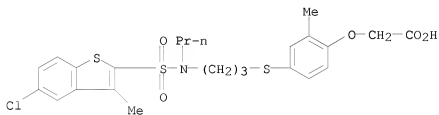
CRN 76-05-1

CMF C2 H F3 O2



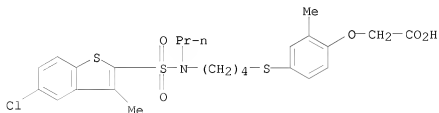
RN 752132-98-2 CAPLUS

CN Acetic acid, 2-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



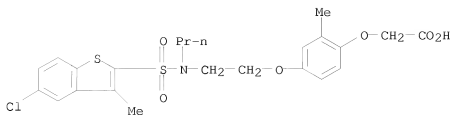
RN 752133-11-2 CAPLUS

CN Acetic acid, 2-[4-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]butyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



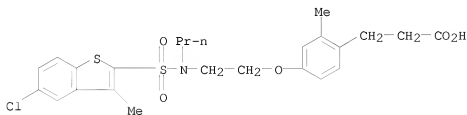
RN 752133-13-4 CAPLUS

CN Acetic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



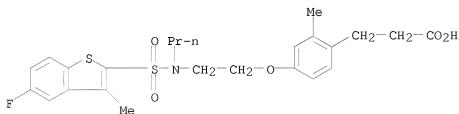
RN 752133-16-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl- (CA INDEX NAME)



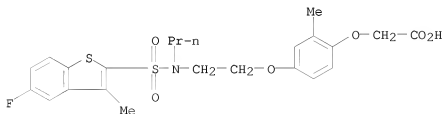
RN 752133-29-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl- (CA INDEX NAME)



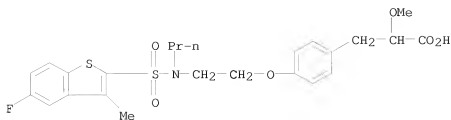
RN 752133-32-7 CAPLUS

CN Acetic acid, 2-[4-[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)

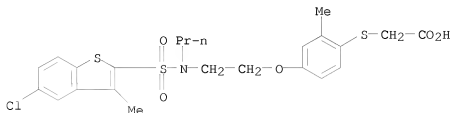


RN 752133-34-9 CAPLUS

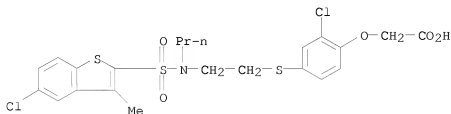
CN Benzenepropanoic acid, 4-[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-α-methoxy- (CA INDEX NAME)



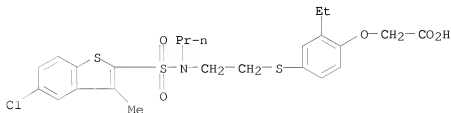
RN 752133-39-4 CAPLUS
 CN Acetic acid, 2-[[4-[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]thio]- (CA INDEX NAME)



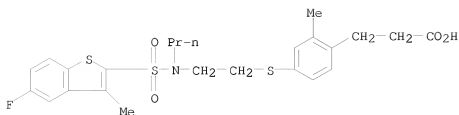
RN 752133-83-8 CAPLUS
 CN Acetic acid, 2-[2-chloro-4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



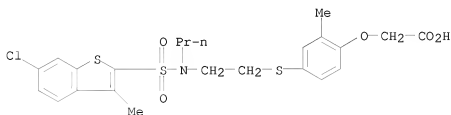
RN 752133-85-0 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-ethylphenoxy]- (CA INDEX NAME)



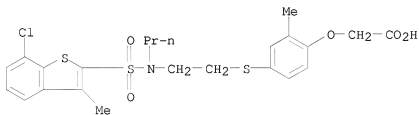
RN 752134-97-7 CAPLUS
 CN Benzenepropanoic acid, 4-[[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl- (CA INDEX NAME)



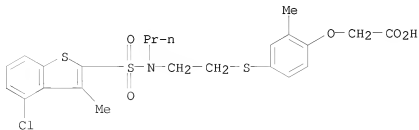
RN 752135-35-6 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[6-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752135-39-0 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[7-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

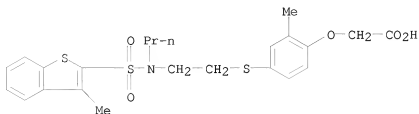


RN 752135-44-7 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



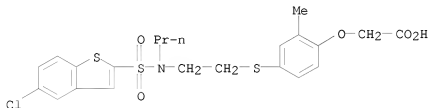
RN 752135-47-0 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



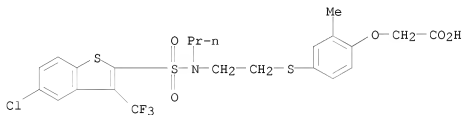
RN 752135-49-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



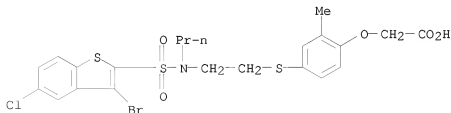
RN 752135-58-3 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)

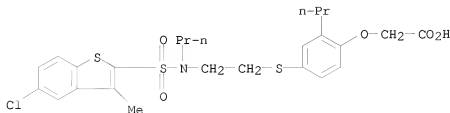


RN 752135-69-6 CAPLUS

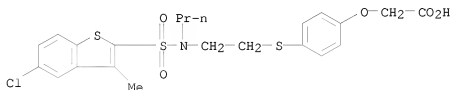
CN Acetic acid, 2-[4-[[2-[[[3-bromo-5-chlorobenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



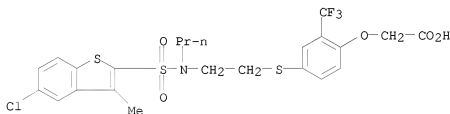
RN 752135-70-9 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-propylphenoxy]- (CA INDEX NAME)



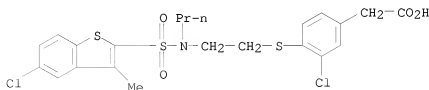
RN 752135-72-1 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]- (CA INDEX NAME)



RN 752135-74-3 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

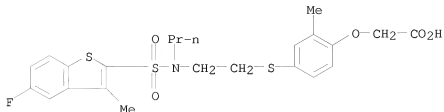


RN 752135-84-5 CAPLUS
 CN Benzeneacetic acid, 3-chloro-4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]- (CA INDEX NAME)



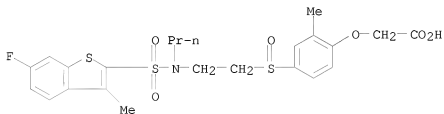
RN 752135-95-8 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



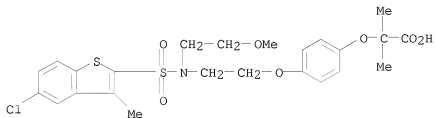
RN 752135-96-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[(6-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfinyl]-2-methylphenoxy]- (CA INDEX NAME)



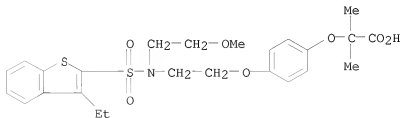
RN 752136-85-9 CAPLUS

CN Propanoic acid, 2-[4-[[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfinyl]-2-methylphenoxy]- (CA INDEX NAME)



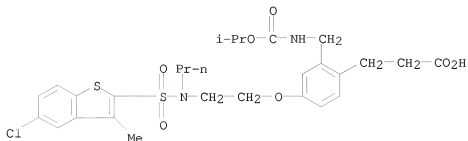
RN 752137-35-2 CAPLUS

CN Propanoic acid, 2-[4-[[2-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]phenoxy]-2-methyl- (CA INDEX NAME)



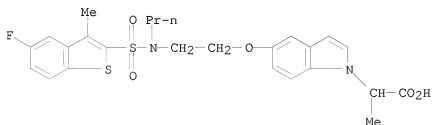
RN 752137-52-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-[[[(1-methylethoxy)carbonyl]amino]methyl]- (CA INDEX NAME)



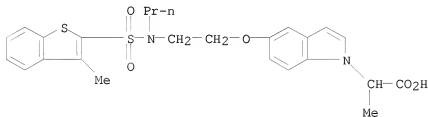
RN 752137-58-9 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- α -methyl- (CA INDEX NAME)



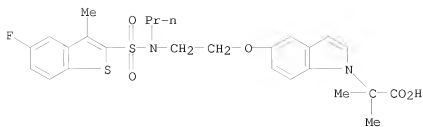
RN 752137-64-7 CAPLUS

CN 1H-Indole-1-acetic acid, α -methyl-5-[2-[[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- (CA INDEX NAME)

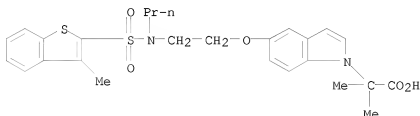


RN 752137-65-8 CAPLUS

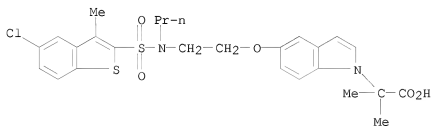
CN 1H-Indole-1-acetic acid, 5-[2-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- α,α -dimethyl- (CA INDEX NAME)



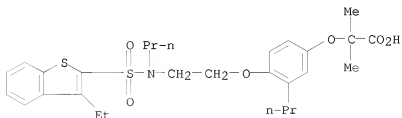
RN 752137-69-2 CAPLUS
 CN 1H-Indole-1-acetic acid, α,α -dimethyl-5-[2-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- (CA INDEX NAME)



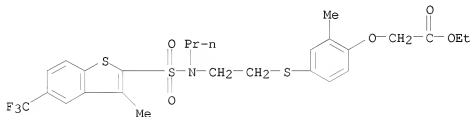
RN 752137-72-7 CAPLUS
 CN 1H-Indole-1-acetic acid, 5-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]- α,α -dimethyl- (CA INDEX NAME)



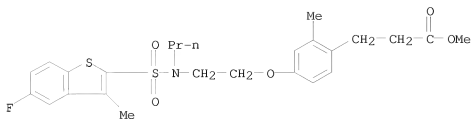
RN 752137-91-0 CAPLUS
 CN Propanoic acid, 2-[4-[2-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)



IT 752132-40-4P, [2-Methyl-4-[[2-[[[3-methyl-5-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester
 752133-31-6P, 3-[4-[2-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]propionic acid methyl ester
 752133-33-8P, Ethyl 2-[4-[2-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-(methyl)phenoxy]acetate
 752133-35-0P, 3-[4-[2-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]phenyl]-2-methoxypropionic acid ethyl ester
 752133-44-1P, [[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]sulfanyl]acetic acid ethyl ester
 752133-84-9P, [2-Chloro-4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester
 752133-86-1P, Ethyl 2-[4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(ethyl)phenoxy]acetate
 752134-98-8P 752135-08-3P 752135-38-9P
 752135-43-6P 752135-46-9P 752135-48-1P
 752135-62-9P, Ethyl 2-[4-[[2-[[[5-chloro-3-trifluoromethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-(methyl)phenoxy]acetate
 752135-71-0P, Ethyl 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]-2-propylphenoxy]acetate
 752135-73-2P, [4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenoxy]acetic acid ethyl ester
 752135-75-4P 752135-86-7P, [3-Chloro-4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]sulfanyl]phenyl]acetic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)
 RN 752132-40-4 CAPLUS
 CN Acetic acid, 2-[2-methyl-4-[[2-[[[3-methyl-5-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)

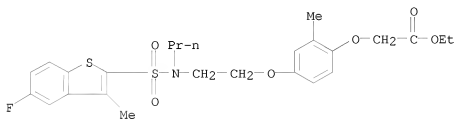


RN 752133-31-6 CAPLUS
 CN Benzenepropanoic acid, 4-[2-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methyl-, methyl ester (CA INDEX NAME)



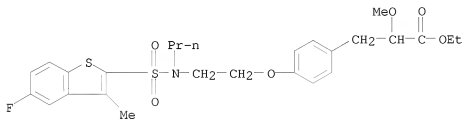
RN 752133-33-8 CAPLUS

CN Acetic acid, 2-[4-[2-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



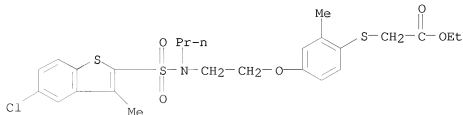
RN 752133-35-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-α-methoxy-, ethyl ester (CA INDEX NAME)

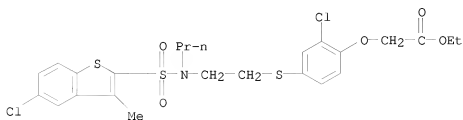


RN 752133-44-1 CAPLUS

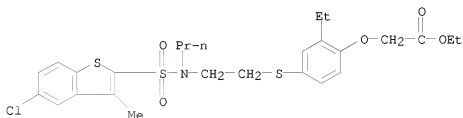
CN Acetic acid, 2-[4-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethoxy]-2-methylphenyl]thio-, ethyl ester (CA INDEX NAME)



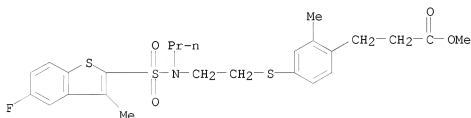
RN 752133-84-9 CAPLUS
 CN Acetic acid, 2-[2-chloro-4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)



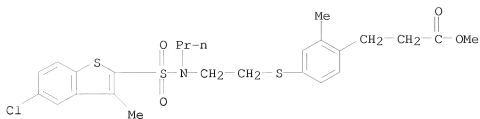
RN 752133-86-1 CAPLUS
 CN Acetic acid, 2-[4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-ethylphenoxy]-, ethyl ester (CA INDEX NAME)



RN 752134-98-8 CAPLUS
 CN Benzenepropanoic acid, 4-[[2-[[[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl-, methyl ester (CA INDEX NAME)

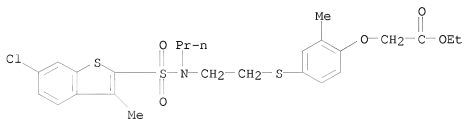


RN 752135-08-3 CAPLUS
 CN Benzenepropanoic acid, 4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methyl-, methyl ester (CA INDEX NAME)



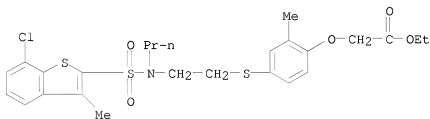
RN 752135-38-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[6-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



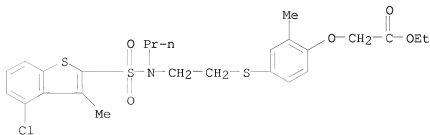
RN 752135-43-6 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[7-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



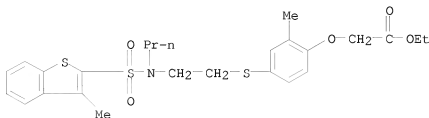
RN 752135-46-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[4-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



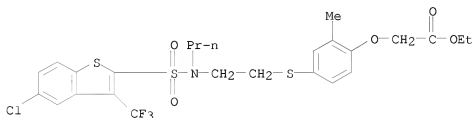
RN 752135-48-1 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[[2-[[[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)



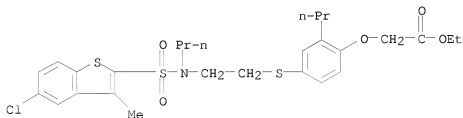
RN 752135-62-9 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-(trifluoromethyl)benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



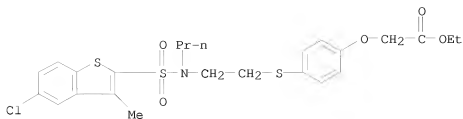
RN 752135-71-0 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-propylphenoxy]-, ethyl ester (CA INDEX NAME)



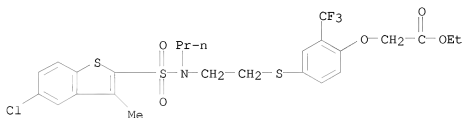
RN 752135-73-2 CAPLUS

CN Acetic acid, 2-[4-[[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]phenoxy]-, ethyl ester (CA INDEX NAME)



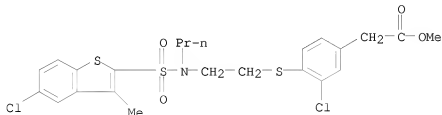
RN 752135-75-4 CAPLUS

CN Acetic acid, 2-[4-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-2-(trifluoromethyl)phenoxy]-, ethyl ester (CA INDEX NAME)



RN 752135-86-7 CAPLUS

CN Benzeneacetic acid, 3-chloro-4-[[2-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]thio]-, methyl ester (CA INDEX NAME)



=> file reg
COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
6.89	1456.57

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
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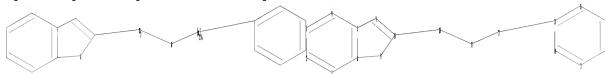
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ring nodes :
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ring bonds :
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17-18
exact/norm bonds :
5-7 6-9 7-8 8-9 10-11
exact bonds :
8-10 11-12 12-15
normalized bonds :
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Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

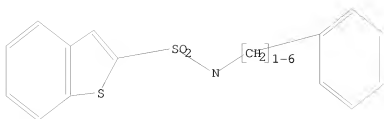
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L11 HAS NO ANSWERS

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G1 O,S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 21 TO ITERATE

100.0% PROCESSED 21 ITERATIONS

9 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 146 TO 694

PROJECTED ANSWERS: 9 TO 360

L12 9 SEA SSS SAM L11

=> search l11

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.

ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full

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FULL SCREEN SEARCH COMPLETED - 395 TO ITERATE

100.0% PROCESSED 395 ITERATIONS

129 ANSWERS

SEARCH TIME: 00.00.01

L13 129 SEA SSS FUL L11

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

1634.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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FILE COVERS 1907 - 27 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 26 Oct 2008 (20081026/ED)

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=> s l13

L14 11 L13

=> d l14 fbib ab hitstr 1-11

L14 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:1012610 CAPLUS

DN 149:261123

TI Preparation of modulators of acetyl coenzyme A carboxylase as fungicides and pharmaceuticals

IN Anderson, Richard; Hokama, Takeo; Lee, Shy-Fuh; Oey, Rafael; Elich, Tedd; Breazeale, Steven

PA Cropsolution, Inc., USA

SO U.S. Pat. Appl. Publ., 100pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080200461	A1	20080821	US 2008-33925	20080220
				US 2007-890643P	P 20070220
WO	2008103354	A2	20080828	WO 2008-US2186	20080220
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GD, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
				US 2007-890643P	P 20070220

OS MARPAT 149:261123

AB The acetyl CoA carboxylase modulators R1NR2XNR3R4R5 [R1, R2 = H, (halo)alkyl, (halo)alkenyl, etc.; R3, R4 = (halo)alkyl, (halo)alkenyl, (halo)alkynyl, etc.; R1NR2, R3NR4 = ring; R5 = nonbonded

pair of electrons, (halo)alkyl, (halo)alkenyl, etc.; X = (un)substituted C2-8 C bridge, optionally containing N, O or S] are prepared as fungicides and pharmaceuticals, particularly the treatment of obesity, metabolic syndrome, atherosclerosis, cardiovascular disease and insulin resistance, e.g., type II or adult-onset diabetes.

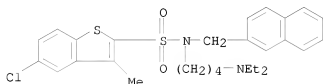
IT 1058136-22-3P 1058136-23-4P 1058136-24-5P
1058136-25-6P 1058136-82-5P 1058136-83-6P

RL: AGR (Agricultural use); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of modulator of acetylCoA carboxylase as fungicides and pharmaceuticals)

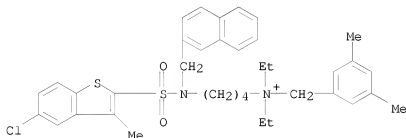
RN 1058136-22-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[4-(diethylamino)butyl]-3-methyl-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



RN 1058136-23-4 CAPLUS

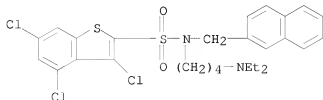
CN INDEX NAME NOT YET ASSIGNED



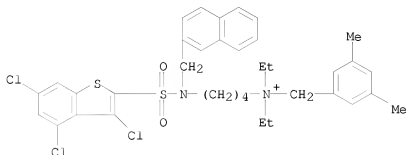
● Br⁻

RN 1058136-24-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,4,6-trichloro-N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)

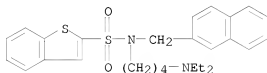


RN 1058136-25-6 CAPLUS
 CN Benzenemethanaminium, N,N-diethyl-3,5-dimethyl-N-[4-[(2-naphthalenyl)methyl]-(3,4,6-trichlorobenzo[b]thien-2-yl)sulfonyl]amino]butyl]-, bromide (1:1) (CA INDEX NAME)

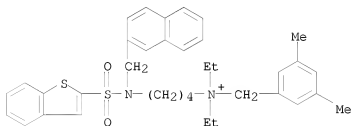


● Br⁻

RN 1058136-82-5 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, N-[4-(diethylamino)butyl]-N-(2-naphthalenylmethyl)- (CA INDEX NAME)



RN 1058136-83-6 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

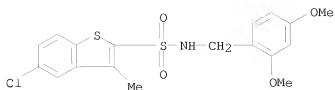


● Br⁻

L14 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2007:1395370 CAPLUS
 DN 148:54882
 TI Preparation of heteroaryl amides that interact with ion channels, in

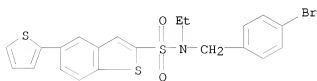
particular with ion channels from the Kv family
 IN Blom, Petra; Defert, Olivier; Kaletta, Titus; Leysen, Dirk Casimir Maria
 PA Devgen N.V., Belg.
 SO PCT Int. Appl., 62pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007/138112	A2	20071206	WO 2007-EP55408	20070601
	WO 2007/138112	A3	20080515		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
				EP 2006-447075	A 20060601
				US 2006-809841P	P 20060601
OS	MARPAT 148:54882				
AB	The present invention relates to compds. that interact with ion channels. In particular, the invention relates to compds. I or II [n, m = 0-4; Z1 = C(O), C(S), SO2; L1 = (un)substituted alkylene, cycloalkylene, cycloalkylenoxyalkylene; X1 = O or S; X2 = CR4 or N; X3 = CR1 or N; X4 = CR1 or N; R1 = H, halo, OH, etc.; R2 = H, halo, OH, etc.; R3 = H, alkyl, aryl, etc.; R4 = H, halo, NH2, etc.; with the provisos]. Sixty-two specific title compds. such as III were prepared and/or claimed. The exemplified title compds. were tested in patch clamp assays (for example, III showed above 50% inhibition on Kv4.3-mediated potassium channel). The invention also relates to methods for preparing said compds. I (general protocols and schemes were given), to pharmaceutical compns. comprising said compds., and to the use of said compds. in methods for treatment of the human and animal body.				
IT	959743-62-5P 959743-67-0P 959743-68-1P 959743-69-2P 959743-73-8P 959743-91-0P 959743-94-3P 959743-95-4P 959743-98-7P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heteroaryl amides useful in treatment and prevention of diseases associated with ion channels)				
RN	959743-62-5	CAPLUS			
CN	Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(2,4-dimethoxyphenyl)methyl]-3-methyl- (CA INDEX NAME)				



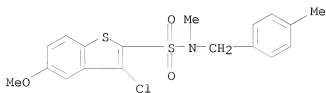
RN 959743-67-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-N-ethyl-5-(2-thienyl)- (CA INDEX NAME)



RN 959743-68-1 CAPLUS

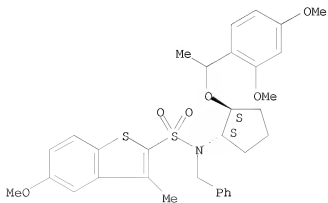
CN Benzo[b]thiophene-2-sulfonamide, 3-chloro-5-methoxy-N-methyl-N-[(4-methylphenyl)methyl]- (CA INDEX NAME)



RN 959743-69-2 CAPLUS

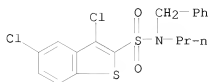
CN Benzo[b]thiophene-2-sulfonamide, N-[(1S,2S)-2-[1-(2,4-dimethoxyphenyl)ethoxy]cyclopentyl]-5-methoxy-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



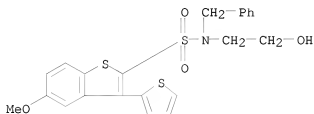
RN 959743-73-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 3,5-dichloro-N-(phenylmethyl)-N-propyl-
(CA INDEX NAME)



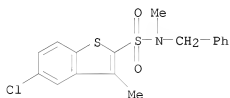
RN 959743-91-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-hydroxyethyl)-5-methoxy-N-(phenylmethyl)-3-(2-thienyl)- (CA INDEX NAME)



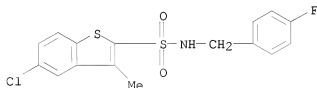
RN 959743-94-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N,3-dimethyl-N-(phenylmethyl)-
(CA INDEX NAME)



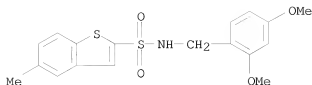
RN 959743-95-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(4-fluorophenyl)methyl]-3-methyl- (CA INDEX NAME)



RN 959743-98-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(2,4-dimethoxyphenyl)methyl]-5-methyl-
(CA INDEX NAME)



L14 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:944402 CAPLUS

DN 145:336062

TI Preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1)

IN Egashira, Hiromu; Nishiyama, Eiji

PA Ono Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 94pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006095822	A1	20060914	WO 2006-JP304623	20060309
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				
			JP 2005-69738	A 20050311

OS MARPAT 145:336062

AB The title compds. [I; ring A = (un)substituted cyclic group; X, Y = a single bond, a spacer having 1-8 atoms in the main chain; R1, R2, R3 = U, each (un)substituted cyclic group or hydrocarbon group; or substituent on the spacer Y having 1-8 atoms in the main chain, R2, and atoms to which they are bonded may form an (un)substituted N-containing heterocyclic ring], their salts or solvates, or prodrugs thereof are prepared Compds. of the general formula: (wherein all the characters have the same meanings as defined in the description), their salts or hydrates and prodrugs thereof. These compds. have an 11 β -HSD1 inhibiting potency and thus are useful in the prevention and/or treatment of diseases attributed to overprod. of adrenocortical hormone, for example, metabolic diseases (for example, diabetes mellitus (e.g., type II diabetes mellitus, etc.), impaired glucose tolerance, hyperglycemia, insulin resistance, elevated levels of insulin in the plasma, lipid metabolism abnormality, fatty liver, dyslipidemia, hyperlipemia, hypertriglyceridemia, hyper-LDL-cholesterolemia, hypo-HDL-cholesterolemia, obesity, atherosclerosis, syndrome X, metabolic syndrome, Cushing's syndrome, osteoporosis, etc.), hypertension, receptive defect, memory disorder, depression, anxiety, dementia, Alzheimer disease, glaucoma, immunol. disease, etc. Thus, a solution of 770 mg 3-methylbenzenesulfonamide and 445 mg 3,6-dichloropyridazine in 3 mL DMSO was treated with 1.25 g K2CO3, and

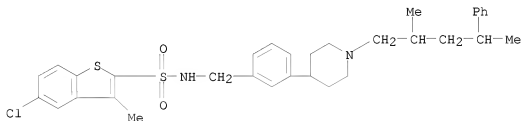
stirred at 120° for 3.5 h to give 696 mg N-(6-chloro-pyridazin-3-yl)-3-methylbenzenesulfonamide (II). A solution of 98 mg 3-phenyl-1-propanol in 1 mL dioxane was treated with 163 mg potassium tert-butoxide, treated with a solution of 170 mg II in 1 mL dioxane, and stirred at 100° for 1.5 h to give 149 mg 3-methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide (III). III showed IC50 of 250 nM against human 11β-HSD1. A tablet and an ampule formulation containing 3-Methyl-N-[6-(3-phenylpropoxy)pyridazin-3-yl]benzenesulfonamide were described.

IT 909422-65-7P, 5-Chloro-3-methyl-N-[3-[1-(2-methyl-4-phenylpentyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-78-2P, 5-Chloro-3-methyl-N-[3-[1-[(3-methylthien-2-yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909422-84-0P, 5-Chloro-N-[3-(1-hexylpiperidin-4-yl)benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909422-90-8P, 5-Chloro-N-[3-[1-(4-(diethylamino)benzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909422-97-5P, 5-Chloro-3-methyl-N-[3-[1-[(1-methyl-1H-indol-3-yl)methyl]piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-08-1P, 5-Chloro-N-[3-[1-(2-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-19-4P, 5-Chloro-3-methyl-N-[3-[1-(4-phenoxybenzyl)piperidin-4-yl]benzyl]-1-benzothiophene-2-sulfonamide 909423-26-3P, 5-Chloro-N-[3-[1-(3-chloro-4-methoxybenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide 909423-34-3P, 5-Chloro-N-[3-[1-(4-chlorobenzyl)piperidin-4-yl]benzyl]-3-methyl-1-benzothiophene-2-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arenesulfonamides and heterocyclic sulfonamides as inhibitors of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1))

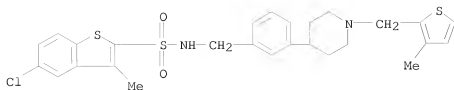
RN 909422-65-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-(2-methyl-4-phenylpentyl)-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



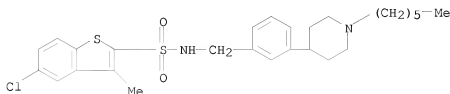
RN 909422-78-2 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(3-methyl-2-thienyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



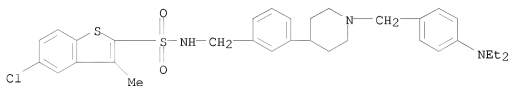
RN 909422-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-(1-hexyl-4-piperidinyl)phenyl]methyl]-3-methyl- (CA INDEX NAME)



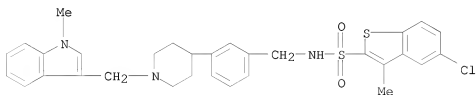
RN 909422-90-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(diethylamino)phenyl]methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



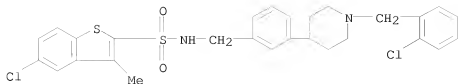
RN 909422-97-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(1-methyl-1H-indol-3-yl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)

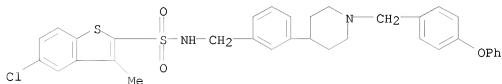


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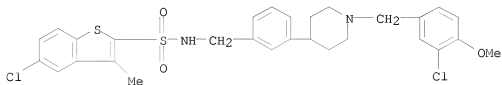
CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



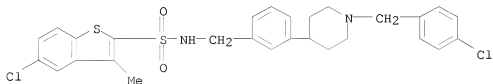
RN 909423-19-4 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[[3-[1-[(4-phenoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]- (CA INDEX NAME)



RN 909423-26-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(3-chloro-4-methoxyphenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RN 909423-34-3 CAPLUS
 CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[[3-[1-[(4-chlorophenyl)methyl]-4-piperidinyl]phenyl]methyl]-3-methyl- (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:733724 CAPLUS
 DN 145:167113
 TI Preparation of N-substituted heterocyclic sulfonamides for treating
 cognitive disorders
 IN Neitzel, Martin

PA Elan Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006078753	A1	20060727	WO 2006-US1792	20060118
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OS MARPAT 145:167113

AB The invention provides N-substituted heterocyclic-sulfonamides for use in treating or preventing cognitive disorders, such as Alzheimer's Disease, by inhibiting β -amyloid peptide release or synthesis. Comps. of particular interest are defined by Formula I (wherein n = 1-3; Z = (un)substituted heteroaryl or heterocycloalkyl; R1 = (un)substituted arylC1-C8alkyl, arylC2-C6alkenyl, C3-C7cycloalkyl(C1-C6alkyl), C1-C14alkyl, etc.; R2 is H, C1-C6 alkyl, or phenyl(C1-C4alkyl). I were tested in a Notch signaling assay for selective inhibitors of γ -secretase to identify comps. that are potent inhibitors of β -amyloid synthesis with minimal inhibition of Notch signaling. The invention also encompasses pharmaceutical comps. comprising I as well as methods of treating cognitive disorders using I. General procedures are given for synthesizing I, such as II, via a lactam intermediate.

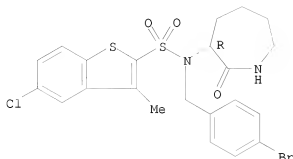
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-substituted heterocyclic sulfonamides for treating cognitive disorders)

RN 900532-06-1 CAPIUS

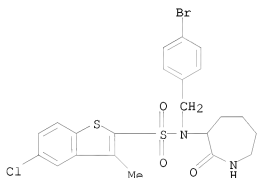
CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-[(3R)-hexahydro-2-oxo-1H-azepin-3-yl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 900532-42-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-[(4-bromophenyl)methyl]-5-chloro-N-(hexahydro-2-oxo-1H-azepin-3-yl)-3-methyl- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS ON STN

AN 2006:464674 CAPLUS

DN 144:488511

TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of β -lactamase

IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii

PA Methylgene, Inc., Can.

SO U.S. Pat. Appl. Publ., 131 pp., Cont.-in-part of U.S. Ser. No. 411,484. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

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	US 20040029836	A1	20040212	US 2002-302124	20021122
	US 6884791	B2	20050426		
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			US 2000-610456	A2	20000705	
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WO 2004048393	A2	20040610	WO 2003-0636929		20031119	
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PATENT FAMILY INFORMATION:

FAN 2001:31512

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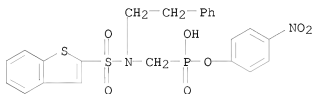
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OS	MARPAT 144:488511				
AB	The intention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C:(NOMe); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] such as II [R1 = (un)substituted Ph or thien-2-yl; L = a bond, CH2O, CO, or C:(NOMe); R5 = halo, or OR10 (wherein R10 = (un)substituted Ph, pyridinyl, or quinolinyl); provided that when L = CH2O, R5 is not F or 4-NO2C6H4] which are structurally unrelated to the natural product and semi-synthetic β -lactamase inhibitors presently available and which do not require a β -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of III which showed IC50 of 622 μ M against β -lactamase, was given.				
IT	318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES				

(Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate β -lactamase inhibitors and their antibacterial use)

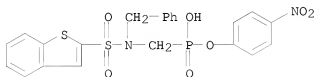
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CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



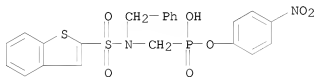
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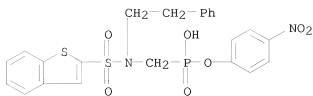
RN 318463-03-5 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



RN 318463-04-6 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



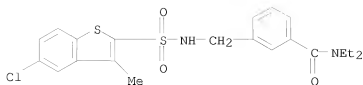
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L14 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2006:440564 CAPLUS
 DN 144:467908
 TI N-benzyl sulfonamides and related derivatives as 11 β -HSD1 inhibitors,
 their preparation, pharmaceutical compositions, and use in therapy
 IN Coulter, Thomas, Stephen; Steven, Taylor; Fryatt, Tara; Aicher, Babette;
 Schnieder, Martin
 PA Evotec AG, Germany
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

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				WO 2005-EP11933	W 20051108
OS	CASREACT 144:467908; MARPAT 144:467908				
AB	The invention relates to N-benzyl sulfonamide compds. of formula I [X, Z, W, T = independently N, CH and derivs.; R1, R2 = independently H,				

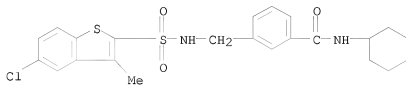
cyclo/alkyl, halo; or R1R2 = (:O); Y = NHSO2 and derivs., SO2NH and derivs.; NHSO2NH and derivs.; A = cyclo/alkyl, Ph, tetralinyl, heterocyclyl, etc.; V = O, S; or V = N-R15 and R15, R3 jointly form together with the atoms to which they are attached a heterocycle or heterobicyclic; B = O, S, NH and derivs.; R3 = H, cyclo/alkyl, Ph, heterocyclyl, etc.; with provisos], and their pharmaceutically acceptable salts, prodrugs and metabolites, which are inhibitors of 11 β -hydroxysteroid dehydrogenase type 1 (11 β -HSD1). The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I together with a pharmaceutically acceptable carrier, optionally comprising one or more addnl. therapeutic compds., as well as to the use of the compns. for the treatment of type 2 diabetes mellitus and associated conditions, such as metabolic syndrome, obesity, and lipid disorders. E.g., a 6-step synthesis starting from 3-cyanobenzoic acid was given for sulfonamide II. I typically express IC50 values below 50 μ M in a cell-based assay with a human adipocyte cell line, endogenously expressing 11 β -HSD1, while showing no activity against 11 β -HSD2.

IT 886732-45-2P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-46-3P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-68-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethylbenzamide 886732-69-0P, Benzo[b]thiophene-2-sulfonic acid N-[3-[[[(4-methylpiperazin-1-yl)carbonyl]benzyl]amide 886732-70-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexylbenzamide 886732-71-4P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-21-7P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N,N-diethylbenzamide 886733-22-8P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-cyclohexylbenzamide 886733-23-9P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-24-0P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-27-3P, 3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(p-tolyl)benzamide 886733-38-6P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N,N-diethylbenzamide 886733-39-7P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-cyclohexylbenzamide 886733-40-0P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(cyclohexylmethyl)benzamide 886733-41-1P, 3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]-N-(4-trifluoromethylbenzyl)benzamide 886733-80-8P, 4-[[3-[[[(Benzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]benzoylamino]methyl]benzamide 886733-82-0P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] (methyl)amino]methyl]benzoylamino]methyl]benzamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-benzyl sulfonamides as 11 β -HSD1 inhibitors)
RN 886732-45-2 CAPLUS
CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N,N-diethyl- (CA INDEX NAME)



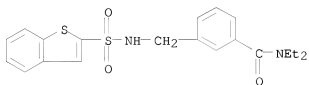
RN 886732-46-3 CAPLUS

CN Benamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]-N-cyclohexyl- (CA INDEX NAME)



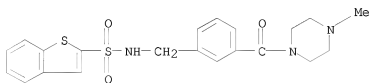
RN 886732-68-9 CAPLUS

CN Benamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino]methyl]-N,N-diethyl- (CA INDEX NAME)



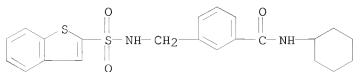
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CN Benzo[b]thiophene-2-sulfonamide, N-[[3-[(4-methyl-1-piperazinyl)carbonyl]phenyl]methyl]- (CA INDEX NAME)



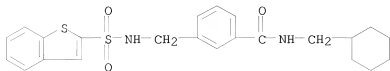
RN 886732-70-3 CAPLUS

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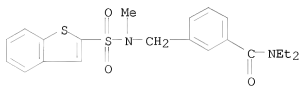
RN 886732-71-4 CAPLUS

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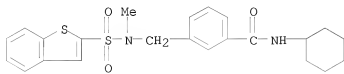
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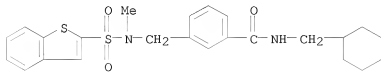
RN 886733-22-8 CAPLUS

CN Benzamide, 3-[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)



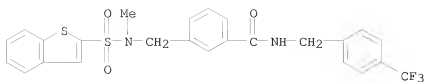
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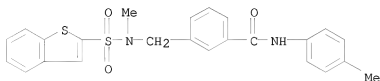
RN 886733-24-0 CAPLUS

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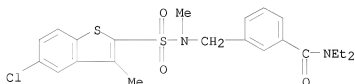
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CN Benzamide, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-N-(4-methylphenyl)- (CA INDEX NAME)



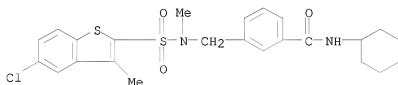
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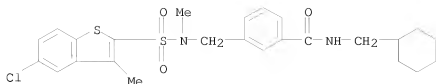
RN 886733-39-7 CAPLUS

CN Benzamide, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-N-cyclohexyl- (CA INDEX NAME)



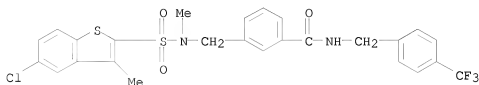
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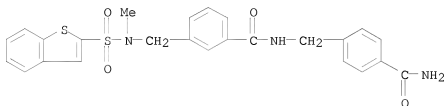
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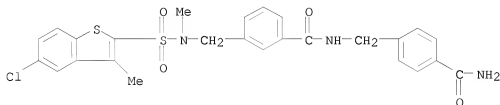
RN 886733-80-8 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)



RN 886733-82-0 CAPLUS

CN Benzamide, N-[[4-(aminocarbonyl)phenyl]methyl]-3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



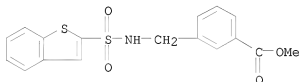
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 ester 886733-43-3P, 4-[[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-
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 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(intermediate; preparation of N-benzyl sulfonamides as 11 β -HSD1
 inhibitors)

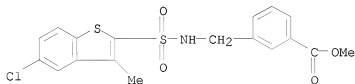
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CN Benzoic acid, 3-[[[(benzo[b]thien-2-ylsulfonyl)amino)methyl]-, methyl ester
 (CA INDEX NAME)



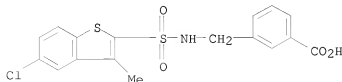
RN 886732-43-0 CAPLUS

CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-
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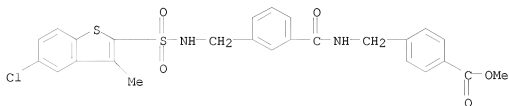


RN 886732-44-1 CAPLUS

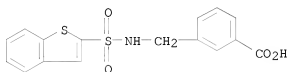
CN Benzoic acid, 3-[[[(5-chloro-3-methylbenzo[b]thien-2-
 yl)sulfonyl]amino)methyl]- (CA INDEX NAME)



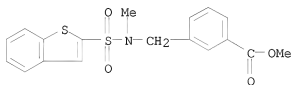
RN 886732-47-4 CAPLUS
 CN Benzoic acid, 4-[[[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)



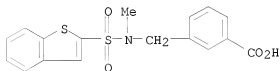
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 CN Benzoic acid, 3-[(benzo[b]thien-2-ylsulfonyl)amino]methyl]- (CA INDEX NAME)



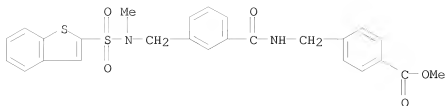
RN 886733-19-3 CAPLUS
 CN Benzoic acid, 3-[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]-, methyl ester (CA INDEX NAME)



RN 886733-20-6 CAPLUS
 CN Benzoic acid, 3-[[[(benzo[b]thien-2-ylsulfonyl)methylamino]methyl]- (CA INDEX NAME)

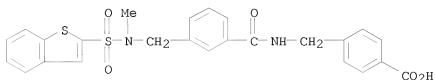


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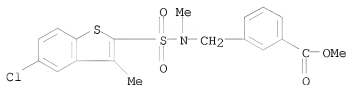
RN 886733-26-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[[(benzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



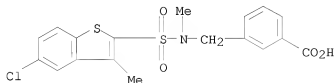
RN 886733-36-4 CAPLUS

CN Benzoic acid, 3-[[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]-, methyl ester (CA INDEX NAME)



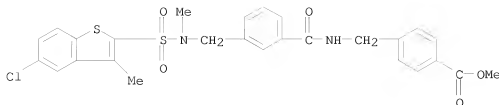
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CN Benzoic acid, 3-[[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]- (CA INDEX NAME)



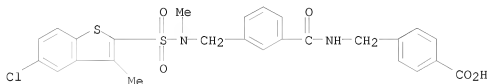
RN 886733-42-2 CAPLUS

CN Benzoic acid, 4-[[[3-[[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]-, methyl ester (CA INDEX NAME)



RN 886733-43-3 CAPLUS

CN Benzoic acid, 4-[[[3-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]methyl]benzoyl]amino]methyl]- (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:718289 CAPLUS

DN 141:243332

TI Preparation of sulfonamide derivatives, in particular
N,N-benzo[b]thiophene sulfonamides, as PPAR modulators, especially PPAR
agonists

IN Conner, Scott Eugene; Gossett, Lynn Stacy; Green, Jonathan Edward; Jones,
Winton Dennis, Jr.; Mantlo, Nathan Bryan; Matthews, Donald Paul; Mayhugh,
Daniel Ray; Smith, Daryl Lynn; Vance, Jennifer Ann; Wang, Xiaodong;
Warshawsky, Alan M.; Winneroski, Leonard Larry, Jr.; Xu, Yanping; Zhu,
Guoxin

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 435 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004073606	A2	20040902	WO 2004-US2015	20040210
	WO 2004073606	A3	20050331		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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				US 2003-448307P	P 20030214
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			US 2003-448307P	P 20030214
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EP 1597248	B1	20071226		
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			WO 2004-US2015	W 20040210
CN 1751037	A	20060322	CN 2004-80004250	20040210
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US 20060217433	A1	20060928	US 2005-542579	20050715
			US 2003-448307P	P 20030214
			WO 2004-US2015	W 20040210

OS MARPAT 141:243332

AB Title compds. I [wherein A = II, III; D = (CH₂)₀; B = R₁b-[C]q-R₁a; E = O, S, NH and derivs.; W = -Y-(CR₄R₅)-Q, H, cyclo/haio/alkyl, acyl; Q = CO₂H and derivs.; CO₂NH₂, sulfonamide, etc.; X = a bond, C, O, S, S[O]p; Z = (un)substituted aliphatic group, aryl, 5- to 10-membered heteroaryl, bi(hetero)aryl, heterocyclyl; o = 0-4; q = 0-3; m = 1-4; n = 1-2; R₁, R₂ = independently H, wherein when Z = Ph or naphthyl and R₂ = H, R₁ is not H, halo, (un)substituted alk(en/yn)yl, aryl, or R₁ and R₂ form a 5- to 8-membered heterocycle; R₁a, R₁b = independently H, alkyl, or R₁ and R₁a, R₁and R₁b, R₂ and R₁b, or R₁a and R₁b form a 3- to 6-membered heterocyclyl or carbocyclyl, where at least one of R₁a and or R₁b is not H; R₂a = H, halo, (un)substituted alkyl and wherein R₂ and R₂a together being a 3- to 8-membered ringR₃ = H, halo, CN, (un)substituted cyclo/alkyl, (alkyl)heterocyclyl, etc.; R₄, R₅ = independently H, halo, alkyl, alkoxy, aryloxy, NH₂ and derivs., SH and derivs., or R₄CR₅ = 3- to 8-membered ring; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists.

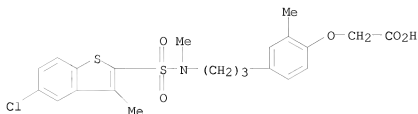
A multistep synthesis is given for sulfonamide IV. I displayed IC₅₀ and EC₅₀ in the range of about 1 nM to about 5 μM for binding to PPAR alpha, gamma, and delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

IT 752133-50-9P 752137-73-8P,
2-[5-[3-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(PPAR agonist; preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

RN 752133-50-9 CAPLUS

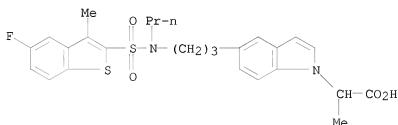
CN Acetic acid, 2-[4-[3-[[[5-chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



RN 752137-73-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α-methyl- (CA INDEX NAME)



IT 752131-91-2P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-94-5P, 4-[[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethyl]sulfanyl]-2-(methyl)phenoxyacetic acid 752131-96-7P, 4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-(methyl)phenoxyacetic acid 752131-97-8P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]phenyl]propionic acid 752131-98-9P, 2-[[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]-2-methylphenyl]oxy]-2-methylpropionic acid 752131-99-0P, [5-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]phenethylamino]ethoxy]indol-1-yl]acetic acid 752132-00-6P 752132-03-9P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](benzyl)amino]ethoxy]-2-methylphenyl]propionic acid 752132-04-0P, 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methylphenyl]propionic acid 752133-45-2P, [4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]acetic acid 752133-46-3P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-(methyl)phenoxyacetic acid 752133-52-1P, 4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-(methyl)phenoxyacetic acid 752136-19-9P, 2-[3-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 752136-21-3P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid sodium salt 752136-24-6P, 2-[4-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid 2-(morpholin-4-yl)ethyl ester hydrochloride 752136-44-0P

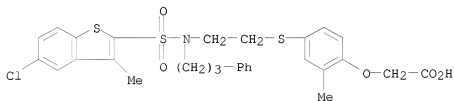
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 752137-23-8P 752137-24-9P 752137-25-0P
 752137-27-2P 752137-28-3P 752137-29-4P
 752137-30-7P 752137-31-8P 752137-32-9P
 752137-33-0P 752137-34-1P 752137-36-3P
 752137-37-4P 752137-50-1P,
 3-[4-[2-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-51-2P
 , 3-[4-[2-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenyl]propionic acid 752137-81-8P
 , 2-[5-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid
 752137-82-9P, 2-[5-[3-[[[3-Methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]propionic acid
 752137-83-0P, 2-[5-[3-[[[5-Fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid
 752137-89-6P, 2-[5-[3-[[[Benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid
 752137-90-9P, 2-[5-[3-[[[5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]indol-1-yl]-2-methylpropionic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR agonist; preparation of sulfonamides, in particular

N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

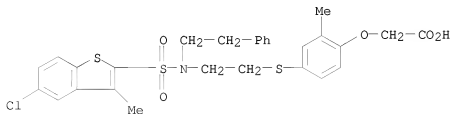
RN 752131-91-2 CAPLUS

CN Acetic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752131-94-5 CAPLUS

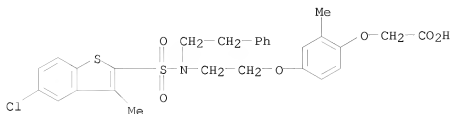
CN Acetic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethyl]thio]-2-methylphenoxy]- (CA INDEX NAME)



RN 752131-96-7 CAPLUS

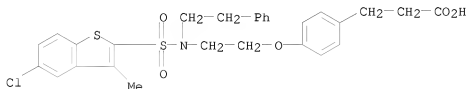
CN Acetic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-

phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



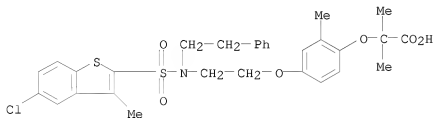
RN 752131-97-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]- (CA INDEX NAME)



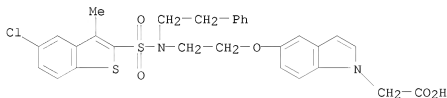
RN 752131-98-9 CAPLUS

CN Propanoic acid, 2-[4-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)



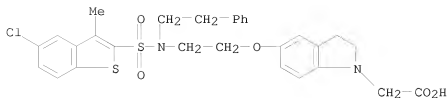
RN 752131-99-0 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2-methylphenoxy]-2,3-dihydro- (CA INDEX NAME)



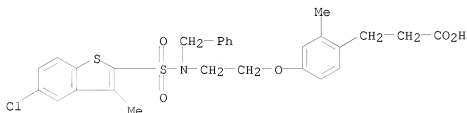
RN 752132-00-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[2-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2-phenylethyl)amino]ethoxy]-2,3-dihydro- (CA INDEX NAME)



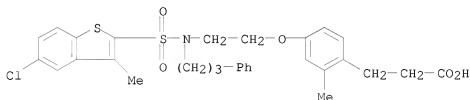
RN 752132-03-9 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



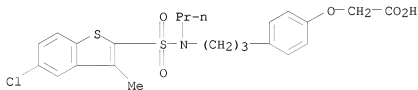
RN 752132-04-0 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](3-phenylpropyl)amino]ethoxy]-2-methyl- (CA INDEX NAME)



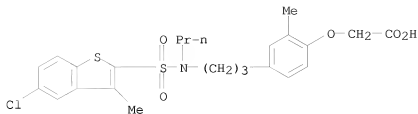
RN 752133-45-2 CAPLUS

CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)



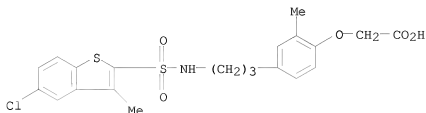
RN 752133-46-3 CAPLUS

CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



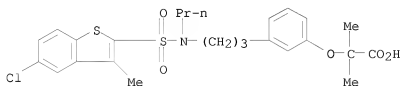
RN 752133-52-1 CAPLUS

CN Acetic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]- (CA INDEX NAME)



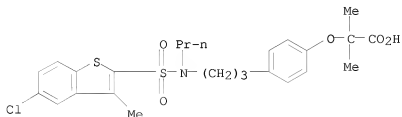
RN 752136-19-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 752136-21-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, sodium salt (1:1) (CA INDEX NAME)

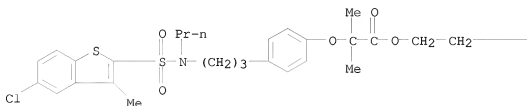


● Na

RN 752136-24-6 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, 2-(4-morpholinyl)ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

PAGE 1-A



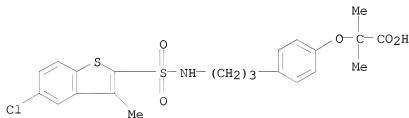
● HCl

PAGE 1-B



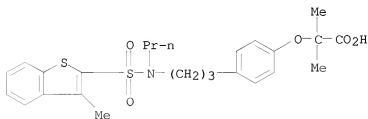
RN 752136-44-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

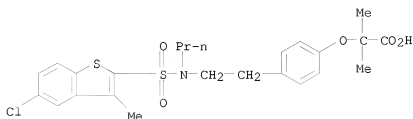


RN 752136-69-9 CAPLUS

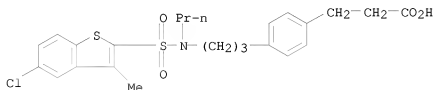
CN Propanoic acid, 2-methyl-2-[4-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)



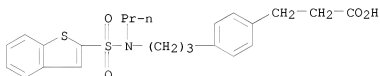
RN 752136-91-7 CAPLUS
 CN Propanoic acid, 2-[4-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



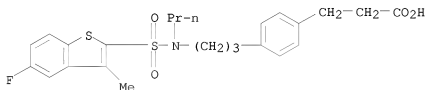
RN 752136-99-5 CAPLUS
 CN Benzenepropanoic acid, 4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



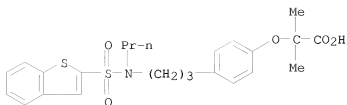
RN 752137-11-4 CAPLUS
 CN Benzenepropanoic acid, 4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



RN 752137-12-5 CAPLUS
 CN Benzenepropanoic acid, 4-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)

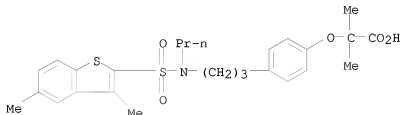


RN 752137-14-7 CAPLUS
 CN Propanoic acid, 2-[4-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



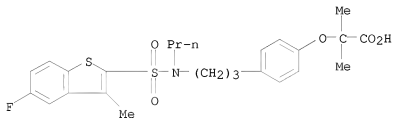
RN 752137-15-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



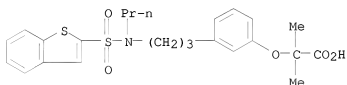
RN 752137-16-9 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



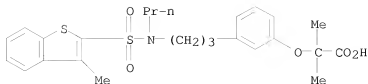
RN 752137-18-1 CAPLUS

CN Propanoic acid, 2-[3-[3-[(benzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



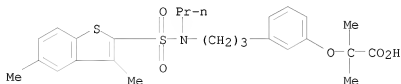
RN 752137-19-2 CAPLUS

CN Propanoic acid, 2-methyl-2-[3-[3-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]- (CA INDEX NAME)



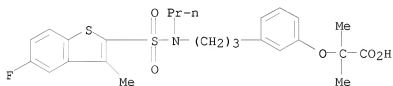
RN 752137-20-5 CAPLUS

CN Propanoic acid, 2-[3-[3-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



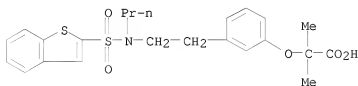
RN 752137-21-6 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



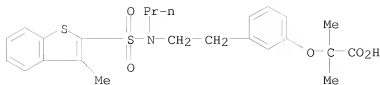
RN 752137-23-8 CAPLUS

CN Propanoic acid, 2-[3-[2-[(benzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)

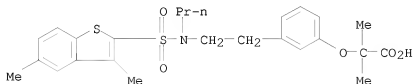


RN 752137-24-9 CAPLUS

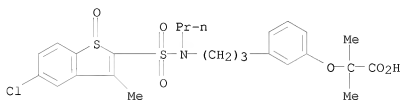
CN Propanoic acid, 2-methyl-2-[3-[2-[(3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]- (CA INDEX NAME)



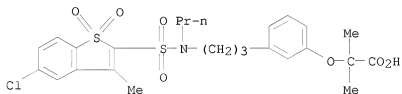
RN 752137-25-0 CAPLUS
 CN Propanoic acid, 2-[3-[2-[(3,5-dimethylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



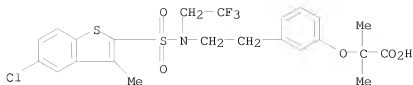
RN 752137-27-2 CAPLUS
 CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1-oxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



RN 752137-28-3 CAPLUS
 CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methyl-1,1-dioxidobenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

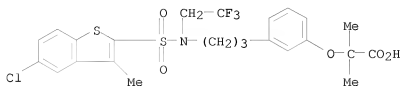


RN 752137-29-4 CAPLUS
 CN Propanoic acid, 2-[3-[2-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]ethyl]phenoxy]-2-methyl- (CA INDEX NAME)



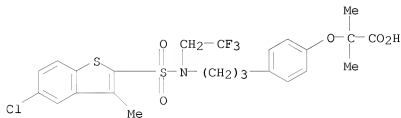
RN 752137-30-7 CAPLUS

CN Propanoic acid, 2-[3-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



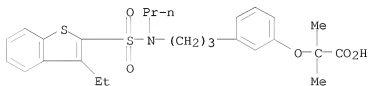
RN 752137-31-8 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](2,2,2-trifluoroethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



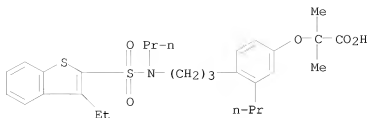
RN 752137-32-9 CAPLUS

CN Propanoic acid, 2-[3-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



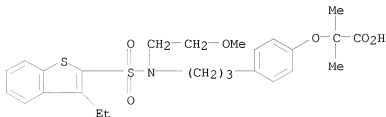
RN 752137-33-0 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-3-propylphenoxy]-2-methyl- (CA INDEX NAME)



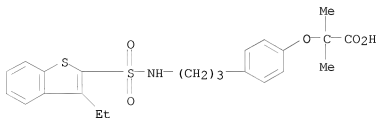
RN 752137-34-1 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl](2-methoxyethyl)amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



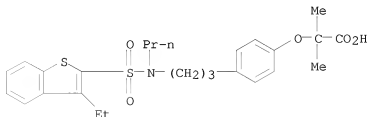
RN 752137-36-3 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



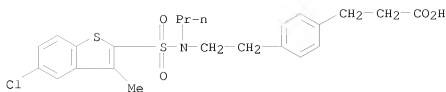
RN 752137-37-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[(3-ethylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



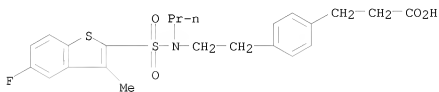
RN 752137-50-1 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)



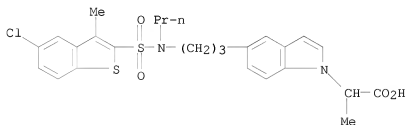
RN 752137-51-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[5-fluoro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]ethyl]- (CA INDEX NAME)



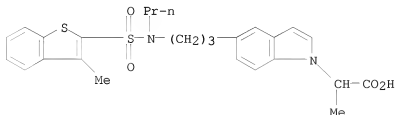
RN 752137-81-8 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α-methyl- (CA INDEX NAME)



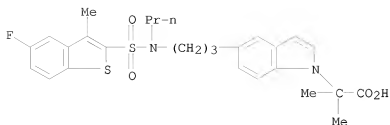
RN 752137-82-9 CAPLUS

CN 1H-Indole-1-acetic acid, α-methyl-5-[3-[[3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]- (CA INDEX NAME)



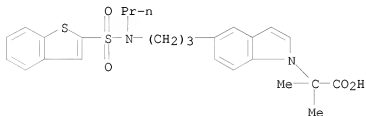
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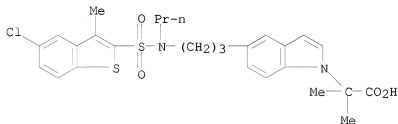
RN 752137-89-6 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[(benzo[b]thien-2-ylsulfonyl)propylamino]propyl]-α,α-dimethyl- (CA INDEX NAME)



RN 752137-90-9 CAPLUS

CN 1H-Indole-1-acetic acid, 5-[3-[[5-(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]-α,α-dimethyl- (CA INDEX NAME)



IT 752131-92-3P, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-bromoethyl)-N-(3-phenylpropyl)amide 752132-01-7P,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-benzyl-N-(2-bromoethyl)amide 752132-02-8P,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-benzyl-N-(2-hydroxyethyl)amide 752132-14-2P, Ethyl

2-[4-[[1-[[[(5-Chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](4-

methoxybenzyl)amino]methyl]propyl]sulfanyl]-2-(methyl)phenoxy]acetate

752133-51-0P, Ethyl 2-[4-[3-[[[(5-chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl](methyl)amino]propyl]-2-(methyl)phenoxy]acetate

752133-53-2P, Ethyl 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]amino]propyl]-2-(methyl)phenoxy]acetate 752136-22-4P

, 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid ethyl ester

752136-23-5P, 2-[4-[3-[[[(5-Chloro-3-methylbenzo[b]thien-2-

yl)sulfonyl]propylamino]propyl]phenoxy]-2-methylpropionic acid

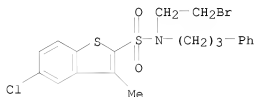
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of sulfonamides, in particular
N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

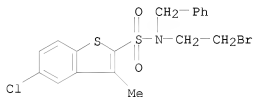
RN 752131-92-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



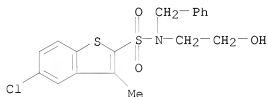
RN 752132-01-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)



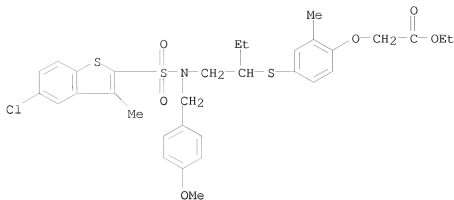
RN 752132-02-8 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)



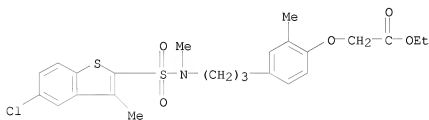
RN 752132-14-2 CAPLUS

CN Acetic acid, 2-[4-[[[1-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl] [(4-methoxyphenyl)methyl]amino]methyl]propyl]thio]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



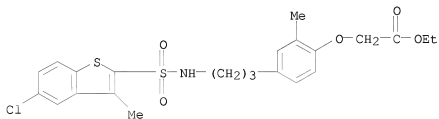
RN 752133-51-0 CAPLUS

CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]methylamino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



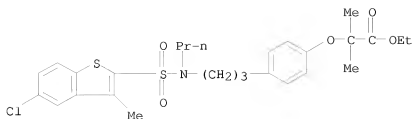
RN 752133-53-2 CAPLUS

CN Acetic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]propyl]-2-methylphenoxy]-, ethyl ester (CA INDEX NAME)



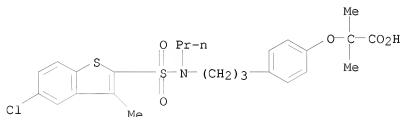
RN 752136-22-4 CAPLUS

CN Propanoic acid, 2-[4-[3-[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



RN 752136-23-5 CAPLUS

CN Propanoic acid, 2-[4-[3-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]propylamino]propyl]phenoxy]-2-methyl- (CA INDEX NAME)



IT 752131-93-4, 5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

N-(2-hydroxyethyl)-N-(3-phenylpropyl)amide 752131-95-6,

5-Chloro-3-methylbenzo[b]thiophene-2-sulfonic acid

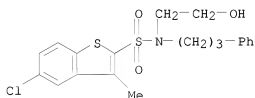
N-(2-bromoethyl)-N-phenethylamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of sulfonamides, in particular N,N-benzo[b]thiophene sulfonamides, as PPAR agonists)

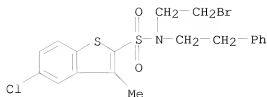
RN 752131-93-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-(2-hydroxyethyl)-3-methyl-N-(3-phenylpropyl)- (CA INDEX NAME)



RN 752131-95-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, N-(2-bromoethyl)-5-chloro-3-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



L14 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS ON STN
 AN 2004:353142 CAPLUS
 DN 140:357200
 TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate
 inhibitors of β -lactamase
 IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii
 PA Methylgene, Inc., Can.
 SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. Pat. Appl. 2004
 29,836.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 4

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PATENT FAMILY INFORMATION:

FAN 2001:31512

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PI	WO 2001002411	A1	20010111	WO 2000-US18344	20000705
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FAN 2004:120574

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 US 2002-302124 A1 20021122
 US 2003-411484 A1 20030408

OS MARPAT 140:357200

AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2; L = alkyl, alkoxy, CO, C:(NOME); R2 = H, alkyl, cycloalkyl, aralkyl, aryl; R3 = H, alkyl, cycloalkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic β -lactamase inhibitors presently available and which do not require a β -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622 μ M against β -lactamase, was given.

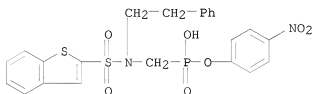
IT 318460-62-7P 318460-64-9P 318463-03-5P
 318463-04-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate β -lactamase inhibitors and their antibacterial use)

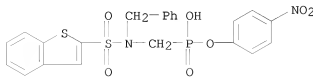
RN 318460-62-7 CAPLUS

CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonfyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)

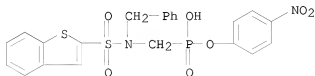


RN 318460-64-9 CAPLUS

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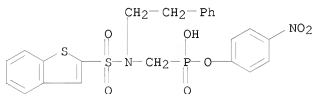


RN 318463-03-5 CAPLUS
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

RN 318463-04-6 CAPLUS
 CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
 AN 2004:120574 CAPLUS
 DN 140:181318
 TI Preparation of sulfonamidomethyl and carboxamidomethyl phosphonate inhibitors of β -lactamase
 IN Besterman, Jeffrey M.; Rahil, Jubrail; Vaisburg, Arkadii
 PA Methylgene, Inc., Can.
 SO U.S. Pat. Appl. Publ., 96 pp., Cont.-in-part of U.S. Ser. No. 266,213. CODEN: USXXCO
 DT Patent
 LA English
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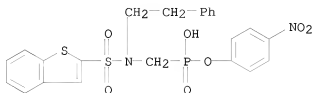
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AB	The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β -lactamase inhibitors I [R1 = (un)substituted (hetero)aryl; Z = C, CH2, S; n = 0-2 when Z = S; n = 1 when Z = C; n = 0 when Z = CH2; L = alkyl, alkoxy, CO, C:(NOMe); R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, aryl, etc.; R4 = OH, F, SR7, N(R7)2; R5 = F, OR6, SR7, N(R7)2; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.; with the provisos] which are structurally unrelated to the natural product and semi-synthetic β -lactamase inhibitors presently available and which do not require a β -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. I is described. E.g., a 4-step synthesis of sodium salt of II which showed IC50 of 622 μ M against β -lactamase, was given.					
IT	318460-62-7P 318460-64-9P 318463-03-5P 318463-04-6P					
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)					

(preparation of sulfonamidomethyl and carboxamidomethyl phosphonate
 β -lactamase inhibitors and their antibacterial use)

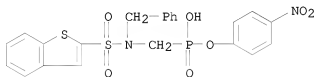
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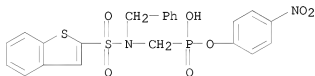
RN 318460-64-9 CAPLUS

CN Phosphonic acid, [[[benzo[b]thien-2-ylsulfonyl](phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RN 318463-03-5 CAPLUS

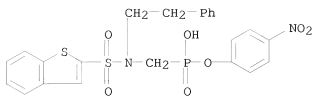
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● NH₃

RN 318463-04-6 CAPLUS

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RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:31512 CAPLUS
DN 134:95480
TI Sulfonamidomethyl phosphonate inhibitors of β -lactamase
IN Besterman, Jeffrey M.; Delorme, Daniel; Rahil, Jubrail
PA Methylgene Inc., Can.
SO PCT Int. Appl., 95 pp.
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DT Patent
LA English
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OS MARPAT 134:95480

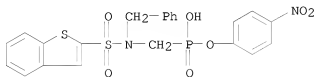
AB The invention relates to bacterial antibiotic resistance and, in particular, to compns. and methods for overcoming bacterial antibiotic resistance. The invention provides novel β -lactamase inhibitors which are structurally unrelated to the natural product and semi-synthetic β -lactamase inhibitors presently available and which do not require a β -lactam pharmacophore. The invention also provides pharmaceutical compns. and methods for inhibiting bacterial growth. Preparation of compds. is also described.

IT 318463-03-5P 318463-04-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (sulfonamidomethyl phosphonate β -lactamase inhibitor preparation and antibacterial use)

RN 318463-03-5 CAPLUS

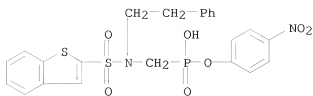
CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester, ammonium salt (9CI) (CA INDEX NAME)



● NH₃

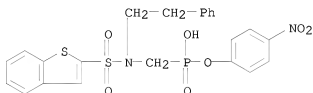
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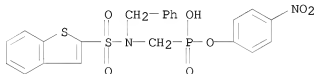


● NH₃

IT 318460-62-7 318460-64-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sulfonamidomethyl phosphonate β -lactamase inhibitor preparation and antibacterial use)
 RN 318460-62-7 CAPLUS
 CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(2-phenylethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RN 318460-64-9 CAPLUS
 CN Phosphonic acid, [[(benzo[b]thien-2-ylsulfonyl)(phenylmethyl)amino]methyl]-, mono(4-nitrophenyl) ester (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

AN 1997:134849 CAPLUS

DN 126:157509

OREF 126:30463a,30466a

TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclamide compounds as Factor Xa inhibitors

IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
 SO PCT Int. Appl., 272 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

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PI	WO 9640679	A1	19961219	WO 1996-US9816	19960607
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	CA 2223403	A1	19961219	CA 1996-2223403	19960607
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	AU 9661669	A	19961230	US 1995-481024	19950607
	AU 714319	B2	20000106	AU 1996-61669	19960607
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				US 1995-481024	A 19950607
				WO 1996-US9816	W 19960607
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				WO 1996-US9816	W 19960607
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				US 1995-481024	A 19950607
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				WO 1996-US9816	A2 19960607
				US 1996-761414	A2 19961206
				US 1997-976034	A2 19971121
				WO 1997-US22414	A2 19971201

PATENT FAMILY INFORMATION:

FAN 1998:192127

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5731315	A	19980324	US 1996-761414	19961206
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US 5612353	A	19970318	US 1995-481024	19950607
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HU 9801882	A2	19981228	US 1995-481024	A 19950607
HU 9801882	A3	19990128	HU 1998-1882	19960607
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CA 2245699	A1	19980611	US 1996-761414	A 19961206
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AU 9860121	A	19980629	US 1996-761414	A2 19961206
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CN 1213370	A	19990407	WO 1997-US22414	W 19971201
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ZA 9710968	A	19980722	ZA 1997-10968	19971205
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CN 1418882	A	20030521	CN 2002-103157	20020201
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				US 1996-761414	A2 19961206
OS	MARPAT 126:157509				
AB	About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl, aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-[3S-amino-2-oxopyrrolidin-1-ylmethyl]benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.				
IT	186549-38-2P				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of substituted (sulfonic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)				
RN	186549-38-2	CAPLUS			

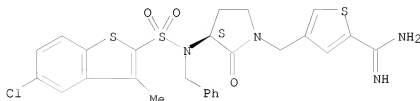
CN 2-Thiophenecarboximidamide, 4-[[[(3S)-3-[[[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl](phenylmethyl)amino]-2-oxo-1-pyrrolidinyl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 186549-37-1

CMF C26 H25 Cl N4 O3 S3

Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 186552-21-6P

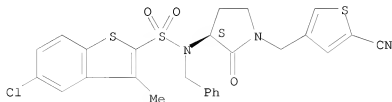
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)

RN 186552-21-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-N-[(3S)-1-[(5-cyano-3-thienyl)methyl]-2-oxo-3-pyrrolidinyl]-3-methyl-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



=> log y

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FULL ESTIMATED COST	ENTRY	SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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